Beverly

Access DB# 97537

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: *Maury Audet* Examiner #: *79808* **Date:** 6/25/03
Art Unit: *1654* Phone Number: *305-5039* **Serial Number:** 10/088,807
Mail Box & Bldg/Room Locat.: CM1-*11D13; 11D04* Results Format Preferred: *PAPER*

If more than one search is submitted, please prioritize searches in order of need. Rec'd 7/2/03

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

_____

Earliest Priority Filing Date: 7/30/99

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

I Please search insulin w/ (elected species) (as X) Compound of claim 42 (amide of bile acid/salt) (a C-24 [illegible]

II If do not find insulin w/ please search other compounds of cl. 33 (47 diff.) w/ [illegible] as 'X' w/ comp of cl. 42.

III Inventa search w/ also.

TX, MT/RY

☆ Note attached reference to Ruff et. al. Teach very similar structure w/ cholestonin derivative attached as 'X'.

****

STAFF USE ONLY	**Type of Search**	**Vendors and cost where applicable**
Searcher: Beverly c 4994	NA Sequence (#)_____	STN ✓
Searcher Phone #: _____	AA Sequence (#)_____	Dialog _____
Searcher Location: _____	Structure (#)_____	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr.Link _____
Date Completed: 07-01-03	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: _____	Other _____	Other (specify) _____

PTO-1590 (8-01)

Audet, M.
10/088807

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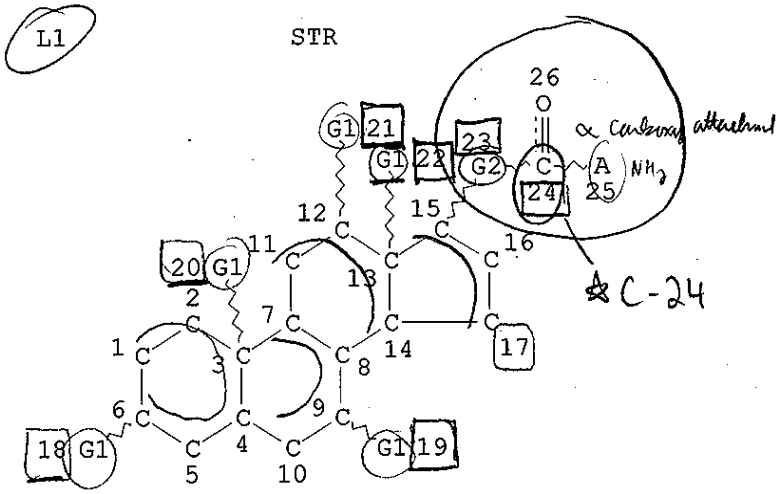
PD 7/30/99

FILE 'REGISTRY' ENTERED AT 15:28:39 ON 01 JUL 2003
L9 1 S INSULIN/CN

L1 STR



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NSPEC IS RC AT 25
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE
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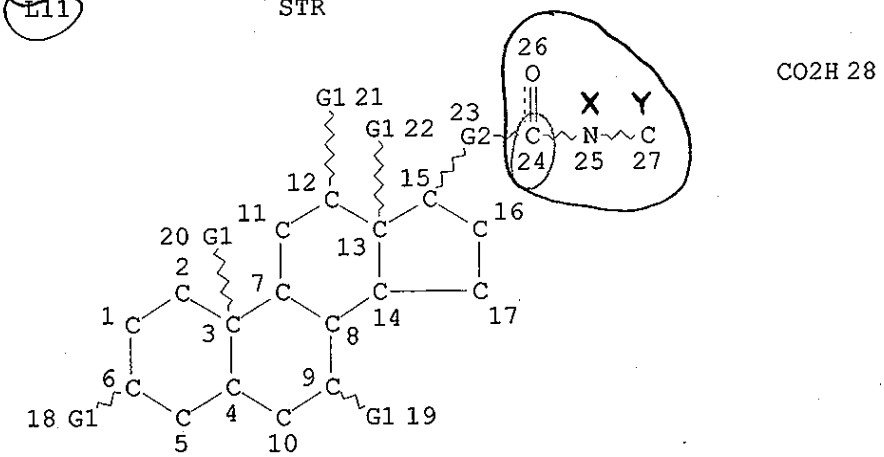

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DEFAULT ECLEVEL IS LIMITED

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L19 287 SEA FILE=REGISTRY ABB=ON PLU=ON L18 AND 1/NC

(FILE 'HCAPLUS' ENTERED AT 15:35:05 ON 01 JUL 2003)
L20 2261 S L19
L21 49 S L20 AND (L9 OR INSULIN OR PROINSULIN)

=> sel hit l21 1-49 rn
E1 THROUGH E12 ASSIGNED

L21 ANSWER 1 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:609878 HCAPLUS
DOCUMENT NUMBER: 137:159343
TITLE: Method for administering **insulin**
INVENTOR(S): Modi, Pankaj
PATENT ASSIGNEE(S): Generex Pharmaceuticals Incorporated, Can.
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6432383	B1	20020813	US 2000-538830	20000330

PRIORITY APPLN. INFO.: US 2000-538830 20000330

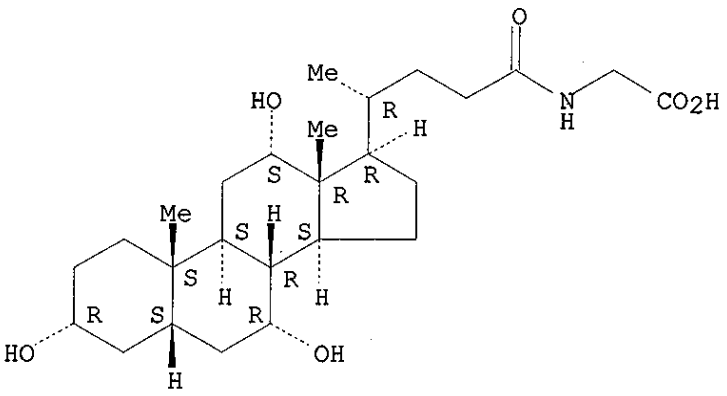
AB A mixed micellar pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal lauryl sulfate, alkali metal salicylate, a pharmaceutically acceptable edetate and at least one absorption enhancing compds. The absorption enhancing compds. are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol, glycolic acid, lactic acid, chamomile ext., cucumber ext., oleic acid, linolenic acid, borage oil, evening primrose oil, trihydroxy oxocholanylglycine, glycerin, polyglycerin, lysine, polylysine, triolein and mixts. thereof. The amt. of each absorption enhancing compd. is present in a concn. of 1-10% by wt. of the total formulation, and the total concn. of absorption enhancing compds. are < 50% by wt. of the formulation. A method for administering **insulin** to the buccal mucosa by spraying using a metered dose inhaler is also disclosed. For example, a buffer soln. was prepd. using 0.5 g sodium lauryl sulfate, 0.5 g sodium salicylate and 0.25 g disodium edetate

dissolved in 10 mL of water. The soln. was mixed with 8 mg (200 units) **insulin** to form micellar **insulin**. To this micellar soln. 0.5 g borage oil was added and the soln. was mixed vigorously to form a mixed micellar **insulin** soln. (about 20 units/mL).

IT **9004-10-8, Insulin,** biological studies
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(micelles for oral administration of **insulin**)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **475-31-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(micelles for oral administration of **insulin**)
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:314743 HCAPLUS
DOCUMENT NUMBER: 136:345786
TITLE: Sustained release delivery system containing an aq. bicellar matrix containing a phospholipid
INVENTOR(S): Kestel, Frederic Amnon
PATENT ASSIGNEE(S): Advanced Delivery Systems Aps, Den.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

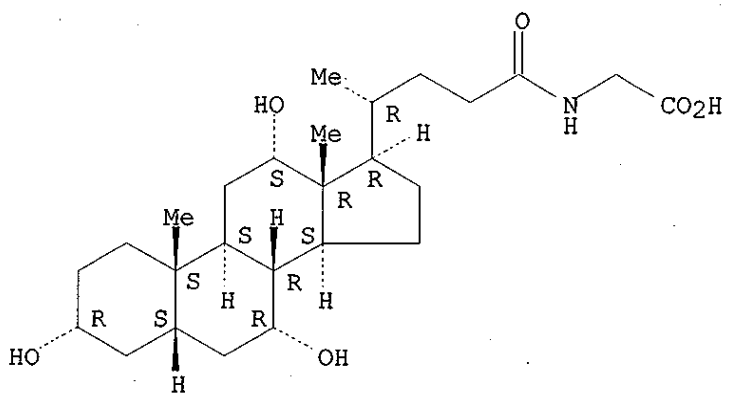
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002032395 A2 20020425 WO 2001-IL966 20011018
WO 2002032395 A3 20021219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2002010894 A5 20020429 AU 2002-10894 20011018
PRIORITY APPLN. INFO.: IL 2000-139177 A 20001020
WO 2001-IL966 W 20011018

AB The invention relates to a sustained release delivery system for the delivery of an active agent to a warm-blooded animal and to uses thereof. The delivery system comprises an aq. bicellar matrix that is liq. at temps. below ambient temp. and forms a biodegradable gel at body temp. of said animal and an active agent, and optionally further comprises pharmaceutically acceptable additive, carrier and/or diluent. The aq. bicellar matrix is preferably a mixt. of a lipid, preferably phospholipid, and a detergent in water. The sustained release of toluidine blue was detd. from a bicellar phase contg. HMPC and DHPC (dihyexanoylphosphatidylcholine).

IT **475-31-0**, Glycocholic acid
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sustained release delivery system contg. an aq. bicellar matrix contg. a phospholipid)
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8**, **Insulin**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sustained release delivery system contg. an aq. bicellar matrix contg. a phospholipid)
RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

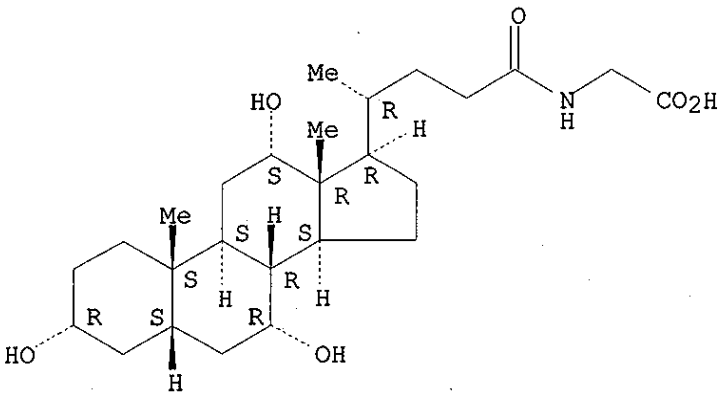
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 3 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:230450 HCAPLUS
DOCUMENT NUMBER: 136:350716
TITLE: Influence of microgravity on plasma levels of gastroenteropancreatic peptides: A case study
AUTHOR(S): Riepl, Rudolf L.; Drummer, Christian; Lehnert, Peter; Gerzer, Rupert; Otto, Barbel
CORPORATE SOURCE: Medizinische Klinik Innenstadt of the Ludwig-Maximilians-University of Munich, Cologne, Germany
SOURCE: Aviation, Space and Environmental Medicine (2002), 73(3), 206-210
CODEN: ASEMCG; ISSN: 0095-6562
PUBLISHER: Aerospace Medical Association
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Fasting plasma samples were gained during the EUROMIR-94 mission from a European Space Agency (ESA) astronaut who experienced no signs of space motion sickness in orbit. Plasma concns. of 9 gastroenteropancreatic peptides were measured with sensitive and specific RIAs. Fasting plasma levels of motilin, pancreatic polypeptide (PP), vasoactive intestinal peptide (VIP), and secretin were increased and plasma level of cholecystokinin (CCK) was decreased by acute exposure of the astronaut to microgravity. Chronic (4 wk) exposure caused an enhancement of plasma CCK, motilin, neurotensin, VIP, and **insulin** whereas plasma concns. of PP, secretin, gastrin, and somatostatin showed no changes. During the 25-d stay on MIR station plasma levels of CCK, motilin, and neurotensin increased. Short-time body rotations caused an elevation of plasma levels of PP but decreased plasma motilin. As the influence of microgravity on the peptide levels was not uniform, an effect due to other factors (e.g., change in fluid balance or body wt.) is unlikely. Moreover, adaptive changes of some peptides occurred during the stay in orbit. The release of PP and motilin seems to be very sensitive to rotation forces. These results have to be confirmed in more subjects in space to be able to link changes of gastroenteropancreatic peptide release to alterations of gastrointestinal functions.

IT **475-31-0**, Cholylglycine **9004-10-8**, **Insulin**
, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(microgravity effect on human plasma gastroenteropancreatic peptides)
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 4 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:185616 HCAPLUS
DOCUMENT NUMBER: 136:252482
TITLE: Preparation of aqueous clear solution dosage forms with bile acids
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U. S. 6,251,428.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002031558	A1	20020314	US 2001-778154	20010205
US 6251428	B1	20010626	US 1999-357549	19990720

PRIORITY APPLN. INFO.: US 1998-94069P P 19980724
US 1999-357549 A2 19990720
US 2000-180268P P 20000204

AB Compns. for pharmaceutical and other uses comprise clear aq. solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aq. soln. The compns. comprise (i) water, (ii) a bile acid component in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and (iii) either or both an aq. sol. starch conversion product and an aq. sol. non-starch polysaccharide. The compn. remains in soln. without forming a ppt. over a range of pH values and, according to one embodiment, remains in soln. for all pH values obtainable in an aq. system. The compn. may further contain a pharmaceutical compd., such as **insulin**, heparin, bismuth

Used as 2nd in 103

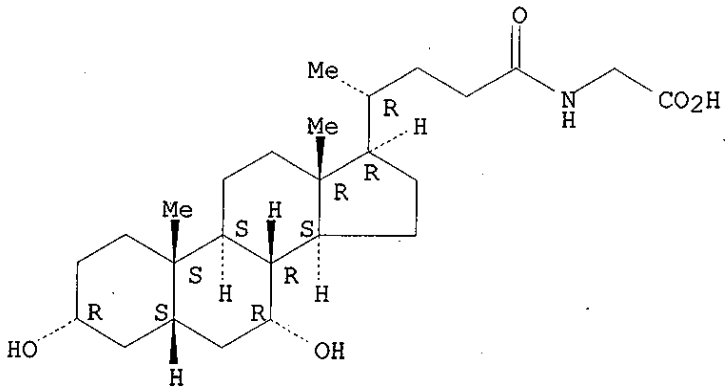
Compns. only

compds., amantadine and rimantadine. For example, soln. dosage forms that did not show any pptn. at any pH were prepd. contg. ursodeoxycholic acid (UDCA) 22 g, 1N NaOH 75 mL, chenodeoxycholic acid (CDCA) 3 g, maltodextrin 875 g, bismuth citrate 4 g, citric acid or lactic acid as needed, and purified water to make 1 L.

IT **640-79-9**, Glycochenodeoxycholic acid **64480-66-6**, Glycoursodeoxycholic acid
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of stable aq. solns. contg. bile acids for therapy)

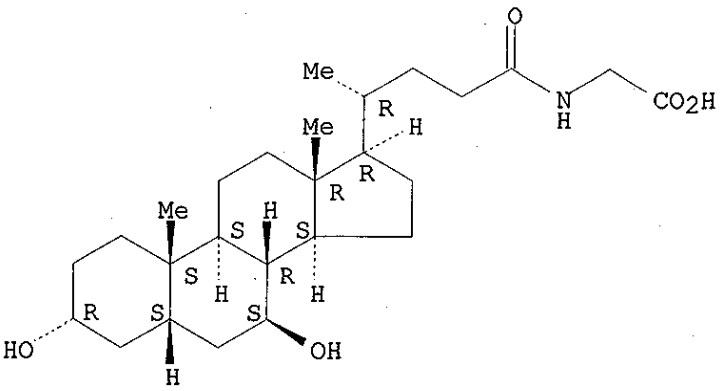
RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 64480-66-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8**, **Insulin**, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of stable aq. solns. contg. bile acids for therapy)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 5 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:122837 HCAPLUS
DOCUMENT NUMBER: 136:189346
TITLE: Medical electropowders for inhalers
INVENTOR(S): Nilsson, Thomas; Nilsson, Lars-Gunnar
PATENT ASSIGNEE(S): Microdrug A.-G., Switz.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011803	A1	20020214	WO 2001-SE1682	20010727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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SE 2000002822	A	20020129	SE 2000-2822	20000804
SE 516555	C2	20020129		
AU 2001082743	A5	20020218	AU 2001-82743	20010727
EP 1309369	A1	20030514	EP 2001-961481	20010727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: SE 2000-2822 A 20000804
WO 2001-SE1682 W 20010727

AB A method and a process are disclosed for prepn. of medical electro-powders. The electro-powder results from prepns. of chem. and biol. substances to form electro-powders suitable for electrostatic charging and dosing for functionality in a dry powder inhaler device. The electro-powder resulting from the method and process forms an active powder substance or a dry powder medical formulation with a fine particle fraction representing of the order 50 or more of the content having a size ranging between 0,5-5 .mu.m and provides electrostatic properties with an abs. specific charge per mass after charging of the order 0.1x10-6 to 25x10-6 C/g and presenting a charge decay rate const. Q50 > 0.1 s with a tap d. of less than 0.9 g/mL and a water activity aw of less than 0.5. In the processing the active substance is a generally pharmacol. active chem. or biol. substance, for instance a polypeptide or any other corresponding substance selected alone or mixed or blended together with one or more excipients being a compd. to improve electrostatic properties of the medical dry powder substance or dry powder medical

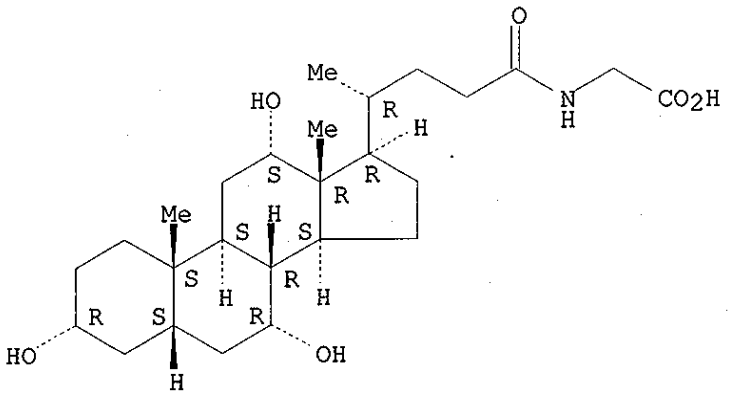
formulation. Further the electro-powder may even be formed as a micro-encapsulation by coating micronized powder with the excipient in such a way that the active substance is capsulated whereby the powder electrostatic properties mainly comes from the excipient. Terbutaline sulfate, used for asthma treatment, was micronized and analyzed for particle size.

IT **475-31-0**, Glycocholic acid
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medical electropowders for inhalers)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

102(e)

L21 ANSWER 6 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:72799 HCAPLUS
DOCUMENT NUMBER: 136:107571
TITLE: Oral delivery of macromolecules
INVENTOR(S): Byun, Youngro; Lee, Yong-kyu
PATENT ASSIGNEE(S): S. Korea
SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. 6,245,753.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002010153	A1	20020124	US 2001-845827	20010430
US 6245753	B1	20010612	US 1999-300173	19990427
WO 2002087597	A1	20021107	WO 2001-KR1723	20011012

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No → β-teach insulin or peptide conj. or C-24

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2002089820 A1 20021114 WO 2001-KR1722 20011012
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
US 1999-300173 A2 19990427
KR 1998-19469 A 19980528
US 2001-845827 A 20010430
US 2001-852131 A 20010509

AB Polysaccharides, which are widely used as an anticoagulant drugs, esp. heparin, are clin. administered only by i.v. or s.c. injection because of their strong hydrophilicity and high neg. charge. Amphiphilic heparin derivs. were synthesized by conjugation to bile acids, sterols, and alkanoic acids, resp. These heparin derivs. were slightly hydrophobic, exhibited good soly. in water, and have high anticoagulant activity. These slightly hydrophobic heparin derivs. are efficiently absorbed in the gastrointestinal tract and can be used in oral dosage forms. Methods of using these amphiphilic heparin derivs. and similarly modified macromols. for oral administration are also disclosed. Heparin-deoxycholic acid (DOCA) conjugates were prepd. by the reaction of DOCA with N-hydroxylsuccinimide in the presence of DCC followed by reaction with heparin. The water-sol. product (i.e., heparin-DOCA) was dialyzed for 1 day against water using a membrane and then freeze dried. The heparin-DOCA was further purified by reversed-phase chromatog. The anticoagulant activity of the compd. was detd.

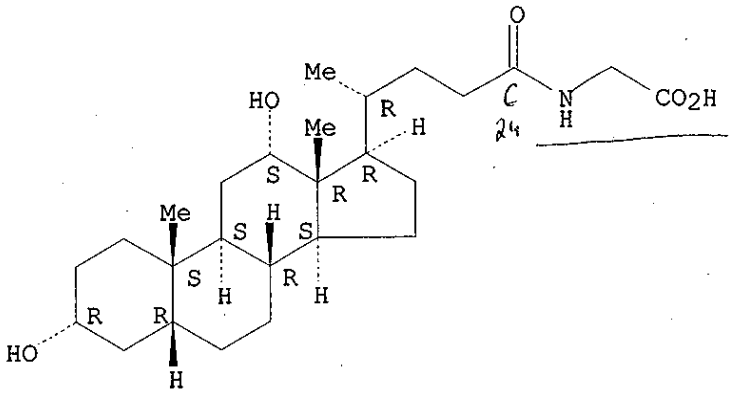
IT **9004-10-8DP**, **Insulin**, reaction products with hydrophobic agents
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oral delivery of macromols.)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **360-65-6D**, Glycodeoxycholic acid, reaction products with polysaccharides **475-31-0D**, Glycocholic acid, reaction products with polysaccharides **640-79-9D**, Glycochenodeoxycholic acid, reaction products with polysaccharides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral delivery of macromols.)
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-

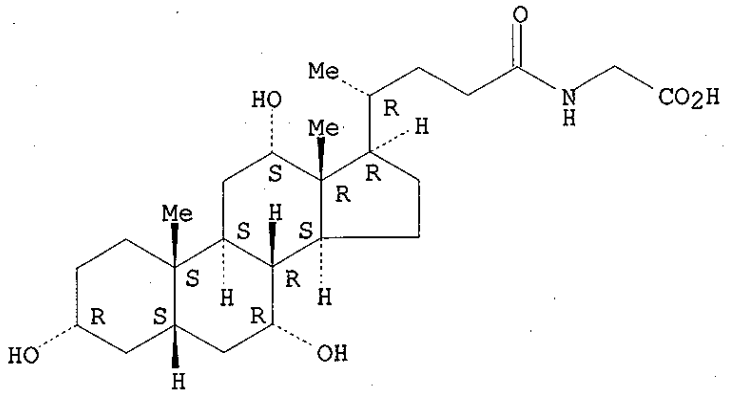
24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



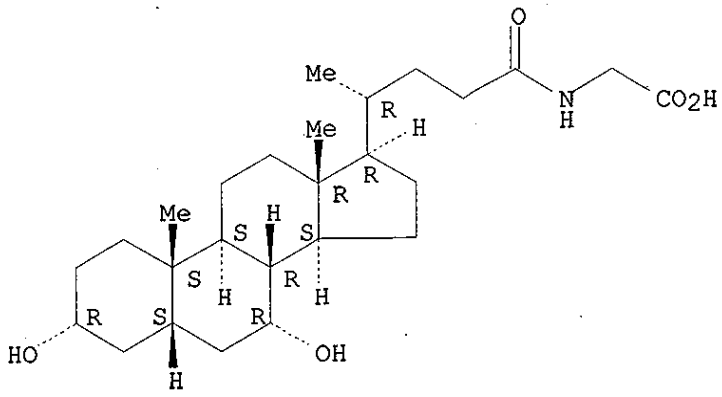
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 7 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:808253 HCAPLUS
DOCUMENT NUMBER: 135:348902
TITLE: Aerosol formulations for buccal and pulmonary application
INVENTOR(S): Modi, Pankaj
PATENT ASSIGNEE(S): Generex Pharmaceuticals Incorporated, Can.
SOURCE: U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 251,464.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6312665	B1	20011106	US 1999-386284	19990831
US 6436367	B1	20020820	US 1999-251464	19990217
WO 2000037051	A1	20000629	WO 1999-CA1231	19991216
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140019	A1	20011010	EP 1999-962009	19991216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002532536	T2	20021002	JP 2000-589162	19991216
NZ 512188	A	20021025	NZ 1999-512188	19991216
AU 760445	B2	20030515	AU 2000-18518	19991216
US 6375975	B1	20020423	US 2000-519285	20000306
US 6451286	B1	20020917	US 2000-574504	20000519
US 2003035831	A1	20030220	US 2002-222699	20020816

PRIORITY APPLN. INFO.: US 1998-113239P P 19981221
US 1999-251464 A2 19990217

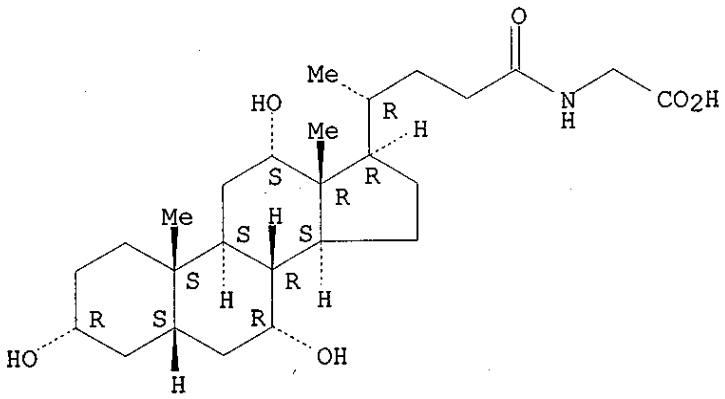
US 1999-386284 A 19990831
WO 1999-CA1231 W 19991216
US 2000-519285 A2 20000306
US 2000-574504 A2 20000519

AB A mixed micellar aerosol pharmaceutical formulation is provided. The formulation comprises a pharmaceutical agent, an alkali metal alkyl sulfate, at least three micelle-forming compds., a phenol and a propellant. The propellant provides enhanced absorption of the pharmaceutical agent in the buccal region. A process of making and a method of administering the compn. are also included. The aerosol formulations of invention resulted in comparable blood glucose level with injection formulations in diabetic volunteers.

IT **475-31-0 9004-10-8, Insulin, biological studies**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aerosol formulations for buccal and pulmonary application)

RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:730527 HCAPLUS
DOCUMENT NUMBER: 135:278035
TITLE: Method for administering insulin to the buccal region
INVENTOR(S): Modi, Pankaj
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072278	A2	20011004	WO 2001-IB564	20010221
WO 2001072278	A3	20020411		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-538829 A 20000330

AB A mixed micellar pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal C8 to C22 alkyl sulfate, alkali metal salicylate, a pharmaceutically acceptable edetate and at least one absorption enhancing compds. The absorption enhancing compds. are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol, glycolic acid, lactic acid, chamomile ext., cucumber ext., oleic acid, linolenic acid, borage oil, evening of primrose oil, trihydroxy oxo cholanylglycine, glycerin, polyglycerin, lysine, polylysine, triolein and mixts. thereof. The amt. of each absorption enhancing compd. is present in a concn. of from 1 to 10 wt./wt. of the total formulation, and the total concn. of absorption enhancing compds. are less than 50 wt./wt. of the formulation. A micellar soln. contained **insulin** 50 units, sodium lauryl sulfate 4.4, sodium salicylate 4.4, alkali metal edetate 2.2, sodium hyaluronate 1.1%, and Phospholipon-H 10 mg. Mixed micellar liposomal **insulin** formulation was prepd. from the above micellar soln. by addn. of phospholipin-H and iso-Pr alc. and high speed stirring for 30 min. The mixed micellar soln. was administered orally to volunteers. The soln. decreased the blood glucose level better than **insulin** injection.

IT **9004-10-8, Insulin,** biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for administering **insulin** to buccal region)

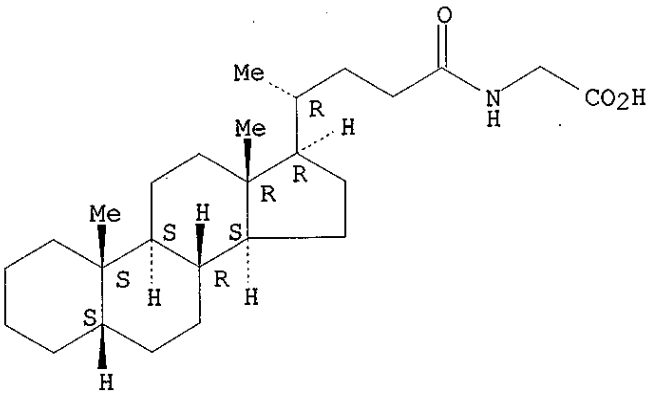
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **5661-86-9D,** trihydroxy oxo deriv.
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for administering **insulin** to buccal region)

RN 5661-86-9 HCAPLUS
CN Glycine, N-[(5.beta.)-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 9 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:687330 HCAPLUS
DOCUMENT NUMBER: 135:262222
TITLE: Mixed liposome pharmaceutical formulation with amphiphiles and phospholipids
INVENTOR(S): Modi, Pankaj
PATENT ASSIGNEE(S): Generex Pharmaceuticals, Inc., Can.
SOURCE: U.S., 12 pp., Cont.-in-part of U.S. 6,193,997.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6290987	B1	20010918	US 1999-391664	19990907
US 6193997	B1	20010227	US 1998-161447	19980927
BR 9915761	A	20010724	BR 1999-15761	19990927
WO 2001017506	A1	20010315	WO 2000-CA323	20000324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1217988	A1	20020703	EP 2000-912302	20000324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003508483	T2	20030304	JP 2001-521297	20000324

PRIORITY APPLN. INFO.:
US 1998-161447 A2 19980927
US 1999-391664 A 19990907
WO 2000-CA323 W 20000324

AB A mixed liposome pharmaceutical formulation with multilamellar vesicles is provided. The formulation comprises a pharmaceutical agent, water, an alkali metal alkyl sulfate, at least one membrane mimetic amphiphile, and at least one phospholipid. When aerosol

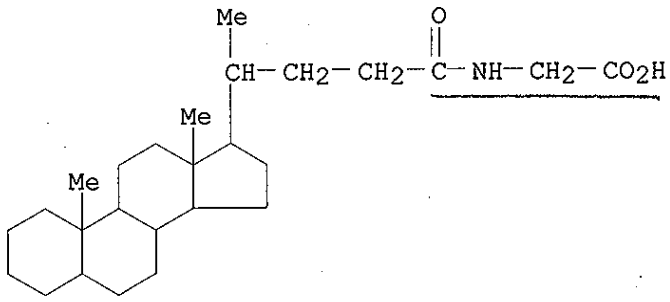
delivery is intended, the formulation also comprises a propellant and a phenol. A metered dose dispenser contg. the formulation, as well as a method of administering the formulation, are also provided. For example, **insulin** crystals were dissolved in presence of 0.3M HCl to obtain 100 U/mL **insulin**. To 10 mL of **insulin** soln., 50 mg sodium lauroyl sulfate was added. In 50 mL of water, 50 mg trihydroxy-oxo-cholanylglycine and 50 mg polydecanol 20-oleyl ether were added and dissolved and then mixed with the **insulin** soln. The mixt. was sprayed under pressure into a 1 wt.% soln. of phospholipid GLA to form mixed micelles. This procedure gave a mixed amphiphile **insulin** soln. with 50 U/mL. To 10 mL of the **insulin** soln., 100 mg of sodium lauryl sulfate was added and dissolved completely. In 50 mL of water, 100 mg sodium hyaluronate, 0.5 mL glycolic acid and 0.5 mL propylene glycol were added and dissolved and then mixed with the **insulin** soln. This mixt. was then sprayed under pressure into a 1 wt.% soln. of Phospholipon-H satd. lecithin, to form mixed micelles. The topical **insulin** formulation, within the scope of the present invention, at an equiv. dosage, is comparable with the injected **insulin**.

IT **9004-10-8, Insulin,** biological studies
68714-82-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mixed liposome compns. contg. membrane mimetic amphiphiles and phospholipids)

RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 68714-82-9 HCAPLUS
CN Glycine, N-(trihydroxy-24-oxocholan-24-yl)- (9CI) (CA INDEX NAME)



3 (D1—OH)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 10 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:676576 HCAPLUS
DOCUMENT NUMBER: 135:231706
TITLE: Pharmaceutical compositions for buccal and

pulmonary application
INVENTOR(S): Modi, Pankaj
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066085	A2	20010913	WO 2001-IB515	20010221
WO 2001066085	A3	20020411		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6375975	B1	20020423	US 2000-519285	20000306
EP 1261320	A2	20021204	EP 2001-919686	20010221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:

US 2000-519285	A	20000306
US 1998-113239P	P	19981221
US 1999-251464	A2	19990217
US 1999-386284	A2	19990831
WO 2001-IB515	W	20010221

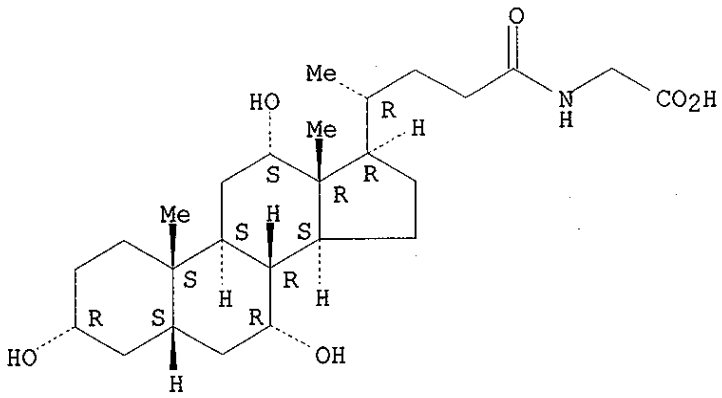
AB Pharmaceutical compns. comprising a macromol. pharmaceutical agent in mixed micellar form are disclosed. The mixed micelles are formed from an alkali metal alkyl sulfate, and at least 3 different micelle-forming compds. Micelle size ranges between about 1 and 10 nm. A preferred method for administering the present compn. is through the buccal region of the mouth. A soln. of powd. **insulin** (100 mg) in 10 mL water was prepd. and mixed with sodium lauryl sulfate 50, deoxycholate 36, trihydroxyoxocholanylglycine 50, and dibasic sodium phosphate 20 mg. This mixt. was then mixed with 250 mg glycerin, 40 mg m-cresol, and 40 mg phenol.

IT **9004-10-8, Insulin**, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. for buccal and pulmonary application)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **475-31-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. for buccal and pulmonary application)
RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 11 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:581685 HCAPLUS
DOCUMENT NUMBER: 135:157683
TITLE: Preparation of aqueous clear solution dosage forms with bile acids
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056547	A2	20010809	WO 2001-US3745	20010205
WO 2001056547	A3	20020718		
WO 2001056547	B1	20030220		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1255566	A2	20021113	EP 2001-908862	20010205

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2000-180268P P 20000204
WO 2001-US3745 W 20010205

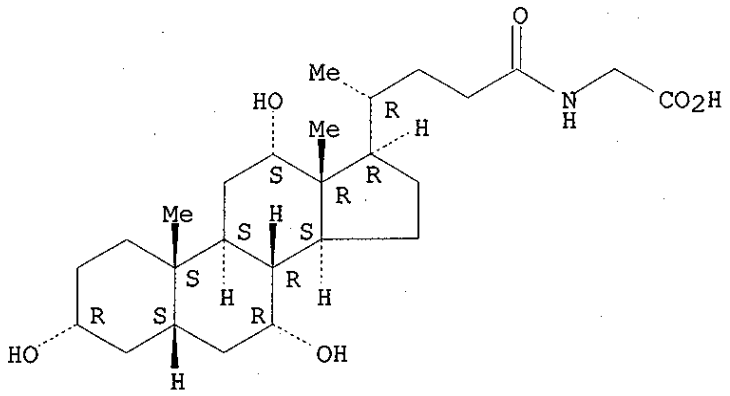
AB Compns. for pharmaceutical and other uses comprising clear aq.

solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aq. soln. and methods of making such solns. The compns. of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aq. sol. starch conversion product and a aq. sol. non-starch polysaccharide. The compn. remains in soln. without forming a ppt. over a range of pH values and, according to one embodiment, remains in soln. for all pH values obtainable in an aq. system. The compn., according to some embodiments, may further contain a pharmaceutical compd. in a pharmaceutically effective amt. Non-limiting examples of pharmaceutical compds. include **insulin**, heparin, bismuth compds., amantadine and rimantadine. A syrup compn. contained ursodeoxycholic acid 20 g, 1N NaOH 60 mL, corn syrup solid 1050 g, Bi citrate 4g, citric acid or lactic acid q.s. and purified water to 1L.

IT **475-31-0**, Glycocholic acid **64480-66-6**, Glycoursodeoxycholic acid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aq. clear soln. dosage forms with bile acids)

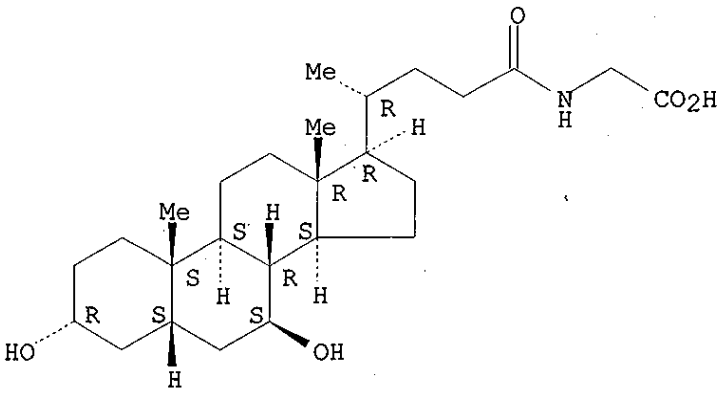
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 64480-66-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 12 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:355059 HCAPLUS
DOCUMENT NUMBER: 134:357576
TITLE: Preparation of mixed micellar delivery system for pharmaceutical proteins
INVENTOR(S): Modi, Pankaj
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.
SOURCE: U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 21,114.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6231882	B1	20010515	US 1998-216733	19981221
US 6017545	A	20000125	US 1998-21114	19980210
BR 9804295	A	20000328	BR 1998-4295	19981027
WO 9940932	A1	19990819	WO 1999-CA106	19990205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9925053	A1	19990830	AU 1999-25053	19990205
AU 750197	B2	20020711		
EP 1053011	A1	20001122	EP 1999-904638	19990205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 506024	A	20020201	NZ 1999-506024	19990205
US 6221378	B1	20010424	US 1999-386285	19990831
US 6350458	B1	20020226	US 2000-543988	20000406

PRIORITY APPLN. INFO.: US 1998-21114 A2 19980210
US 1998-216733 A 19981221

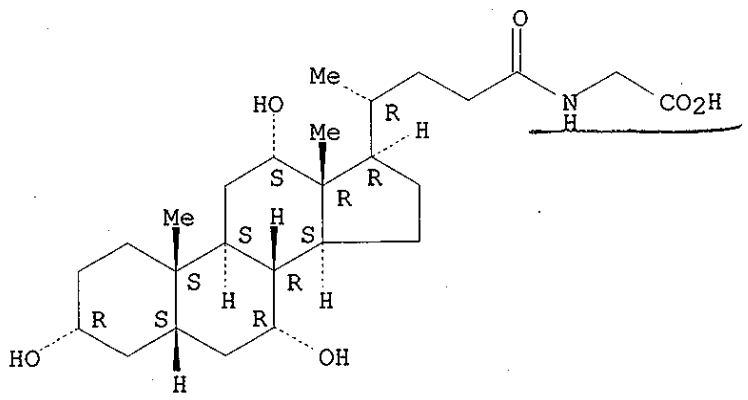
WO 1999-CA106 W 19990205
US 1999-386285 A2 19990831

AB A mixed micellar pharmaceutical formulation includes (1) a micellar proteinic pharmaceutical agent, i.e., heparin, hirulog, hirudin, interferons, interleukins, cytokines, and polyclonal antibodies, chemotherapeutic agents, glycoproteins, bacterial toxoids, hormones, antibiotics, platelet inhibitors, DNA, RNA, antisense oligonucleotides, steroids, hypnotics, and pain killers, e.t.c., (2) an alkali metal C8-22 alkyl sulfate, (3) alkali metal salicylate, (4) a pharmaceutically acceptable edetate and (5) at least one absorption enhancing compds. The absorption enhancing compds. are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol, glycolic acid, lactic acid, chamomile ext., cucumber ext., oleic acid, linolenic acid, borage oil, evening primrose oil, trihydroxy oxo cholanylglycine, glycerin, polyglycerin, lysine, polylysine, triolein and mixts. thereof. The amt. of each absorption enhancing compd. is present in a concn. of 1-10% by wt. of the total formulation, and the total concn. of absorption enhancing compds. are < 50% by wt. of the formulation. For example, a micellar **insulin** soln. was prepd. using 0.5 g sodium lauryl sulfate, 0.5 g Na salicylate, and 0.25 g disodium edetate dissolved in 10 mL of water. To this soln. 40 mg (1000 units) of **insulin** was added and dissolved completely while stirring, to give about 100 units/mL **insulin** oral soln. Compared to the injections, oral **insulin** gave a faster onset of action and lowered blood glucose levels without creating hypoglycemic condition. Due to the hepatic glucose prodn., there was a rebound effect. This is believed to be due to the incomplete absorption of **insulin**.

IT **475-31-0 9004-10-8, Insulin**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of mixed micellar delivery system for proteinic drugs)

RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 13 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:185551 HCAPLUS
DOCUMENT NUMBER: 134:242646
TITLE: Proteinic drug delivery system using membrane mimetics
INVENTOR(S): Modi, Pankaj
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001017506	A1	20010315	WO 2000-CA323	20000324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6290987	B1	20010918	US 1999-391664	19990907
EP 1217988	A1	20020703	EP 2000-912302	20000324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003508483	T2	20030304	JP 2001-521297	20000324

PRIORITY APPLN. INFO.:
US 1999-391664 A 19990907
US 1998-161447 A2 19980927
WO 2000-CA323 W 20000324

AB A mixed liposome pharmaceutical formulation with multilamellar vesicles, which formulation may be administered through the oral or nasal membranes, or by pulmonary access. The formulation includes a proteinic pharmaceutical agent, water, an alkali metal C8-22 alkyl sulfate 1-10 %, at least one membrane-mimetic amphiphile and at least one phospholipid. The amt. of each membrane mimetic amphiphile and phospholipid is present in a concn. of 1-10 % of the total formulation, and the total concn. of membrane mimetic amphiphiles and phospholipids is < 50 % of the formulation. A process for making the formulation, a container housing the formulation, and a method of administering the formulation are also disclosed. The method of administration includes mixing the formulation with a propellant and administering the mixt. orally using a metered dose dispenser. A mixed amphiphile **insulin** soln. was prepd. from an **insulin** soln., sodium lauryl sulfate, water, trihydroxy-oxo-cholanylglycine, polydecanol 20-oleyl ether, and phospholipid GLA (glycolic lactic acid), and orally administered by spraying the soln. to diabetic human volunteers.

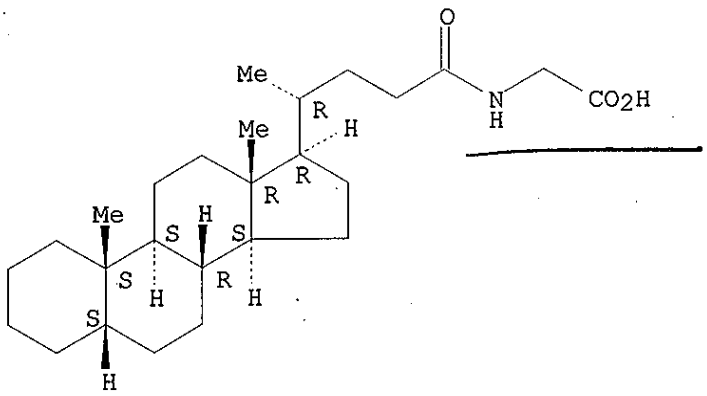
The results showed that the oral **insulin** formulation, within the scope of the present invention, at an equiv. dosage, is comparable with the injected **insulin**.

IT **9004-10-8, Insulin,** biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liposome compns. suitable for oral topical administration contg. proteinic drugs and alkali metal alkyl sulfates and phopholipids and membrane-mimetic amphiphiles)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **5661-86-9D,** trihydroxy oxo deriv., sodium salt
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liposome compns. suitable for oral topical administration contg. proteinic drugs and alkali metal alkyl sulfates and phopholipids and membrane-mimetic amphiphiles)
RN 5661-86-9 HCAPLUS
CN Glycine, N-[(5.beta.)-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 14 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:136991 HCAPLUS
DOCUMENT NUMBER: 134:198075
TITLE: Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents
INVENTOR(S): Patel, Mahesh V.; Chen, Feng-Jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012155	A1	20010222	WO 2000-US18807	20000710
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6309663	B1	20011030	US 1999-375636	19990817
EP 1210063	A1	20020605	EP 2000-947184	20000710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506476	T2	20030218	JP 2001-516502	20000710
US 2001024658	A1	20010927	US 2000-751968	20001229
US 6458383	B2	20021001		

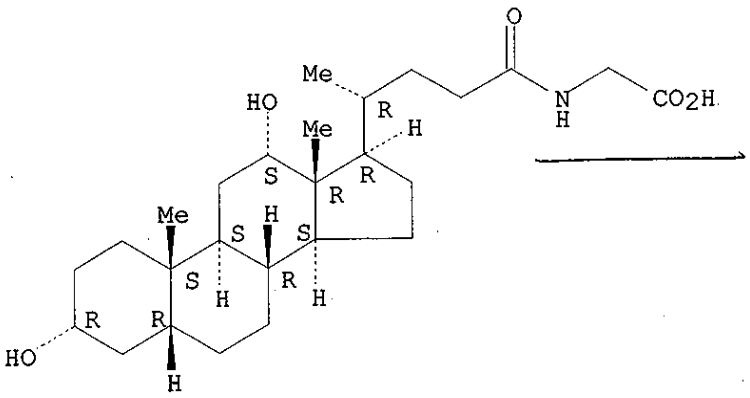
PRIORITY APPLN. INFO.: US 1999-375636 A 19990817
WO 2000-US18807 W 20000710

AB The present invention relates to triglyceride-free pharmaceutical compns., pharmaceutical systems, and methods for enhanced absorption of hydrophilic therapeutic agents. The compns. and systems include an absorption enhancing carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. A hydrophilic therapeutic agent can be incorporated into the compn., or can be co-administered with the compn. as part of a pharmaceutical system. The invention also provides methods of treatment with hydrophilic therapeutic agents using these compns. and systems. For example, when a compn. contg. Cremophor RH40 0.30, Arlacel 186 0.20, Na taurocholate 0.18, and propylene glycol 0.32 g, resp., was used, the relative absorption of PEG 4000 as a model macromol. drug was enhanced by 991%.

IT **360-65-6**, Glycodeoxycholic acid **475-31-0**, Glycocholic acid **640-79-9**, Glycochenodeoxycholic acid **9004-10-8, Insulin, biological studies** **64480-66-6**, Glycoursodeoxycholic acid **93790-70-6**, Cholylsarcosine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. for enhanced absorption of hydrophilic drugs using combination of surfactants)

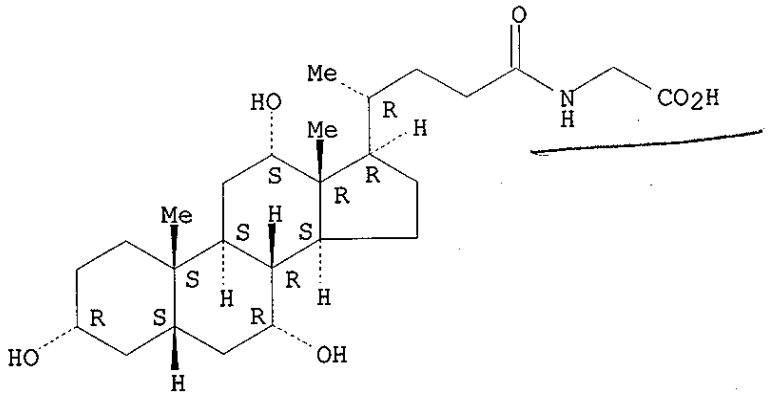
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



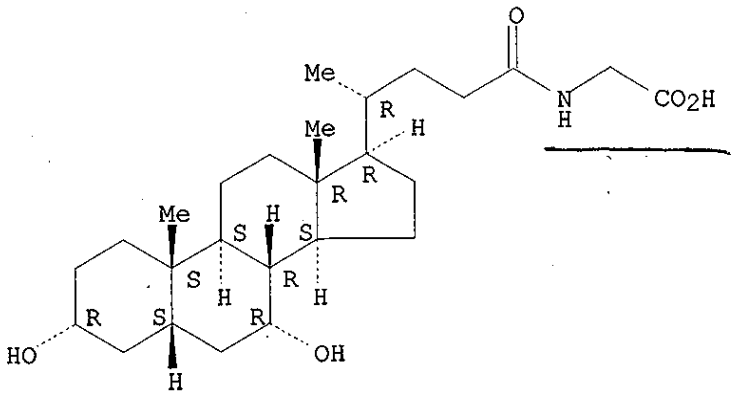
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

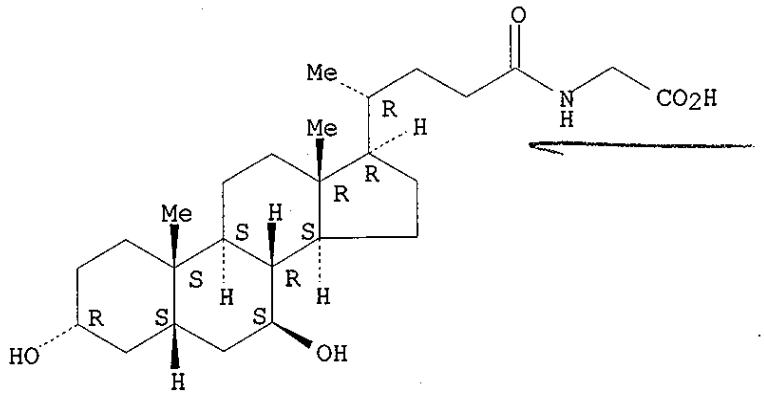
Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

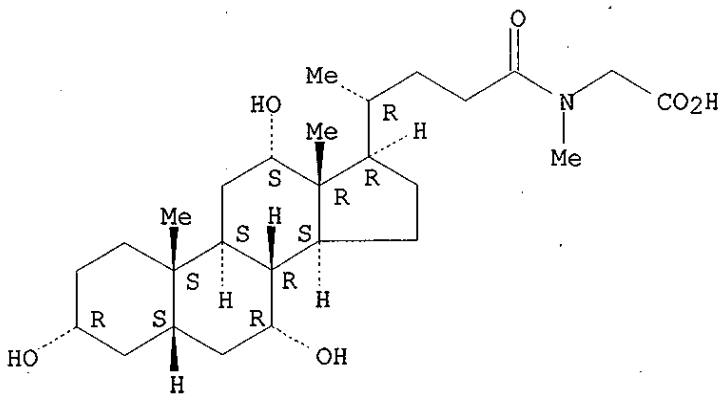
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 64480-66-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 93790-70-6 HCAPLUS
CN Glycine, N-methyl-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 15 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:101167 HCAPLUS
DOCUMENT NUMBER: 134:168315
TITLE: Enhancement of bioavailability of peptides with bile salts
INVENTOR(S): Morrison, James Duncan; Lucas, Michael Leslie; Wheeler, Sarah
PATENT ASSIGNEE(S): The University Court of the University of Glasgow, UK
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009163	A2	20010208	WO 2000-GB2903	20000728
WO 2001009163	A3	20010907		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
GB 2355009	A1	20010411	GB 1999-17793	19990730
AU 2000061739	A5	20010219	AU 2000-61739	20000728
EP 1228093	A2	20020807	EP 2000-948177	20000728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: GB 1999-17793 A 19990730
WO 2000-GB2903 W 20000728

APPL.

OTHER SOURCE(S): MARPAT 134:168315

AB The present invention relates to improving and/or increasing the bioavailability of a biol. active substance, such as a peptide. In particular the present invention relates to the conjugation of the biol. active substance to a bile acid. The conjugated biol. active substance is suitable particularly for oral or parental administration. Illeal administration of 600.mu.g/kg gastrin tetrapeptide conjugated to cholate resulted in a significant mean increase in gastric acid secretion of 1.84 .mu.mol over a 3 h collection period, while no increase in acid secretion was noticed by administration of tetragastrin alone or with sep. cholate.

IT **9004-10-8, Insulin**, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enhancement of bioavailability of peptides with bile salts)

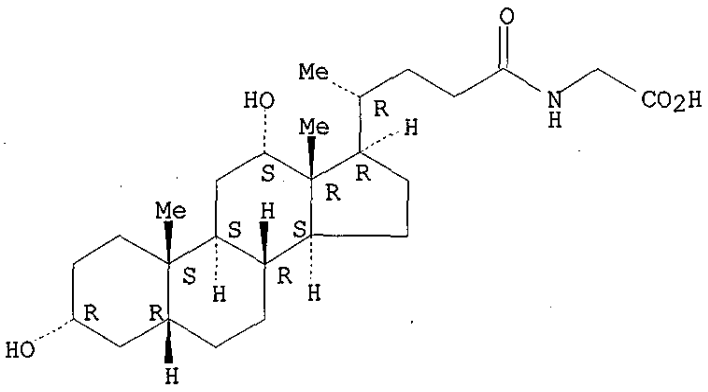
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **360-65-6D**, Glycodeoxycholic acid, salts **474-74-8D**, Glycolithocholic acid, salts **640-79-9D**, Glycochenodeoxycholic acid, salts **64480-66-6D**, Glycoursodeoxycholic acid, salts
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(enhancement of bioavailability of peptides with bile salts)

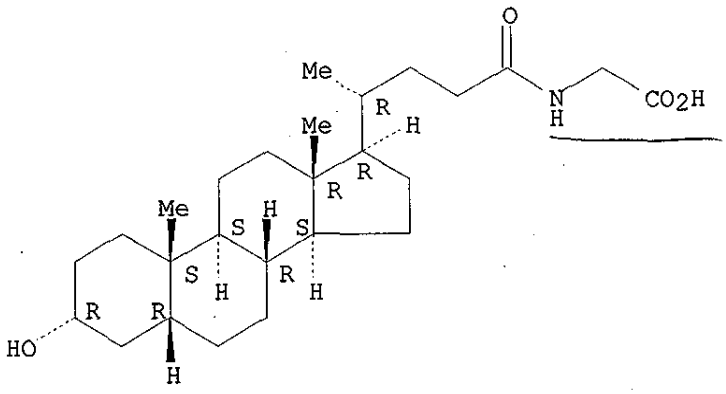
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



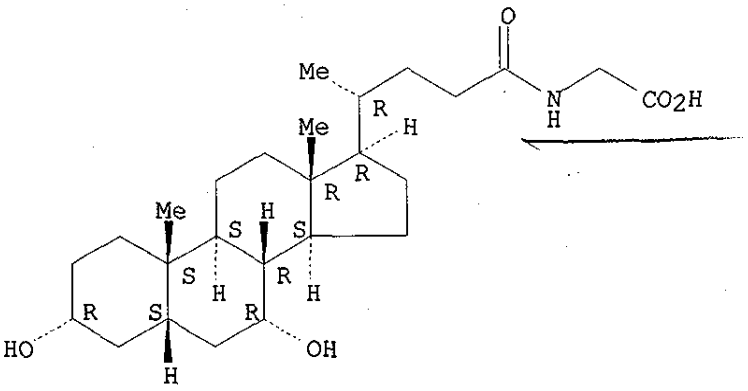
RN 474-74-8 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.)-3-hydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



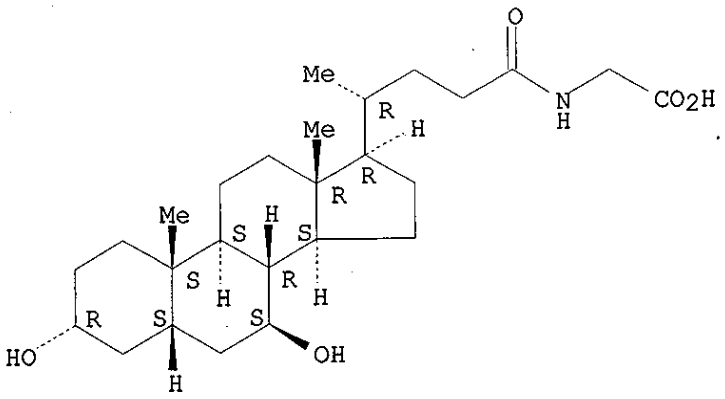
RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 64480-66-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 16 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:441628 HCAPLUS
DOCUMENT NUMBER: 133:68969
TITLE: Assays for ligands for nuclear receptors using peptide sequences
INVENTOR(S): Blanchard, Steven Gerard; Kliewer, Anthony; Lehmann, Jurgen; Parks, Derek J.; Stimmel, Julie Beth; Willson, Timothy Mark
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037077	A1	20000629	WO 1999-US30947	19991222
W: AE, AL, AM, AT, AU, AZ, BG, BR, CA, CH, CN, CU, DE, DK, EE, ES, FI, GB, GD, GH, HR, IN, IS, JP, LK, LU, LV, MD, MN, MW, MX, NO, RU, SD, SE				
RW: GH, GM, KE, LS, MW, SD, SL, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, MR, NE, TD, TG				
CA 2356887	AA	20000629	CA 1999-2356887	19991222
EP 1140079	A1	20011010	EP 1999-967639	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002532729	T2	20021002	JP 2000-589188	19991222

PRIORITY APPLN. INFO.: US 1998-135097P P 19981223
WO 1999-US30947 W 19991222

OTHER SOURCE(S): MARPAT 133:68969

AB The present invention provides a method of identifying compds. for the treatment of diseases or disorders modulated by farnesoid X receptor (FXR), comprising the step of detg. whether the compd. interacts directly with FXR, wherein a compd. that interacts directly with FXR is a compd. for the treatment. A generic approach to assay development for nuclear receptors is presented, using purified ligand binding domains. The concept of generic assay development is extended to develop in vitro assays that detect

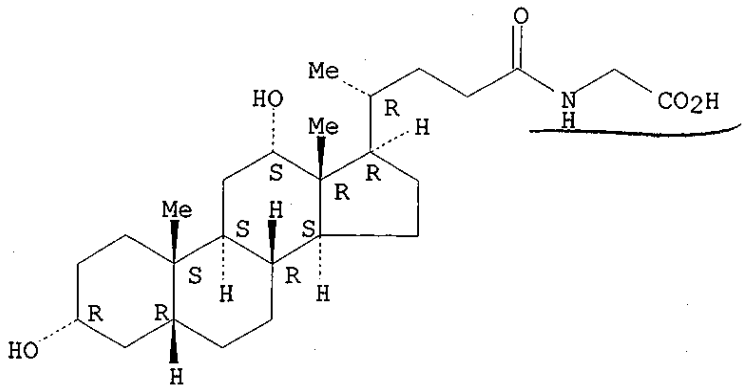
ligand binding by monitoring ligand-induced changes in receptor heterodimerization. This approach is demonstrated using both scintillation proximity and homogeneous time-resolved fluorimetry (HTRF). Another aspect of the invention is a nuclear receptor peptide assay for identifying ligands. This assay utilizes fluorescence resonance energy transfer (FRET) and can be used to test whether putative ligands bind to FXR. The FRET assay is based upon the principle that ligands induce conformational changes in nuclear receptors that facilitate interactions with coactivator proteins required for transcriptional activation. Binding of the FXR nuclear receptor can result in the alteration of expression of various genes that FXR aids in regulating, including genes involved in lipid absorption and digestion in the small intestine and lipid homeostasis in liver. FXR often functions as a heterodimer with the RXR receptor. The inventive method includes using this technol. to affect bile acid and cholesterol homeostasis such that, ultimately, cholesterol and lipid levels can be modified and in treating diseases in a mammal, including human, in which regulation of bile acid, cholesterol and lipid levels is important. For example, GW4064 (prepd. in a yield of 98%) was given to Fischer rats at a dose of 30 mg/kg for 7 days. At the and of study, serum triglyceride levels were decreased by 26% compared to a vehicle-treated controls. Nearly 20 genes were identified in the intestine that were regulated >1.5-fold by GW4064. The expression of roughly half of these genes was decreased by GW4064 treatment. All of these down-regulated genes are involved in either lipid absorption or proteolysis, including lipases, proteases, and a colipase.

IT **360-65-6 474-74-8 475-31-0**
640-79-9
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(identification of nuclear receptor ligands for treatment of diseases affected by cholesterol, triglycerides and bile acid levels)

RN 360-65-6 HCAPLUS

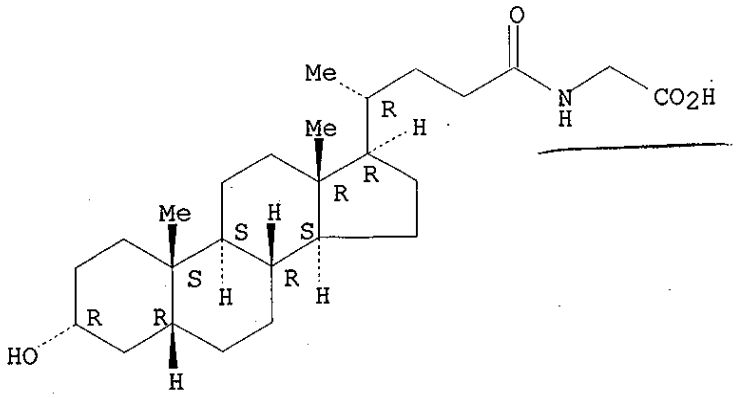
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



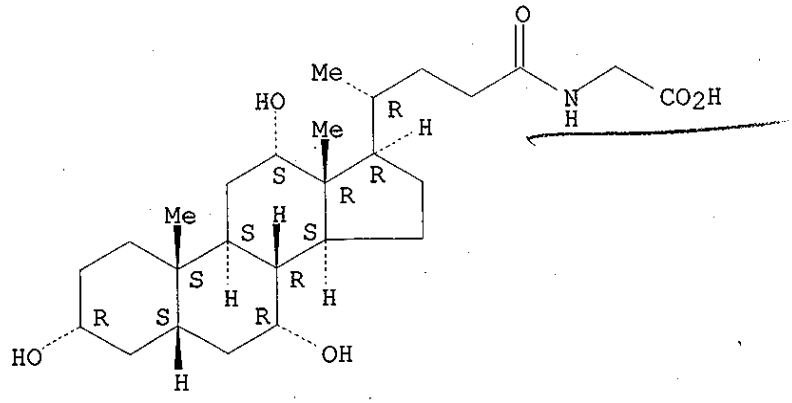
RN 474-74-8 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.)-3-hydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



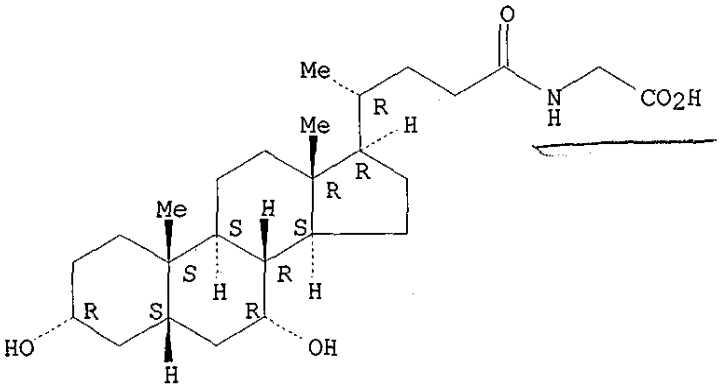
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 17 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:441602 HCAPLUS
DOCUMENT NUMBER: 133:63985
TITLE: Aerosol formulations for buccal and pulmonary application
INVENTOR(S): Modi, Pankaj
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037051	A1	20000629	WO 1999-CA1231	19991216
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6436367	B1	20020820	US 1999-251464	19990217
US 6312665	B1	20011106	US 1999-386284	19990831
EP 1140019	A1	20011010	EP 1999-962009	19991216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002532536	T2	20021002	JP 2000-589162	19991216
NZ 512188	A	20021025	NZ 1999-512188	19991216
AU 760445	B2	20030515	AU 2000-18518	19991216

PRIORITY APPLN. INFO.:
US 1998-113239P P 19981221
US 1999-251464 A 19990217
US 1999-386284 A 19990831

WO 1999-CA1231 W 19991216

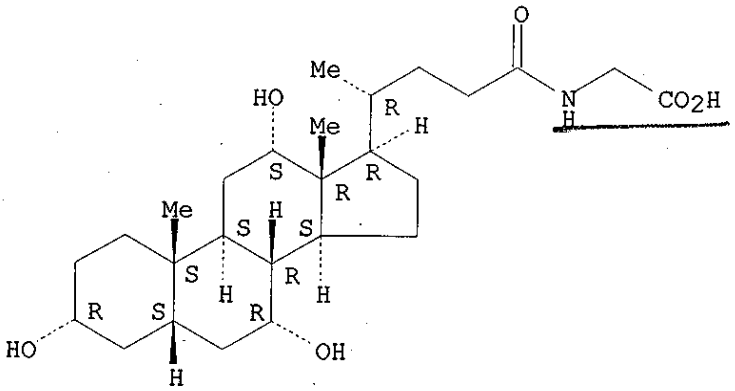
AB A mixed micellar aerosol pharmaceutical formulation includes a micellar protein pharmaceutical agent, an alkali metal lauryl sulfate, at least three micelle forming compds., a phenol and a propellant. The micelle forming compds. are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, glycolic acid, lactic acid, chamomile ext., cucumber ext., oleic acid, linoleic acid, linolenic acid, monoolein, monooleates, monolaurates, borage oil, evening of primrose oil, menthol, trihydroxy oxocholanyl glycine and pharmaceutically acceptable salts thereof, glycerin, polyglycerin, lysine, polylysine, triolein, polyoxyethylene ethers and analogs thereof, polydocanol alkyl ethers and analogs thereof, chenodeoxycholate and deoxycholate. The amt. of each micelle forming compd. is present in a concn. of from 1 to 20 wt./wt.% of the total formulation, and the total concn. of micelle forming compds. are less than 50 wt./wt.% of the formulation. The propellant, e.g., a fluorocarbon propellant, provides enhanced absorption of the pharmaceutical agent, particularly in the buccal cavity. An example was given using **insulin** as the active ingredient.

IT **475-31-0**
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aerosol formulations for buccal and pulmonary application)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8, Insulin**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aerosol formulations for buccal and pulmonary application)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 18 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:290817 HCAPLUS
DOCUMENT NUMBER: 132:326059
TITLE: Associates of macromolecules and complex aggregates for improved payload and controlled drug delivery
INVENTOR(S): Cevc, Gregor
PATENT ASSIGNEE(S): Idea Innovative Dermale Applikationen Gmbh, Germany
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024377	A1	20000504	WO 1998-EP6750	19981023
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309633	AA	20000504	CA 1998-2309633	19981023
AU 9914350	A1	20000515	AU 1999-14350	19981023
EP 1039880	A1	20001004	EP 1998-958234	19981023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9814415	A	20001010	BR 1998-14415	19981023
JP 2002528406	T2	20020903	JP 2000-577988	19981023
NO 2000003287	A	20000823	NO 2000-3287	20000622

PRIORITY APPLN. INFO.: WO 1998-EP6750 A 19981023

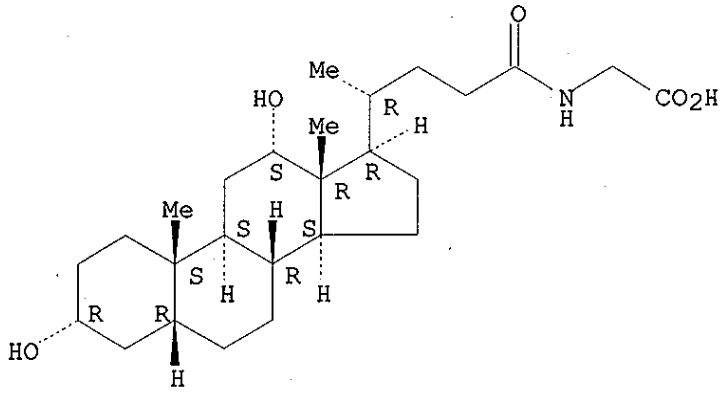
AB This invention describes the principles and procedures suitable for developing, testing, manufg., and using combinations of various amphipathic, if necessary modified, macromols. (such as polypeptides, proteins, etc.) or other chain mols. (such as suitable, e.g. partly hydrophobic, polynucleotides or polysaccharides) with the aggregates which comprise a mixt. of polar and/or charged amphipathic mols. and form extended surfaces that can be freely suspended or supported. The methods can be utilized for the optimization of aggregates that, after assocn. with chain mols. exerting some activity or a useful function, are suitable for the application in vitro or in vivo, e.g., in the fields of drug delivery, diagnostics or biocatalysis. As special examples, mixts. of vesicular droplets consisting of lipids loaded (assocd.) with **insulin**, interferon, interleukin, nerve growth factor, calcitonin, and an Ig, etc., are described. Thus, ultradeformable and flexible vesicles (Transfersomes) were prepd. from soybean phosphatidylcholine 874.4 and sodium cholate 125.6 mg, and pH 7.1 9 mL phosphate buffer. To this suspension (5% total lipid content) was added 0.1, 0.5, 1, 2, 3, or 4 mg/**insulin**/100 mg total lipid.

IT **360-65-6D**, GlycodeoxyCholic acid, monovalent salts
475-31-0D, GlycoCholic acid, monovalent salts

9004-10-8, Insulin, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(assocs. of macromols. and complex aggregates for improved payload and controlled drug delivery)

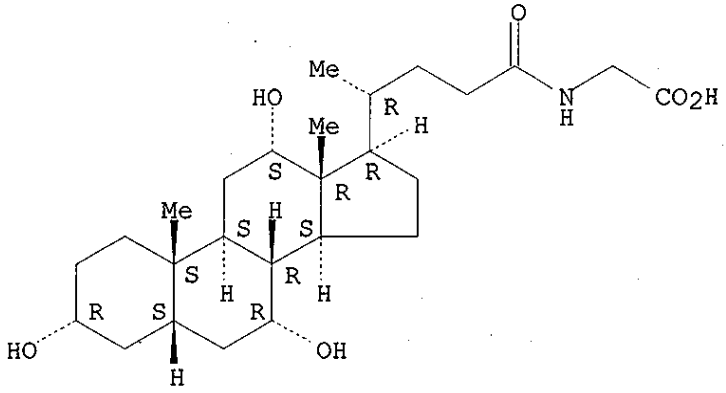
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 19 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:227475 HCAPLUS

DOCUMENT NUMBER: 132:270064
TITLE: Protein drug delivery system using membrane mimetics
INVENTOR(S): Modi, Pankaj
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018371	A1	20000406	WO 1999-CA879	19990923
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6193997	B1	20010227	US 1998-161447	19980927
CA 2345075	AA	20000406	CA 1999-2345075	19990923
AU 9958435	A1	20000417	AU 1999-58435	19990923
AU 749892	B2	20020704		
EP 1115381	A1	20010718	EP 1999-945793	19990923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525309	T2	20020813	JP 2000-571892	19990923
NZ 510191	A	20020927	NZ 1999-510191	19990923
BR 9915761	A	20010724	BR 1999-15761	19990927

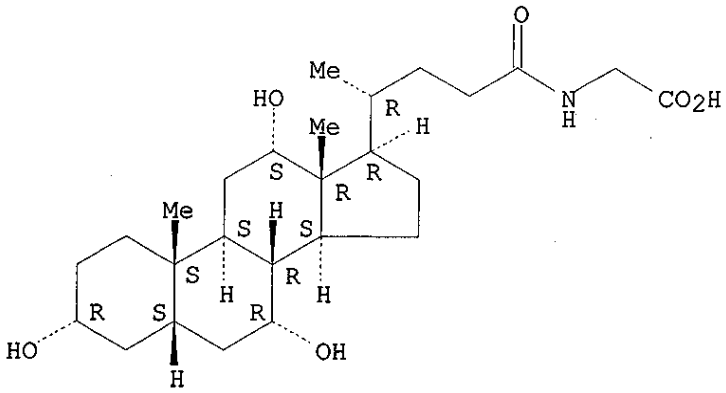
PRIORITY APPLN. INFO.: US 1998-161447 A 19980927
WO 1999-CA879 W 19990923

AB A mixed liposome pharmaceutical formulation with multilamellar vesicles, comprises a protein pharmaceutical agent, water, an alkali metal lauryl sulfate in a concn. of from 1 to 10 wt./wt.%, at least one membrane-mimetic amphiphile and at least one phospholipid. The amt. of each membrane mimetic amphiphile and phospholipid is present 1 to 10 wt./wt.% of the total formulation, and the total concn. of membrane mimetic amphiphiles and phospholipids is less than 50 wt./wt.% of the formulation. A compn. was prepd. contg. **insulin** soln., Na lauryl sulfate, trihydroxyoxocholanylglycine, and polydecanol 20-oleyl ether and this mixt. sprayed under pressure into a 1 wt.% soln. of phospholipid GLA (glycolic, lactic acid) to form mixed micelles.

IT **475-31-0 475-31-0D**, alkali metal salts
9004-10-8, **Insulin**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(protein drug delivery system using membrane mimetics)

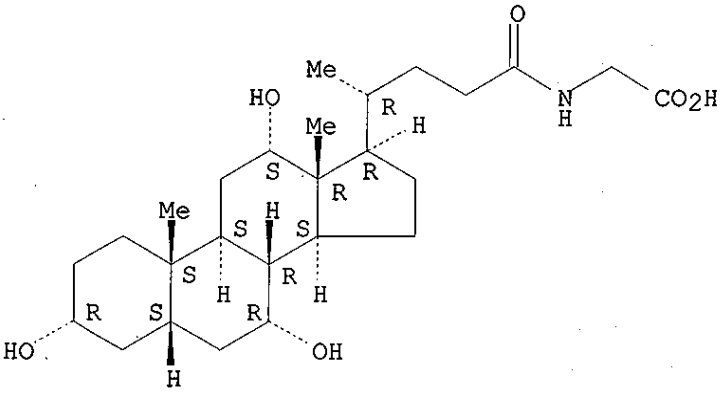
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 20 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:84582 HCAPLUS
DOCUMENT NUMBER: 132:141949
TITLE: Preparation of aqueous clear solution dosage forms with bile acids
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004875	A2	20000203	WO 1999-US12840	19990720
WO 2000004875	A3	20010503		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338457	AA	20000203	CA 1999-2338457	19990720
AU 9950819	A1	20000214	AU 1999-50819	19990720
AU 758679	B2	20030327		
EP 1113785	A2	20010711	EP 1999-935313	19990720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9912395	A	20011016	BR 1999-12395	19990720
JP 2002522357	T2	20020723	JP 2000-560868	19990720
PRIORITY APPLN. INFO.:			US 1998-94069P P	19980724
			WO 1999-US12840 W	19990720

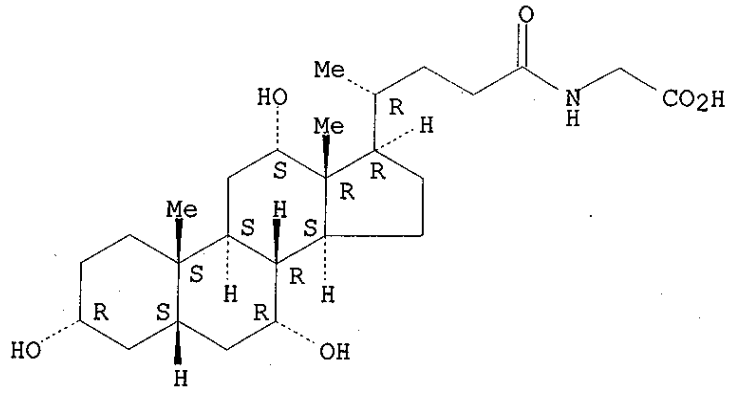
AB Compns. for pharmaceutical and other uses for prepg. clear aq. solns. contg. bile acids which do not form ppts. over selected ranges of pH values of the aq. soln. and methods of making such solns. are disclosed. The compns. of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and a high mol. wt. aq. sol. starch conversion product. The compn. remains in soln. without forming a ppt. over a range of pH values and, according to one embodiment, remains in soln. all pH values obtainable in an aq. system. The compn., according to some embodiments, may further contain a pharmaceutical compd. in a pharmaceutically effective amt. A pharmaceutical soln. which did not show any pptn. at any pH contained 3.alpha.-7.beta.-dihydroxy-5.beta.-cholanic acid 200 mg, maltodextrin 5, preservatives q.s., flavoring agent q.s., sweetener q.s., and water q.s. 100 mL.

IT **475-31-0**, Glycocholic acid **9004-10-8**, **Insulin**, biological studies **64480-66-6**, Glycoursodeoxycholic acid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of aq. clear soln. dosage forms with bile acids)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

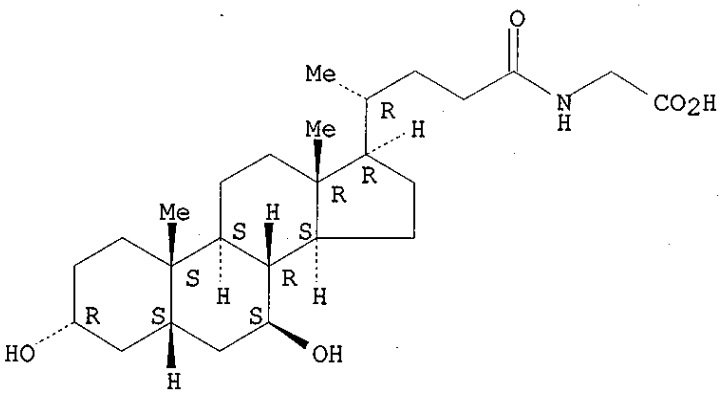
Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 64480-66-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 21 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:111185 HCAPLUS
DOCUMENT NUMBER: 130:350656
TITLE: Fast glycocholic acid concn. and diabetic hepatopathy
AUTHOR(S): Pan, Yunlong; Shi, Xinfa; Cheng, Yingying; Zhu, Yan; Zhang, Zhengwen
CORPORATE SOURCE: Yangzhou University Medical College Affiliated Hospital, Yangzhou, 225001, Peop. Rep. China
SOURCE: Jiangsu Yiyao (1998), 24(9), 679-680
CODEN: CIYADX; ISSN: 0253-3685
PUBLISHER: Jiangsu Yiyao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

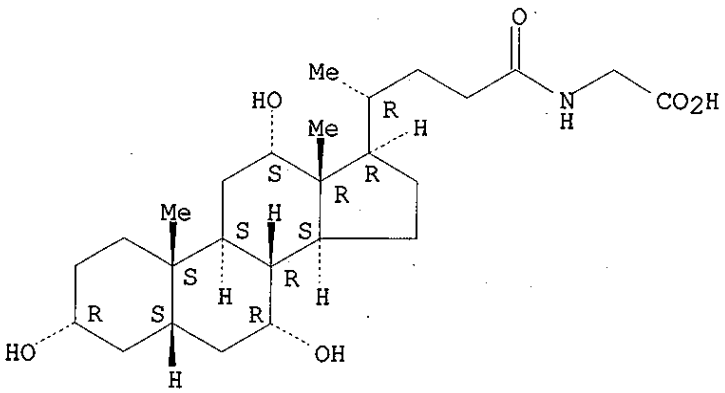
AB Fast glycocholic acid concn. and hepatic enzyme spectra were examd. in 35 patients with diabetes (5 IDDM and 30 NIDDM) and 30 healthy adults to study the relationship with diabetic hepatopathy. The glycocholic acid in the diabetes patients was 119.73.+-.82.45 vs. 65.79.+-.58.52 mg/L of the control, P< 0.05; GGT was 40.55.+-.32.91 vs. 11.86.+-.7.58 U/L, P< 0.05; ALP (alk. phosphatase) was 75.96.+-.44.88 vs. 71.66.+-.13.12, LDH was 396.73.+-.259.73 vs. 335.30.+-.77.54 U/L, ALT was 22.07.+-.15.49 vs. 18.91.+-.6.26 U/L, and AST (aspartate transaminase) was 25.24.+-.15.45 vs. 26.10.+-.6.79 U/L, P> 0.05. Glycocholic acid concn. obsd. no significant differences between patients with or without cholelithiasis, other chronic complications, and received oral hypoglycemic or **insulin** therapy. The glycocholic acid level was pos. correlated with GGT and ALP, .gamma.=0.470 and 0.501, P< 0.05. The results suggest the fast serum glycocholic acid is not related with diabetic chronic complications, which might be due to too few cases enrolled in this study.

IT **475-31-0**, Glycocholic acid **9004-10-8**, **Insulin**, biological studies
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(glycocholic acid and liver enzymes in human in relation to diabetic chronic complications)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 22 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:719127 HCAPLUS

DOCUMENT NUMBER: 129:335792

TITLE: Powder inhalants containing **insulin** and an absorption enhancer

INVENTOR(S): Backstrom, Kjell Goran Erik; Dahlback, Carl Magnus Olof; Edman, Peter; Johansson, Ann Charlotte Birgit

PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. 5,506,203.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5830853	A	19981103	US 1996-582702	19960104
US 5506203	A	19960409	US 1994-265371	19940623
US 5506203	C1	20010206		
US 2001003739	A1	20010614	US 2000-731429	20001206
US 2001025037	A1	20010927	US 2001-783189	20010214

PRIORITY APPLN. INFO.:
US 1994-265371 A2 19940623
SE 1993-2198 A 19930624
SE 1994-372 A 19940204
US 1996-582702 A1 19960104
US 1998-158554 A1 19980922

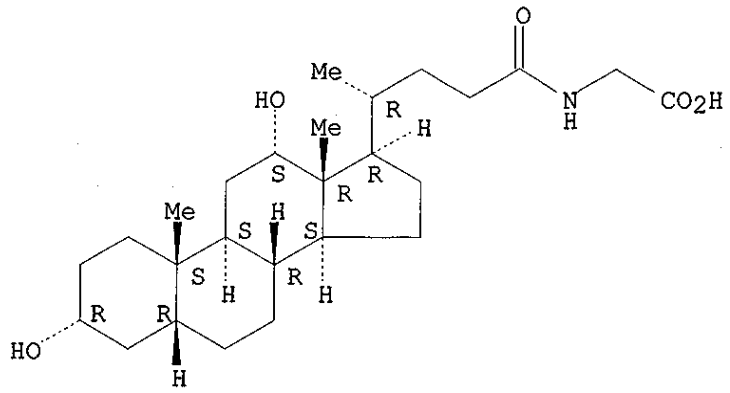
AB A method of treating a patient in need of **insulin** treatment, includes the steps of introducing into the lower respiratory tract of the patient an effective amt. of a therapeutic prepn. in the form of a dry powder contg. (a) **insulin** and (b) an enhancer compd. which enhances the absorption of **insulin** in the lungs of the patient. The enhancer of the invention is preferably a surfactant, such as a salt of a fatty acid, a bile salt, or a phospholipid. The enhancer may be, for example, a sodium, potassium, or org. amine (e.g., lysine) salt of the fatty acid, and the fatty acid is preferably capric acid or another fatty acid of 8-16 carbon atoms. The preferred fatty acid salt is sodium caprate. The ratio of **insulin** to enhancer will preferably vary from about 9:1 to about 1:1.

IT **9004-10-8, Insulin**, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(powder inhalants contg. **insulin** and an absorption enhancer)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

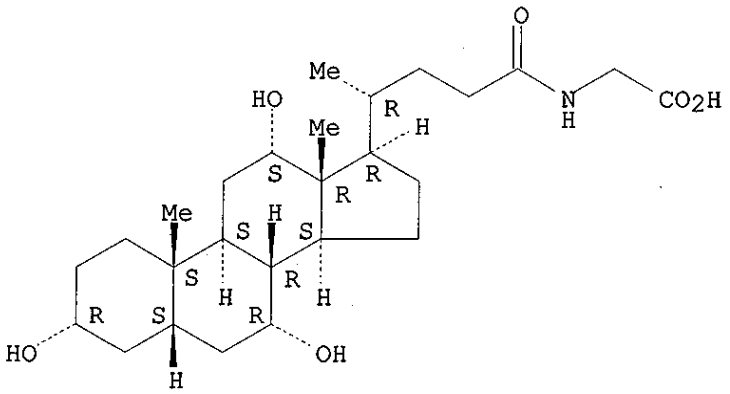
IT **360-65-6D**, Glycodeoxycholic acid, salts **475-31-0D**, Glycocholic acid, salts **640-79-9D**, Glycochenodeoxycholic acid, salts
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(powder inhalants contg. **insulin** and an absorption enhancer)
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



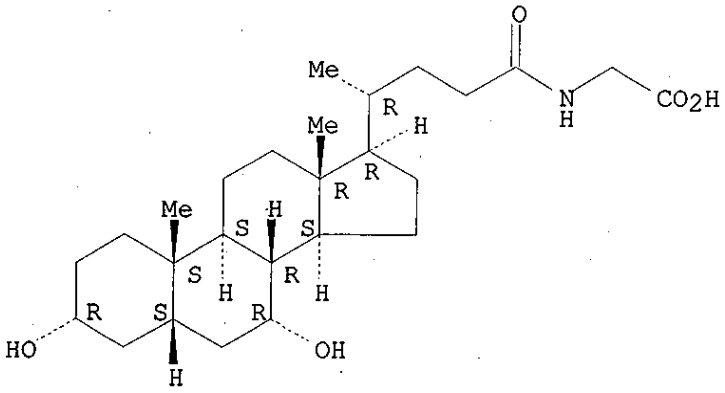
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 97 THERE ARE 97 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 23 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:289522 HCAPLUS
DOCUMENT NUMBER: 128:326540
TITLE: Therapeutic preparation for inhalation
INVENTOR(S): Backstrom, Kjell Goran Erik; Dahlback, Carl Magnus Olof; Edman, Peter; Johansson, Ann Charlotte Birgit
PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
SOURCE: U.S., 16 pp., Cont.-in-part of U.S. 5,518,998. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5747445	A	19980505	US 1996-583205	19960104
ZA 9404378	A	19950324	ZA 1994-4378	19940620
ZA 9404379	A	19950324	ZA 1994-4379	19940620
US 5518998	A	19960521	US 1994-265372	19940623
US 5518998	C1	20010213		
LT 3445	B	19951025	LT 1994-1977	19940624
LT 3649	B	19960125	LT 1994-1976	19940624
NZ 328475	A	20010427	NZ 1994-328475	19940624
US 5658878	A	19970819	US 1995-471488	19950606
US 5952008	A	19990914	US 1997-858122	19970519
US 6306440	B1	20011023	US 1997-906825	19970806
US 6165976	A	20001226	US 1998-72717	19980505

PRIORITY APPLN. INFO.:

SE 1993-2198	A	19930624
US 1994-265372	A2	19940623
SE 1994-370	A	19940204
SE 1994-371	A	19940204
NZ 1994-268138	A1	19940623
US 1994-265237	B3	19940623
US 1995-468418	B1	19950606
US 1995-471488	A1	19950606

US 1996-583205 A1 19960104

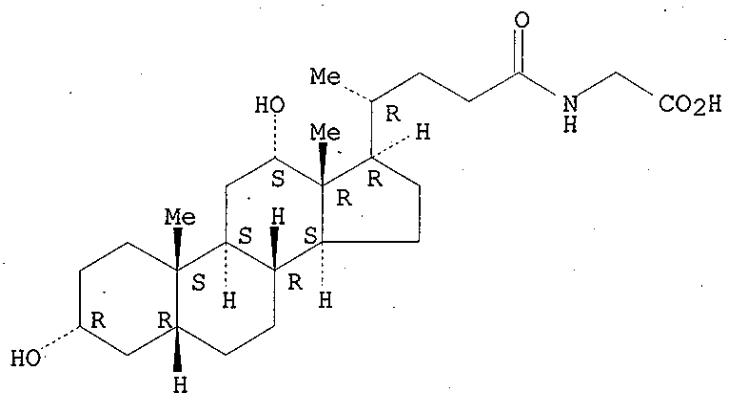
AB A therapeutic prepn. for inhalation comprising **insulin** and a substance which enhances the absorption of **insulin** in the lower respiratory tract, is provided in the form of a powder prepn. suitable for inhalation. A powder mixt. contg. Na ursodeoxycholate, **insulin**, and lactose at the wt. ratio of 4:4:92 was administered to rats by inhalation and blood glucose levels were monitored.

IT **360-65-6D**, Glycodeoxycholic acid, salts **640-79-9D**, Glycochenodeoxycholic acid, salts **9004-10-8**, **Insulin**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(powder inhalants contg. **insulin** and absorption enhancer)

RN 360-65-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

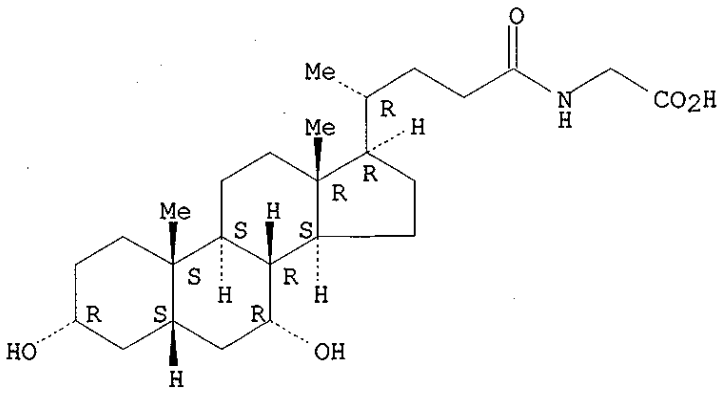
Absolute stereochemistry.



RN 640-79-9 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 95 THERE ARE 95 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 24 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:65831 HCAPLUS
DOCUMENT NUMBER: 128:132442
TITLE: Composition for enhanced uptake of polar drugs from mucosal surfaces
INVENTOR(S): Illum, Lisbeth; Watts, Peter James
PATENT ASSIGNEE(S): Danbiosyst UK Ltd., UK; Illum, Lisbeth; Watts, Peter James
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801159	A2	19980115	WO 1997-GB1852	19970707
WO 9801159	A3	19980326		
W: AU, CA, GB, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2257563	AA	19980115	CA 1997-2257563	19970707
AU 9734539	A1	19980202	AU 1997-34539	19970707
AU 722724	B2	20000810		
GB 2330533	A1	19990428	GB 1999-50	19970707
GB 2330533	B2	20001025		
EP 993305	A2	20000419	EP 1997-930663	19970707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000515503	T2	20001121	JP 1998-504949	19970707
NO 9805956	A	19981218	NO 1998-5956	19981218
KR 2000023583	A	20000425	KR 1999-700028	19990106

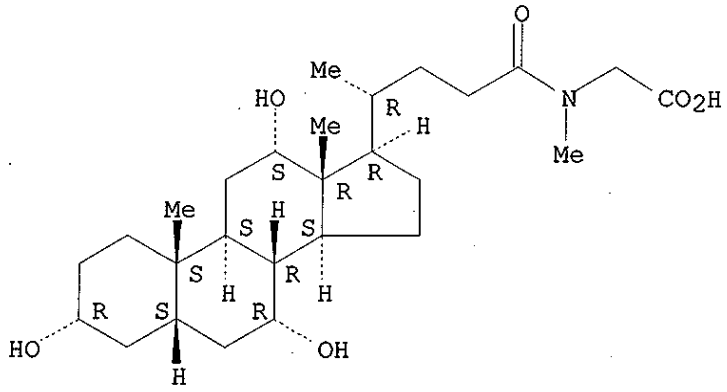
PRIORITY APPLN. INFO.: GB 1996-14235 A 19960706
WO 1997-GB1852 W 19970707

AB A compn. for administration to a mucosal surface of a mammal comprising a non-metabolizable bile salt analog and a therapeutic agent. Preferably the non-metabolizable bile salt analog is a non-naturally occurring conjugate of cholic acid and an amino acid, and in particular cholylsarcosine. Preferably the therapeutic agent is a polar mol. An example is given showing enhanced oral absorption of **insulin** by cholylsarcosine.

IT **93790-70-6P**, Cholylsarcosine
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(compn. for enhanced uptake of polar drugs from mucosal surfaces)

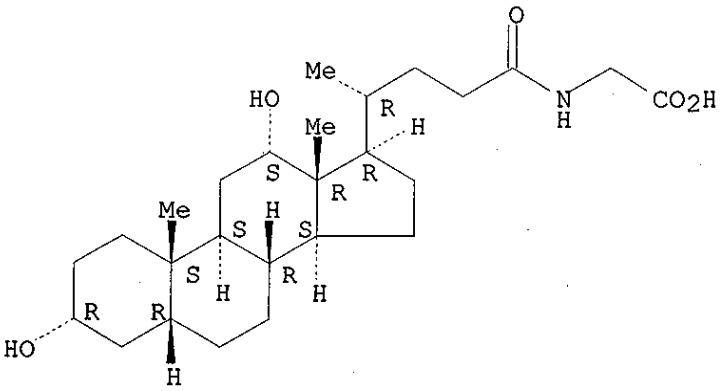
RN 93790-70-6 HCAPLUS
CN Glycine, N-methyl-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **360-65-6**, Glycodeoxycholic acid **9004-10-8**,
Insulin, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(compn. for enhanced uptake of polar drugs from mucosal surfaces)
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 25 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:55555 HCAPLUS
DOCUMENT NUMBER: 128:132418
TITLE: Hydrophobic preparations containing medium chain monoglycerides
INVENTOR(S): New, Roger Randal Charles; Kirby, Christopher

John
PATENT ASSIGNEE(S): Cortecs Ltd., UK; New, Roger Randal Charles; Kirby, Christopher John
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9800169	A1	19980108	WO 1997-GB1775	19970702
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9705856	A	19990104	ZA 1997-5856	19970701
CA 2259233	AA	19980108	CA 1997-2259233	19970702
AU 9733526	A1	19980121	AU 1997-33526	19970702
AU 709013	B2	19990819		
EP 910411	A1	19990428	EP 1997-929411	19970702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1224360	A	19990728	CN 1997-196069	19970702
BR 9710179	A	19990810	BR 1997-10179	19970702
NZ 333115	A	20000623	NZ 1997-333115	19970702
JP 2000515130	T2	20001114	JP 1998-503931	19970702
US 6258377	B1	20010710	US 1998-218289	19981222
KR 2000022353	A	20000425	KR 1998-710781	19981229
NO 9806211	A	19990302	NO 1998-6211	19981230
MX 9900275	A	20000331	MX 1999-275	19990104

PRIORITY APPLN. INFO.: GB 1996-13858 A 19960702
WO 1997-GB1775 W 19970702

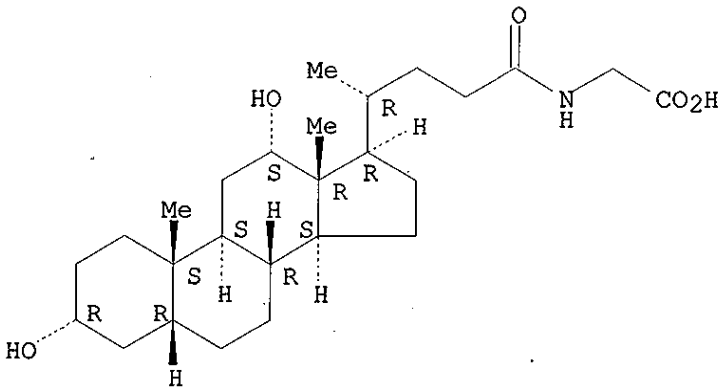
AB Hydrophobic prepns. which are useful as, among other things, pharmaceutical delivery systems comprise: (i) an oil phase comprising one or more medium chain monoglycerides, such as Akoline MCM; (ii) at least one amphiphile, preferably including a phospholipid such as phosphatidyl choline; and (iii) a hydrophilic species, which may be a protein such as **insulin** or calcitonin or another macromol., solubilized or otherwise dispersed in the one or more glycerides. (The hydrophilic species is one that is not normally sol. in the glycerides). An example is given of prepn. of a formulation contg. calcitonin-phosphatidylcholine complex.

IT **360-65-6D**, Glycodeoxycholic acid, salts **474-74-8D**, Glycolithocholic acid, salts **475-31-0D**, Glycocholic acid, salts **640-79-9D**, Glycochenodeoxycholic acid, salts **64480-66-6D**, Glycoursodeoxycholic acid, salts
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrophobic prepns. contg. medium chain monoglycerides)

RN 360-65-6 HCAPLUS

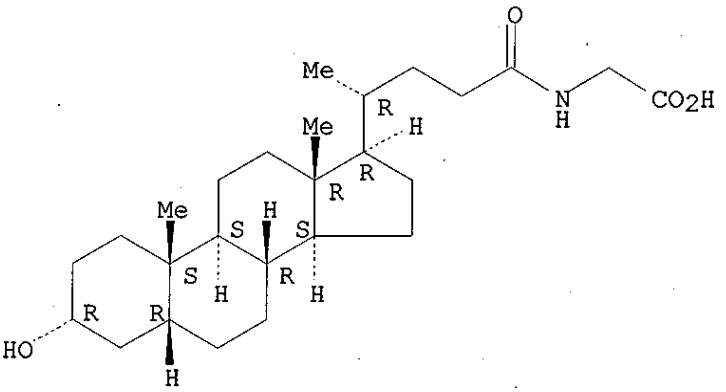
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



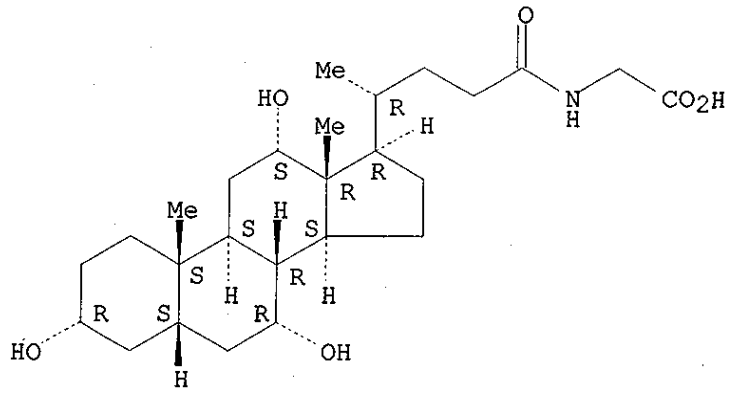
RN 474-74-8 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.)-3-hydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



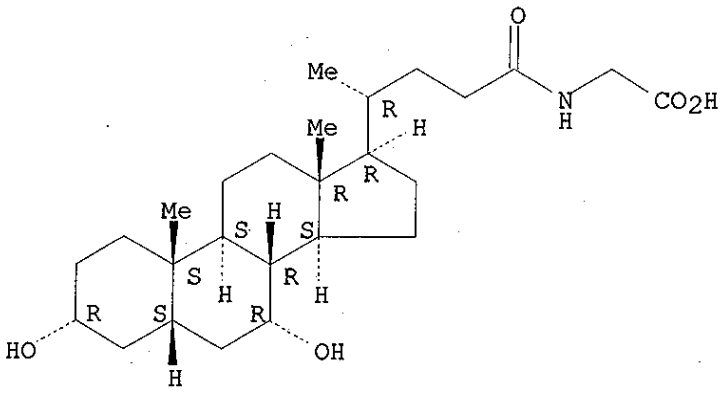
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



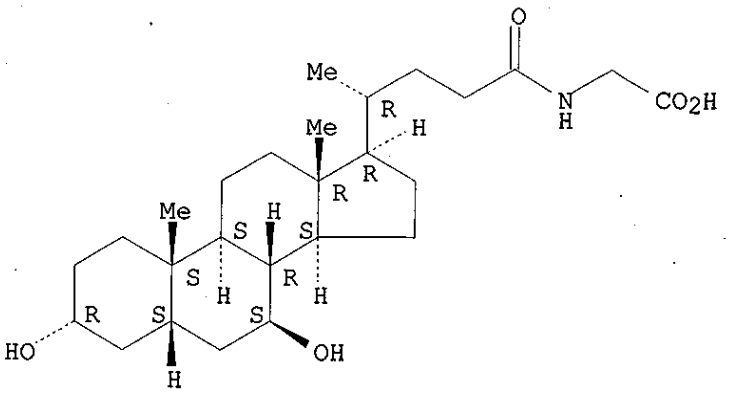
RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 64480-66-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8, Insulin,** biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrophobic prepns. contg. medium chain monoglycerides)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 26 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:15158 HCAPLUS
DOCUMENT NUMBER: 126:50999
TITLE: Liquid formulations for proteinic pharmaceuticals comprising at least 2 absorption enhancers
INVENTOR(S): Modi, Pankaj; Chandarana, Subash
PATENT ASSIGNEE(S): Modi, Pankaj, Can.; Chandarana, Subash
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9636352	A1	19961121	WO 1996-CA305	19960516
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5653987	A	19970805	US 1995-442358	19950516
CA 2210996	AA	19961121	CA 1996-2210996	19960516
CA 2210996	C	20010403		
AU 9656423	A1	19961129	AU 1996-56423	19960516
EP 813421	A1	19971229	EP 1996-913411	19960516

Non Conf.

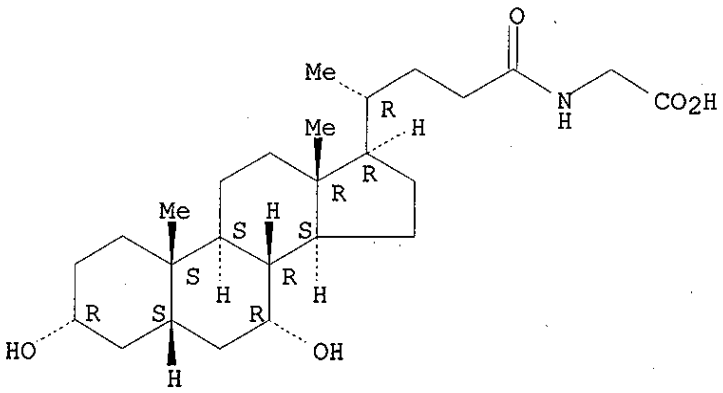
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE, IE, FI
PRIORITY APPLN. INFO.: US 1995-442358 A 19950516
WO 1996-CA305 W 19960516

AB A liq. pharmaceutical agent formulation suitable for oral or nasal delivery comprises a protein pharmaceutical agent, water and at least two absorption enhancing compds. The adsorption enhancing compds. are selected from sodium salicylate, sodium lauryl sulfate, disodium EDTA, oleic acid, linoleic acid, monoolein, lecithin, lysolecithin, deoxycholate, sodium deoxycholate, chenodeoxycholate, taurodeoxycholate, glycochenodeoxycholate, polyoxyethylene X-lauryl ether wherein X is from 9 to 20, sodium tauro-24, 25-dihydrofusidate, polyoxyethylene ether, polyoxyethylene sorbitan esters, p-t-octylphenoxypolyoxyethylene, N-lauryl-.beta.-D-maltopyranoside, 1-dodecylazacycloheptane-2-azone and phospholipids, wherein the amt. of each of the absorption enhancing compds. is present in a concn. of from 1 to 10 wt./wt% of the total formulation. Preferably each of the absorption enhancing compds. is present in a concn. of from 1.5 to 3.5 wt./wt%. The formulation is particularly adapted to oral delivery of **insulin**. A preferred **insulin** formulation contains about 2 wt.% each of chenodeoxycholate, deoxycholate and polyoxyethylene 9-lauryl ether absorption enhancers, an inorg. salt, e.g. sodium chloride, a protective polymer, e.g. gelatin, a protease inhibitor, e.g. bacitracin, and optionally an antioxidant, e.g. tocopherol.

IT **640-79-9**, Glycochenodeoxycholic acid **9004-10-8**, **Insulin**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liq. formulations for protein pharmaceuticals contg. absorption enhancers)

RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 27 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:748345 HCAPLUS

DOCUMENT NUMBER: 126:19332
TITLE: Preparation of peptides as modulators of amyloid aggregation
INVENTOR(S): Findeis, Mark A.; Benjamin, Howard; Garnick, Marc B.; Gefter, Malcolm L.; Hundal, Arvind; Kasman, Laura; Musso, Gary; Signer, Ethan R.; Wakefield, James; et al.
PATENT ASSIGNEE(S): Pharmaceutical Peptides Incorporated, USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628471	A1	19960919	WO 1996-US3492	19960314
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5817626	A	19981006	US 1995-404831	19950314
US 5854215	A	19981229	US 1995-475579	19950607
AU 9652524	A1	19961002	AU 1996-52524	19960314
EP 815134	A1	19980107	EP 1996-908805	19960314
EP 815134	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11514333	T2	19991207	JP 1996-527816	19960314
AT 218583	E	20020615	AT 1996-908805	19960314
AU 759036	B2	20030403	AU 2000-35389	20000519

PRIORITY APPLN. INFO.:

US 1995-404831	A	19950314
US 1995-475579	A	19950607
US 1995-548998	A	19951027
AU 1996-52524	A3	19960314
WO 1996-US3492	W	19960314

AB Compds. that modulate the aggregation of amyloidogenic proteins or peptides are disclosed. The modulators of the invention can promote amyloid aggregation or, more preferably, can inhibit natural amyloid aggregation. In a preferred embodiment, the compds. modulate the aggregation of natural .beta. amyloid peptides (.beta.-AP). In a preferred embodiment, the .beta. amyloid modulator compds. of the invention are comprised of an A.beta. aggregation core domain and a modifying group coupled thereto such that the compd. alters the aggregation or inhibits the neurotoxicity of natural .beta. amyloid peptides when contacted with the peptides. Furthermore, the modulators are capable of altering natural .beta.-AP aggregation when the natural .beta.-APs are in a molar excess amt. relative to the modulators. Pharmaceutical compns. comprising the compds. of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compds. of the invention, are also disclosed. These peptide compds. are bound to natural .beta.-amyloid peptides to facilitate diagnosis of a .beta.-amyloidogenic disease, in particular Alzheimer's disease, and are useful for treating a disorder assocd. with amyloidosis including, e.g. familial amyloid polyneuropathy or cardiomyopathy, isolated cardiac amyloid, systemic senile amyloidosis, scrapie, bovine spongiform encephalopathy, and Creutzfeldt-Jakob disease.

Thus, N-biotinyl-DAEFRHDSGYEVHHQKLVFFAEDVGSNKGAIIGLMVGGVV-OH (N-biotinyl-.beta.-AP1-40), prepd. by the solid phase synthesis using a N.alpha.-Fmoc-based protection strategy and Fmoc-Val-Wang resin, at 1% markedly inhibited aggregation of the natural .beta.-amyloid peptide (.beta.-AP1-40).

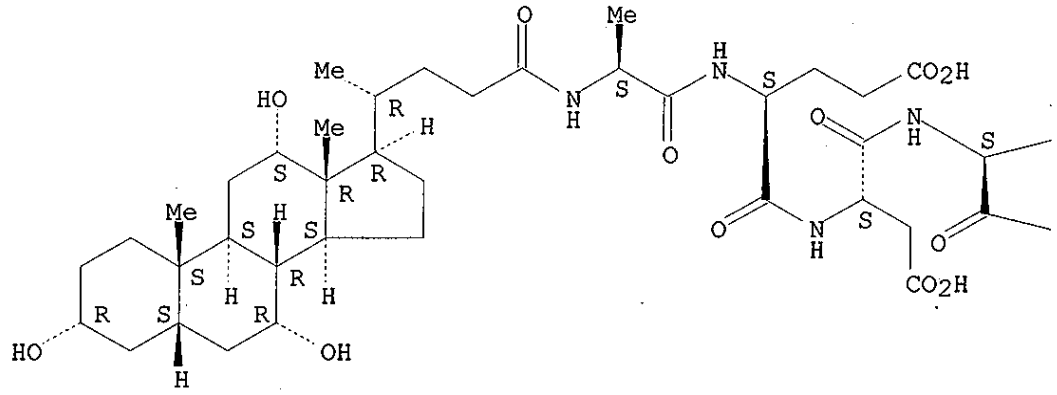
IT **183745-90-6P 183745-92-8P 183746-23-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as modulators of amyloid aggregation for treating amyloidosis-assocd. disorders)

RN 183745-90-6 HCAPLUS

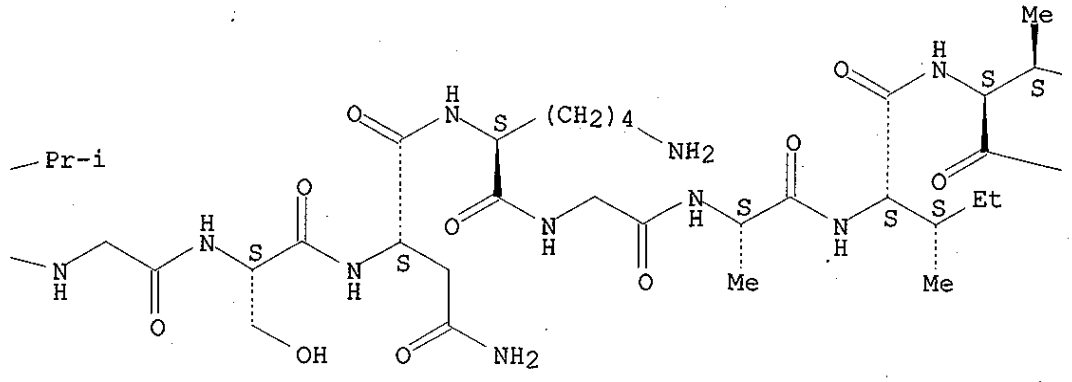
CN L-Methionine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-alanyl-L-.alpha.-glutamyl-L-.alpha.-aspartyl-L-valylglycyl-L-seryl-L-asparaginyl-L-lysylglycyl-L-alanyl-L-isoleucyl-L-isoleucylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

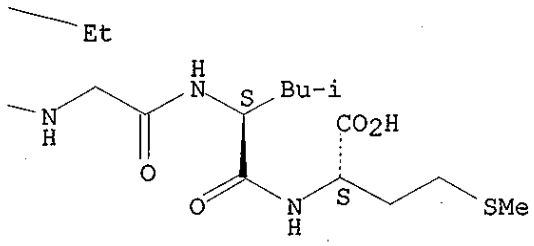
PAGE 1-A



PAGE 1-B



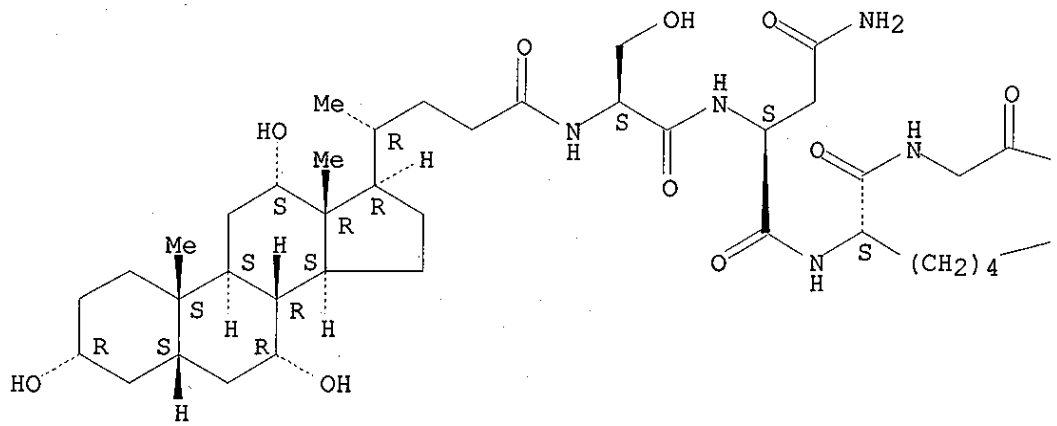
PAGE 1-C



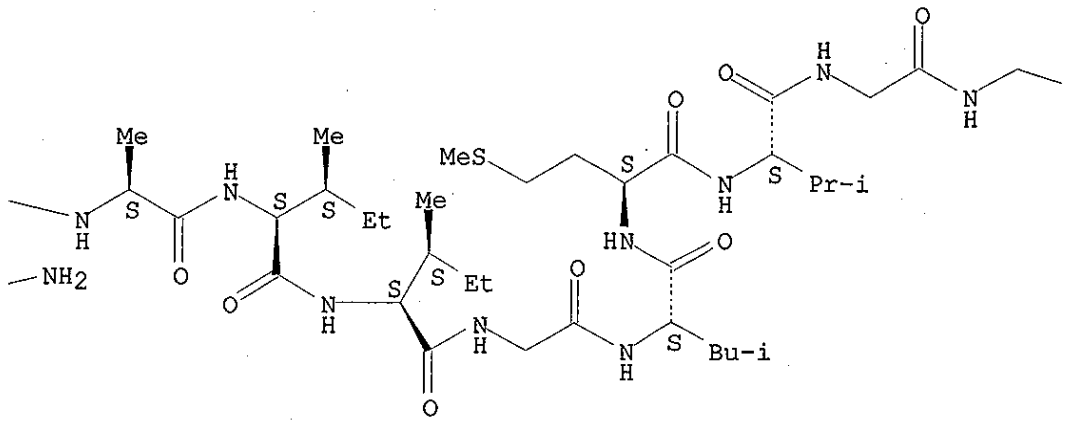
RN 183745-92-8 HCAPLUS
CN L-Valine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-seryl-L-asparaginyl-L-lysylglycyl-L-alanyl-L-isoleucyl-L-isoleucylglycyl-L-leucyl-L-methionyl-L-valylglycylglycyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

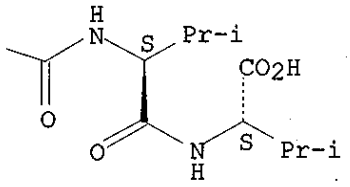
PAGE 1-A



PAGE 1-B



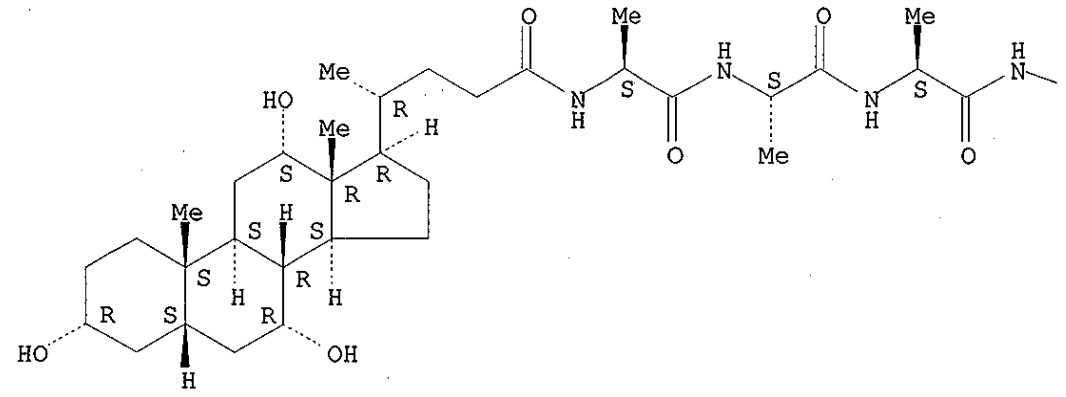
PAGE 1-C

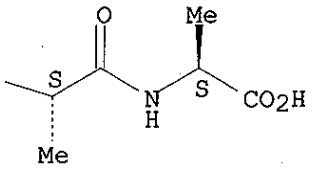


RN 183746-23-8 HCAPLUS
CN L-Alanine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-alanyl-L-alanyl-L-alanyl-L-alanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





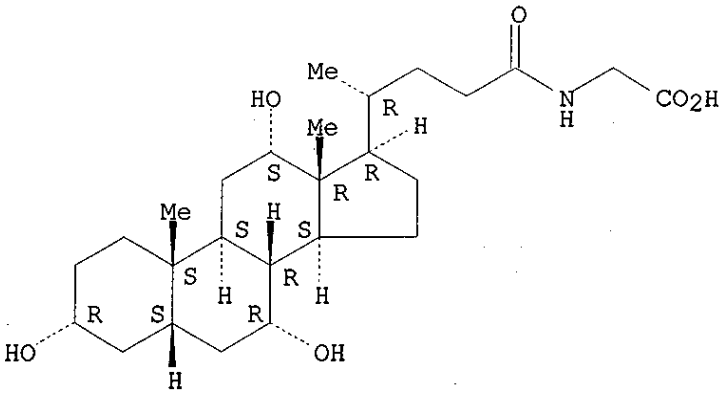
L21 ANSWER 28 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:522158 HCAPLUS
DOCUMENT NUMBER: 125:204274
TITLE: Tracheal absorption for pulmonary delivery of peptide and protein drugs
AUTHOR(S): Morimoto, K.; Uehara, Y.; Iwanaga, K.; Kakemi, M.
CORPORATE SOURCE: Dep. of Pharmaceutics, Osaka University of Pharmaceutical Sciences, Takatsuki, 569-11, Japan
SOURCE: Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1996), 23rd, 489-490
CODEN: PCRMEY; ISSN: 1022-0178
PUBLISHER: Controlled Release Society, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Permeations of hydrophilic and macromol. drugs contg. peptide and protein through tracheal epithelium were the same or relatively higher compared with nasal and intestinal tissues. Permeabilities of Gly-L-Phe and **insulin** were enhanced by peptidase inhibitors. Absorption through tracheal mucosa may be important on the pulmonary delivery for peptide and protein drugs.

IT **475-31-0**, Glycocholic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tracheal absorption for pulmonary delivery of peptide and protein drugs)

RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 29 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:476916 HCAPLUS
DOCUMENT NUMBER: 125:123763
TITLE: Powder formulations containing melezitose as a diluent
INVENTOR(S): Baeckstroem, Kjell; Johansson, Ann; Linden, Helena
PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619207	A1	19960627	WO 1995-SE1541	19951219
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9510753	A	19960624	ZA 1995-10753	19951218
CA 2206803	AA	19960627	CA 1995-2206803	19951219
AU 9643592	A1	19960710	AU 1996-43592	19951219
AU 702898	B2	19990311		
EP 799030	A1	19971008	EP 1995-942342	19951219
EP 799030	B1	20020724		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV				
CN 1171049	A	19980121	CN 1995-196965	19951219
CN 1080114	B	20020306		
BR 9510422	A	19980707	BR 1995-10422	19951219
HU 77648	A2	19980728	HU 1998-493	19951219
HU 217975	B	20000528		
JP 10510828	T2	19981020	JP 1995-519731	19951219
RU 2144819	C1	20000127	RU 1997-112496	19951219

EE 3381	B1	20010416	EE 1997-135	19951219	
CZ 288487	B6	20010613	CZ 1997-1946	19951219	
TW 474823	B	20020201	TW 1995-84113557	19951219	
EP 1224929	A2	20020724	EP 2001-130870	19951219	
EP 1224929	A3	20021218			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV					
AT 220900	E	20020815	AT 1995-942342	19951219	
PL 183944	B1	20020830	PL 1995-320751	19951219	
ES 2177674	T3	20021216	ES 1995-942342	19951219	
US 6004574	A	19991221	US 1996-617753	19960318	
NO 9702660	A	19970610	NO 1997-2660	19970610	
FI 9702654	A	19970619	FI 1997-2654	19970619	

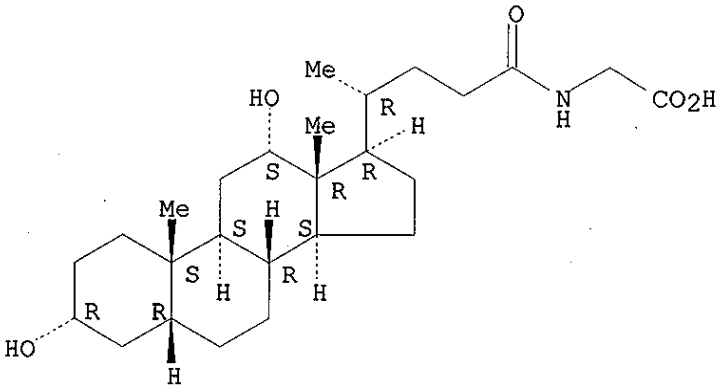
PRIORITY APPLN. INFO.: SE 1994-4468 A 19941222
EP 1995-942342 A3 19951219
WO 1995-SE1541 W 19951219

AB A powder formulation for the administration of medically useful polypeptides, comprises the polypeptides with melezitose as diluent. For example, 12 parts **insulin** was dissolved in distd. water and 4 parts Na taurocholate (absorption enhancer) was added. Melezitose 84 parts was added to the above mixt. and pH was adjusted to 7.4. The soln. was concd. by evapn. of the water and the obtained solid cake was crushed, sieved, and micronized in a jet mill. The micronized powder was agglomerated and filled into a dry powder inhaler.

IT **360-65-6D**, Glycodeoxycholic acid, salts **475-31-0D**, Glycocholic acid, salts **640-79-9D**, Glycochenodeoxycholic acid, salts **9004-10-8**, **Insulin**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(powder formulations contg. biol. active polypeptides and absorption enhancers and melezitose diluent)

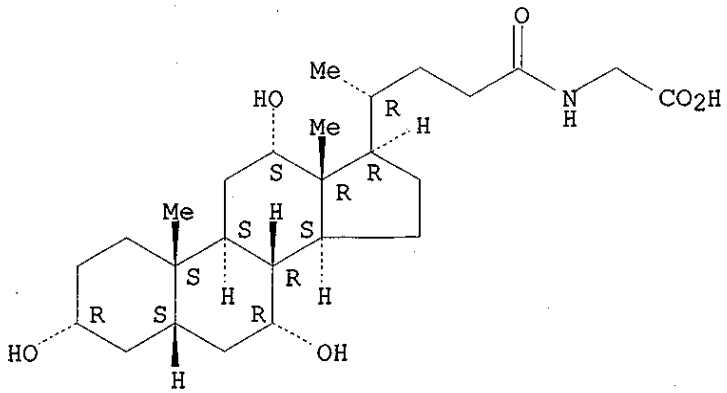
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



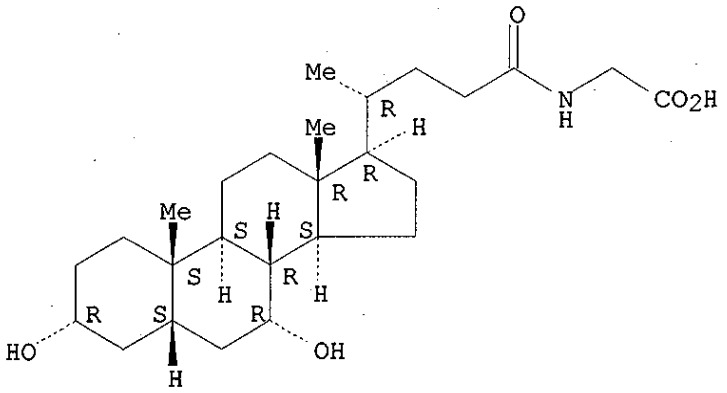
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 30 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:254843 HCAPLUS
DOCUMENT NUMBER: 124:325197
TITLE: Effects of polyacrylic polymers on the degradation of **insulin** and peptide drugs by chymotrypsin and trypsin
AUTHOR(S): Bai, Jane P. F.; Chang, L. L.; Guo, J. H.
CORPORATE SOURCE: College Pharmacy, University Minnesota, Minneapolis, MN, 55455, USA
SOURCE: Journal of Pharmacy and Pharmacology (1996), 48(1), 17-21
CODEN: JPPMAB; ISSN: 0022-3573
PUBLISHER: Royal Pharmaceutical Society of Great Britain

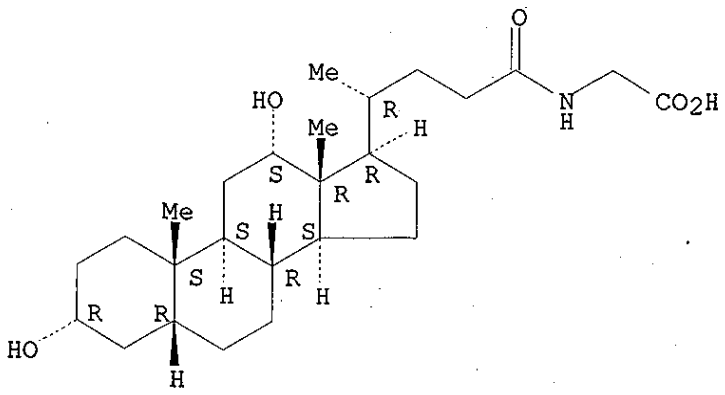
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The purpose of this study was to det. whether carbopol polymers, polyacrylic acid polymers, can inhibit lumenal degrdn. of **insulin**, calcitonin and **insulin**-like growth factor I (IGF-I) by trypsin and chymotrypsin and to understand whether reducing the pH of the incubation medium by these polymers results in inhibition. Further, the effects of carbopol polymers on the in-situ absorption of **insulin** were studied in rats. In saline, carbopol polymers at 1 and 4% (wt./vol.%) inhibited close to 100% of trypsin and chymotrypsin activities against **insulin**. In 50 mM Tris buffer, carbopol polymers, including 934P, 974P and 971P, at 0.1% only weakly inhibited degrdn. of calcitonin and **insulin** by both enzymes; however, as the polymer concn. increased to 0.4%, degrdn. of **insulin**, calcitonin, and IGF-I by both enzymes was complete or almost complete. When the Tris buffer was increased to 100 mM, no inhibition was obsd. at 0.1%. Detn. of the final pH of the incubation medium in the presence of polymers revealed that the inhibitory effects of carbopol polymers correlated with the final pH. When the incubation medium has no or low buffer capacity to buffer the protons released by carbopol polymers, these polymers are able to reduce the pH much lower than the optimum pH for the enzyme activities, and thus inhibit proteolytic degrdn. When the buffer capacity of the incubation medium increases, the inhibitory effects of carbopol polymers weaken. In-situ absorption of **insulin** revealed that carbopol polymers improved **insulin** absorption and induced a significantly greater decline in blood glucose levels. It is concluded that carbopol polymers with strong bioadhesive properties also can inhibit lumenal degrdn. of peptide hormones, offering multiple advantages for their uses in oral drug delivery.

IT **360-65-6**, Glycodeoxycholic acid **475-31-0**, Glycocholic acid
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(polyacrylic polymers effect on degrdn. of **insulin** and peptide drugs by chymotrypsin and trypsin)

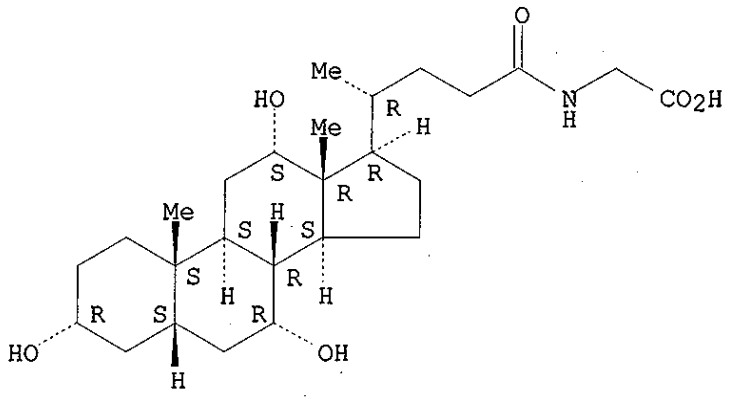
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8, Insulin,** biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(polyacrylic polymers effect on degrdn. of **insulin** and peptide drugs by chymotrypsin and trypsin)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 31 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:753643 HCAPLUS
DOCUMENT NUMBER: 123:152922
TITLE: Transparent liquid for encapsulated drug delivery
INVENTOR(S): Yiv, Seang H.
PATENT ASSIGNEE(S): Ibah, Inc., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514037	A1	19950526	WO 1994-US13394	19941116

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

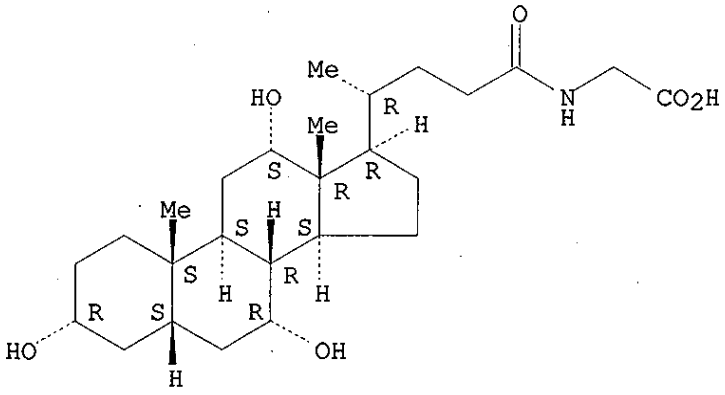
CA 2176927	AA	19950526	CA 1994-2176927	19941116
AU 9512917	A1	19950606	AU 1995-12917	19941116
AU 692506	B2	19980611		
EP 736041	A1	19961009	EP 1995-904099	19941116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09510182	T2	19971014	JP 1994-514649	19941116
US 5707648	A	19980113	US 1995-406935	19950517
PRIORITY APPLN. INFO.:			US 1993-153846	19931117
			WO 1994-US13394	19941116

AB A stable transparent multi-component compn. useful for the delivery of water sol. active agents to animals is provided. The compns. are formulated with a mixt. of an oil phase, an aq. phase, and a surfactant system, along with the active agent to be delivered to the animal. The compns. are specially formulated to be compatible with capsules such as gelatin and starch capsules. The aq. phase of the compns. contains a substantial amt. of polyethylene glycol and can optionally also contain a plasticizer. Preferred active agents are proteinaceous materials. Calcein bioavailability from a transparent liq. contg. Captex 200 12, Imwitor 308 29.8, Tween 80 19.2, PEG 400 32.4, sorbitol 1.6, water 3% wt./wt., and 100 mM calcein soln. in 10 mM Tris pH 7.4 3% wt./wt., resp., was studied.

IT **475-31-0**, Glycocholic acid **9004-10-8**, **Insulin**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transparent liq. compns. for encapsulated drug delivery)

RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 32 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:621799 HCAPLUS
DOCUMENT NUMBER: 123:17921
TITLE: Nasal aqueous gels and pellets containing peptides

INVENTOR(S): Zirinis, Phedon
PATENT ASSIGNEE(S): Slama, Gerard, Fr.
SOURCE: Fr. Demande, 12 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2710529	A1	19950407	FR 1993-11589	19930929
FR 2710530	A1	19950407	FR 1993-13714	19931117
FR 2710530	B1	19951222		

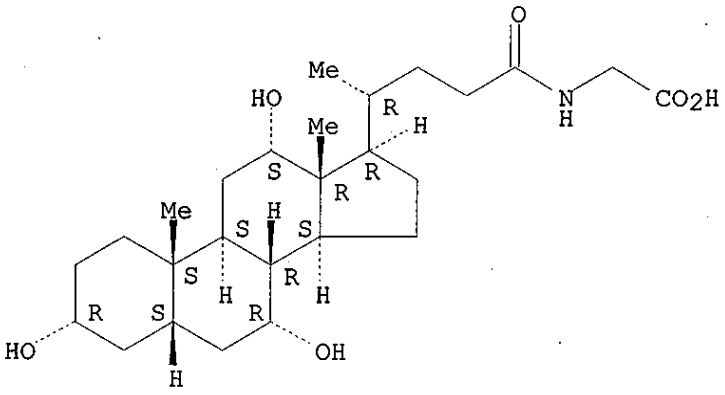
PRIORITY APPLN. INFO.: FR 1993-11589 19930929

AB Aq. nasal gels and pellets contain peptides or derivs. thereof, a surfactant, and a gelling agent, with a pH which is neutral. Human **insulin** 500 UI was dissolved in 5 mL 0.1N HCl and the soln. was adjusted to pH = 7.1 with NaOH followed by addn. of 75 mg Na glycocholate and 200 mg Me cellulose, then the vol. brought up to 20 mL with water. Thus, 3 h after administration of 2 units/kg **insulin** to rats, blood glucose level decreased by 50%.

IT **475-31-0**, Glycocholic acid **9004-10-8**, **Insulin**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nasal aq. gels and pellets contg. peptides)

RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 33 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:370993 HCAPLUS
DOCUMENT NUMBER: 122:155674
TITLE: Polymeric precipitants for the crystallization of macromolecules

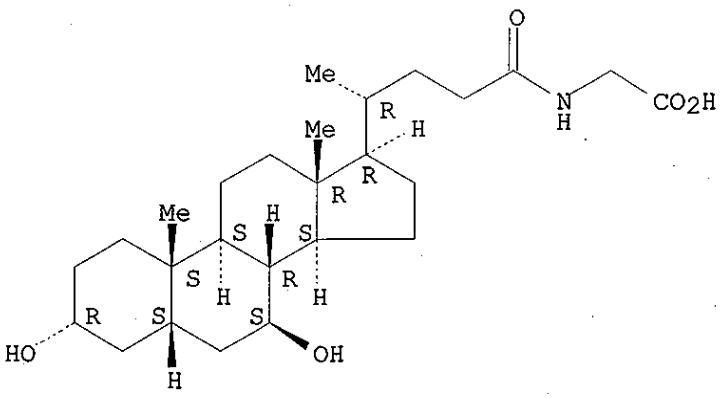
AUTHOR(S): Patel, Sam; Cudney, Bob; McPherson, Alex
CORPORATE SOURCE: Department Biochemistry, University California, Riverside, CA, 92521, USA
SOURCE: Biochemical and Biophysical Research Communications (1995), 207(2), 819-28
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Nine different water sol. polymers reported to strongly affect the properties and structure of water were evaluated for their use in crystg. a series of 24 different proteins, viruses, and conventional small mols. All of the polymers produced crystals of some of the mols. and viruses tested, and of the 24 mols. tested, 14 were crystd. In a no. of cases, crystals of the mols. and viruses were obtained under very different conditions than were ever previously used. Because the selection of polymers employed here represents only a sampling of those available to experimenters, we conclude that the potential range of such polymers useful in macromol. and small mol. crystn. may be very broad.

IT **9004-10-8, Insulin,** processes **64480-66-6**
, Glycoursodeoxycholic acid
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(polymeric precipitants for the crystn. of macromols.)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 64480-66-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 34 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:491484 HCAPLUS
DOCUMENT NUMBER: 121:91484
TITLE: Cyclodextrins as protection agents against enhancer damage in nasal delivery systems II. Effect on in vivo absorption of **insulin** and histopathology of nasal membrane

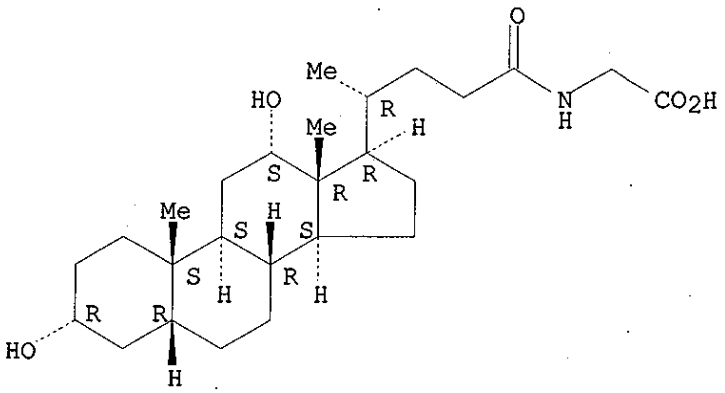
AUTHOR(S): Gill, I. Jabbal; Fisher, A. N.; Hinchcliffe, M.; Whetstone, J.; Farraj, N.; De Ponti, R.; Illum, L.
CORPORATE SOURCE: Danbiosyst UK Ltd, Albert Einstein Centre, Highfields Science Park, Nottingham, NG7 2TN, UK
SOURCE: European Journal of Pharmaceutical Sciences (1994), 1(5), 237-48
CODEN: EPSCED; ISSN: 0928-0987
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An in vivo rat model was used to study the nasal absorption of **insulin** in the presence of selected enhancers [Laureth 9 (L9), glycodeoxycholate (GDC) and L-.alpha.-lysophosphatidylcholine (LPC)] either alone or in combination with 2-hydroxypropyl-.beta.-cyclodextrin (HP.beta.C) or .gamma.-cyclodextrin (CD). All the enhancers when administered alone with **insulin** produced about 50% decrease in the blood glucose concns., an indirect measure of the absorption of **insulin** across the rat nasal mucosa. In the presence of cyclodextrins, the enhancing effect of L9 was maintained, whereas that of GDC and LPC was considerably reduced, but the duration of action of **insulin** was prolonged. Concomitantly, the histol. effect of these agents on the rat nasal epithelium was studied using a perfusion fixation technique. The absorption of **insulin** did not consistently correlate with the histol. observations and the results obtained in previous hemolysis studies. However, the histol. and hemolysis observations complemented each other in that the formulations [L9:HP.beta.C (1:4), GDC:.gamma.-CD (1:2) and LPC:HP.beta.C (1:12)] which caused the least damage to the epithelial membrane had been shown to completely prevent hemolysis. The combination of L9 and possibly LPC with cyclodextrins may provide formulations which have almost the required balance between activity and safety, for nasal delivery of **insulin** and could possibly be used as an adjunct to s.c. therapy.

Non-Conj.

IT **360-65-6**, Glycodeoxycholate
RL: BIOL (Biological study)
(**insulin** absorption by nose in relation to, histopathol. study in)
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8, Insulin,** biological studies
RL: BIOL (Biological study)
(nasal absorption of, cyclodextrins enhancement of, histopathol. study in)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 35 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:491473 HCAPLUS
DOCUMENT NUMBER: 121:91473
TITLE: Lowering of toxicity using cyclodextrins in combination with nasal enhancers, in vitro and in vivo studies
AUTHOR(S): De Ponti, R.; Martini, A.; Crivellente, M.; Artico, R.; Rialdi, G.; Rivella, A.; Fisher, A. N.; Gill, I. Jabbal; Farraj, N. F.; et al.
CORPORATE SOURCE: New Drug Delivery Syst., Pharm. Dev. Res. and Dev., Milan, 20159, Italy
SOURCE: Minutes Int. Symp. Cyclodextrins, 6th (1992), 514-21. Editor(s): Hedges, Allan R. Ed. Sante: Paris, Fr.
CODEN: 60BCAL
DOCUMENT TYPE: Conference
LANGUAGE: English
AB The interaction of some absorption enhancers with a simulated biol. membrane, made from L-.alpha.-dipalmitoylphosphatidylcholine (DPPC), has been studied by differential scanning calorimetry (DSC) first: the gel-liq. crystal transition of the DPPC bilayer structure is easily detectable and the destructuring effects that mols. like absorption enhancers can produce are shown by a different thermal pattern. The addn. of .alpha.-, 2-HP-.beta.- and .gamma.-cyclodextrins (.alpha.CD; HP.beta.CD; .gamma.CD) have proved to change the transition temp. to the initial value, suggesting that the destructuring action of the enhancers can be reduced. Such effects have been evaluated with Laureth-9 (L9), glycodeoxycholate (GDC), lysophosphatidylcholine (LPC), benzalkonium chloride (BC) and deoxycholic acid (DCH). The protecting effect of HP.beta.CD, and .gamma.CD, has also been demonstrated in vivo for L9 and GDC using an erythrocyte hemolysis model. Nasal absorption studies in the rat

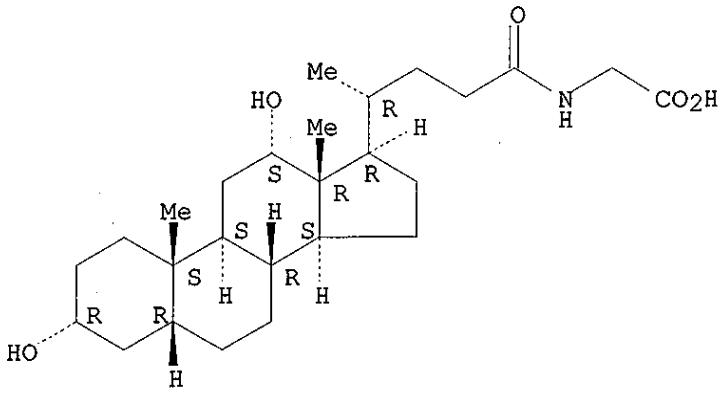
have shown no significant changes in the promotion of absorption by L9 when HP.beta.CD was added. Histopathol. of the rat nasal mucosa has provided evidence that CDs were able to protect significantly the nasal epithelium from the effect of L9. The surface tension activity of some enhancers has been studied and it has been found that CDs shift the crit. micellar concn. (CMC) to higher values. The role of CMC shifting in the protection effect is not clear. Apart from the complexation between the enhancer and CDs, some other mechanism may be involved: this could possibly be interactions between the CDs and the components of the nasal epithelium.

IT **9004-10-8, Insulin,** biological studies
RL: BIOL (Biological study)
(nasal absorption of, enhancers for, toxicity of, cyclodextrins prevention of)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **360-65-6,** Glycodeoxycholic acid
RL: PRP (Properties)
(toxicity of, to nose as absorption enhancer, cyclodextrins prevention of)
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 36 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:667328 HCAPLUS
DOCUMENT NUMBER: 119:267328
TITLE: Modulating effects of bile salt hydrophobicity on bile secretion of the major protein of the bile lipoprotein complex
AUTHOR(S): Domingo, Nicole; Chanussot, Francoise; Botta, Danielle; Reynier, Marie Odile; Crotte, Christian; Hauton, Jacques; Lafont, Huguette
CORPORATE SOURCE: Unite 130, INSERM, Marseille, Fr.
SOURCE: Lipids (1993), 28(10), 883-7
CODEN: LPDSAP; ISSN: 0024-4201
DOCUMENT TYPE: Journal

LANGUAGE: English

AB Bile lipids are secreted in assocn. with a newly identified major apoprotein called anionic polypeptide fraction-Ca-binding protein (APF-CBP), which is synthesized in the hepatocytes and has been detected in both bile and plasma and characterized. The secretion of the lipids in bile depends both on the concn. and the hydrophobicity of the bile salts (BS) secreted. The present study was undertaken to det. whether the synthesis and the secretion of APF-CBP are similarly regulated by BS, using 2 methods. The synthesis and secretion of labeled, newly synthesized APF-CBP by isolated rat hepatocytes were monitored by solid-phase immunoassay. For this purpose, hepatocytes were incubated with either glycodeoxycholate (GDC) or taurocholate (TC). The synthesis and secretion of labeled, newly synthesized APF-CBP by perfused rat liver were measured by ELISA upon perfusing the liver with either GDC or TC. The authors found that (1) the synthesis and the secretion of APF-CBP were increased during either TC or GDC perfusion, but the increase was more pronounced with TC; (2) in GDC perfusion the APF-CBP levels measured were more closely related to the levels of bile salts and not to phospholipid levels, (3) when the 2 bile salts were perfused in reverse order, i.e., first GDC and then TC, the secretion of APF-CBP in bile decreased when GDC was perfused, but increased when TC was perfused. Similar results were obtained in expts. with isolated hepatocytes. The data suggest that the hydrophobicity of the BS used in the infusion modulates the synthesis and secretion of APF-CBP. In the liver, the pool of APF-CBP can be modified by BS and responds rapidly to BS stimulation.

IT **9004-10-8, Insulin,** biological studies
RL: BIOL (Biological study)
(major protein of bile lipoprotein complex secretion in bile response to, bile salt hydrophobicity in relation to)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

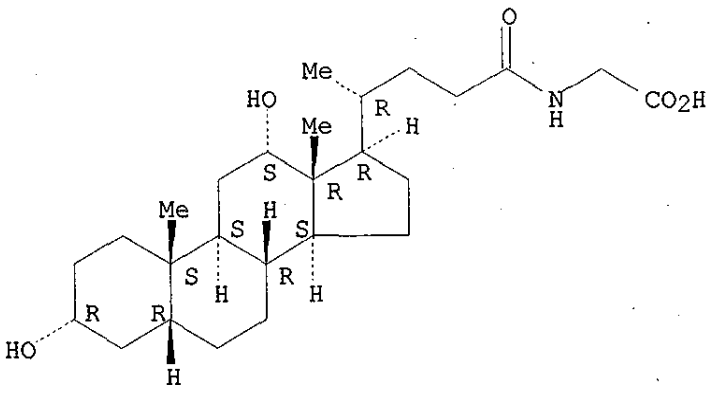
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **360-65-6**
RL: BIOL (Biological study)
(major protein of bile lipoprotein complex secretion in bile response to, hydrophobicity in relation to)

RN 360-65-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 37 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:407804 HCAPLUS
DOCUMENT NUMBER: 119:7804
TITLE: Inhibitory effects of bile acids on cholesterol biosynthesis in cultured hepatocytes
AUTHOR(S): Kim, Sung Wan
CORPORATE SOURCE: Dep. Biochem., Kangweon Natl. Univ., Chuncheon, 200-701, S. Korea
SOURCE: Han'guk Yongyang Siklyong Hakhoechi (1992), 21(5), 496-501
CODEN: HYSHDL; ISSN: 0253-3154
DOCUMENT TYPE: Journal
LANGUAGE: Korean

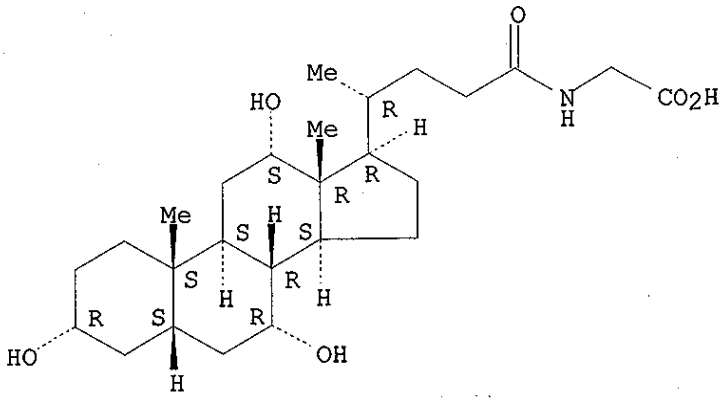
AB The present work tested the inhibitory effects of bile acids on the *cholesterol biosynthesis and the activity of HMG-CoA reductase in* cultured rat hepatocytes. The uptake of bile acids by hepatocytes was increased according to the different bile acid concns. and culture times. The rate of cholesterol synthesis in cells decreased inversely to the bile acid concns. and culture times. As expected, **insulin** injection (4 units/100 g body wt.) showed an enhancing effect on cholesterol synthesis and HMG-CoA reductase activity. The addn. of bile acids to the medium of **insulin** -treated hepatocytes also showed a suppressing effect. This effect was directly confirmed in isolated hepatic microsomes by a test of HMG-CoA reductase activity. In a test of Na+,K+-ATPase activity in the isolated hepatocyte membrane, only cholic acid did not stimulate the enzyme system. The reason of such a difference is not obvious, but this result indicates that cholic acid could be absorbed by *simple diffusion*.

IT **9004-10-8, Insulin,** biological studies
RL: BIOL (Biological study)
(cholesterol formation and HMG-CoA reductase of hepatocytes increase by, bile acids inhibition of)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **475-31-0,** Glycocholic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(cholesterol formation by hepatocytes response to)
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 38 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:198219 HCAPLUS
DOCUMENT NUMBER: 118:198219
TITLE: Systemic delivery of polypeptides through the eye
INVENTOR(S): Chiou, George C. Y.
PATENT ASSIGNEE(S): Orbon Corp., USA
SOURCE: U.S., 28 pp. Cont.-in-part of U.S. Ser. No. 326,200, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5182258	A	19930126	US 1989-412979	19890926
US 5278142	A	19940111	US 1992-966877	19921026
US 5283236	A	19940201	US 1992-966706	19921026

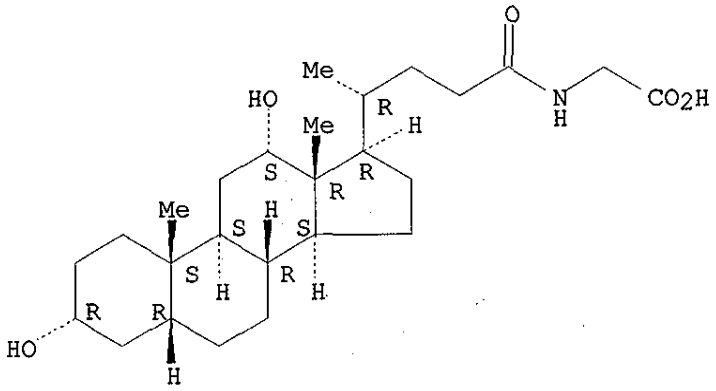
PRIORITY APPLN. INFO.: US 1989-326200 19890320
US 1989-376200 19890320
US 1989-412979 19890926

AB A compn. comprising a systemically active polypeptide and a permeation-enhancing agent is administered to the eyes, where the drug passes into the nasolacrimal duct and becomes absorbed into the circulation. Thus, 25 .mu.L of a phosphate-buffered saline soln. contg. 1% **insulin** and 1% absorption enhancer, such as saponin, fusidic acid, polyoxyethylene lauryl ether, EDTA, Na glycocholate, decamethonium, and Tween 20, was instilled to the eyes of rabbits and the **insulin** peak concns. in blood and blood glucose concns. were detd. Saponin was the most effective absorption enhancer, providing a peak **insulin** concn. of 63.0 ng/mL and a 60% decrease in blood glucose concn.

IT **9004-10-8, Insulin,** biological studies
RL: BIOL (Biological study)
(ophthalmic compn. contg. absorption enhancer and)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

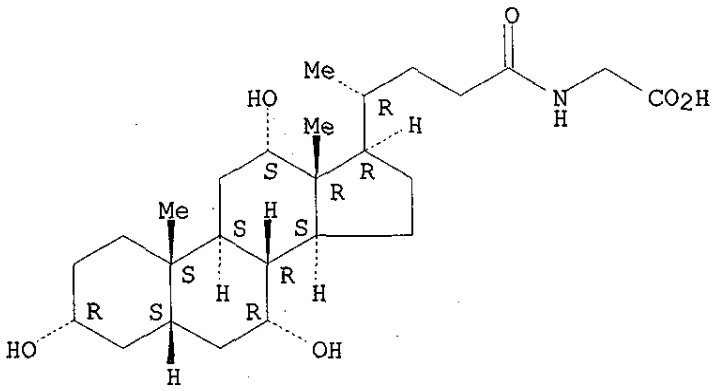
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **360-65-6,** Glycodeoxycholic acid **475-31-0,**
Glycocholic acid
RL: BIOL (Biological study)
(ophthalmic compn. contg., as absorption enhancer for polypeptide drugs)
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 39 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:66952 HCAPLUS
DOCUMENT NUMBER: 118:66952
TITLE: Apparatus and methods for administering medicaments by direct contact to the buccal mucosa
INVENTOR(S): Stanley, Theodore H.
PATENT ASSIGNEE(S): University of Utah, USA
SOURCE: U.S., 22 pp. Cont.-in-part of U.S. 4,863,737.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5122127	A	19920616	US 1989-403743	19890905
US 4671953	A	19870609	US 1985-729301	19850501
EP 487520	A1	19920603	EP 1989-909497	19890816
EP 487520	B1	19950412		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 05501539	T2	19930325	JP 1989-504878	19890816
JP 2801050	B2	19980921		
AU 641127	B2	19930916	AU 1989-40704	19890816
AT 120953	E	19950415	AT 1989-909497	19890816
CA 1338978	A1	19970311	CA 1989-609378	19890824
AU 9050352	A1	19910408	AU 1990-50352	19890905
AU 645966	B2	19940203		
EP 493380	A1	19920708	EP 1990-902584	19890905
EP 493380	B1	19971029		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 5132114	A	19920721	US 1989-402881	19890905
JP 05501854	T2	19930408	JP 1990-502779	19890905
CA 1339075	A1	19970729	CA 1989-610329	19890905
AT 159658	E	19971115	AT 1990-902584	19890905
NO 9200565	A	19920213	NO 1992-565	19920213
DK 9200193	A	19920214	DK 1992-193	19920214
NO 9200856	A	19920406	NO 1992-856	19920304
NO 9200855	A	19920410	NO 1992-855	19920304
NO 9200854	A	19920427	NO 1992-854	19920304
DK 9200300	A	19920505	DK 1992-300	19920305
AU 9460697	A1	19940623	AU 1994-60697	19940427

PRIORITY APPLN. INFO.:

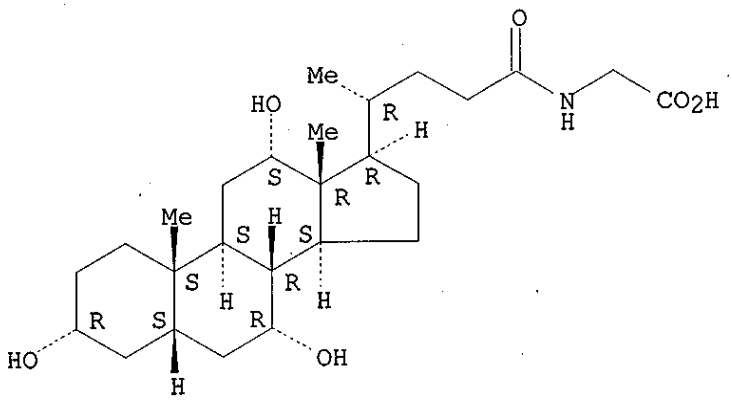
US 1985-729301	A2	19850501
US 1987-60045	A2	19870608
EP 1989-909497	A	19890816
WO 1989-US3518	W	19890816
US 1989-403743	A	19890905
WO 1989-US3801	A	19890905
WO 1990-US4368	W	19900803

AB A mucosal dome is described for dose-to-effect transmucosal drug administration. The drug is placed in a chamber inside the device, which is directly to the surface of the buccal mucosa. The delivery rate of the drug is controlled by adjusting the contact area between the drug and mucosa, or by adding a penetration enhancer to the drug. The device was used for the transbuccal delivery of **insulin** to dogs. An soln. (pH 8.3-8.6; NaOH) contg. 450 U **insulin**/mL and 8.8% Na cholate (penetration enhancer) was used. The contact area was 1.89 cm2.

IT **9004-10-8, Insulin,** biological studies
RL: BIOL (Biological study)
(mucosal delivery of, buccal device for)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **475-31-0D,** salts
RL: USES (Uses)
(penetration enhancer, for mucosa buccal drug delivery)
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

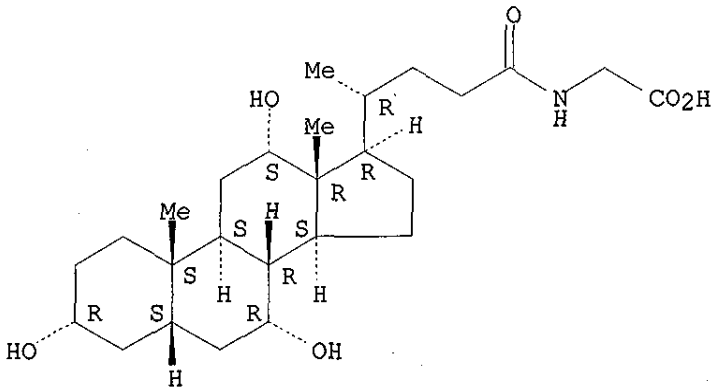


L21 ANSWER 40 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:440969 HCAPLUS
DOCUMENT NUMBER: 117:40969
TITLE: Conjunctival penetration of **insulin** and peptide drugs in the albino rabbit
AUTHOR(S): Hayakawa, Eiji; Chien, Du Shieng; Inagaki, Kazuhiro; Yamamoto, Akira; Wang, Wei; Lee, Vincent H. L.
CORPORATE SOURCE: Sch. Pharm., Univ. South. California, Los Angeles, CA, 90033, USA
SOURCE: Pharmaceutical Research (1992), 9(6), 769-75
CODEN: PHREEB; ISSN: 0724-8741
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An in vitro model was used to evaluate the conjunctival penetration of three peptides, [D-ala2]metenkephalinamide (YAGFM, MW 647), substance P (MW 1348), and **insulin** (MW 5778), in comparison with two nonpeptides, atenolol (MW 266) and timolol (MW 433). All three peptides were hydrolyzed to varying extents during penetration across the conjunctiva. The permeability coeff. for intact YAGFM and **insulin** was 4.5 and 4.6 .mu.m/s, resp. These values were about two to five times lower than those for atenolol and timolol. No permeability coeff. could be calcd. for substance P, since its transconjunctival flux never reached steady state. The conjunctival penetration of YAGFM and **insulin**

was improved by about two and three times, resp., with the addn. of 1% Na glycocholate. Increasing the Na glycocholate concn. was more effective than changing the type of bile salt in improving the conjunctival penetration of **insulin**. The max. factor of improvement was 12, as the Na glycocholate concn. was raised to 4%. The way in which Na deoxycholate, glycocholate, and taurocholate affected the conjunctival penetration of atenolol, timolol, and **insulin** suggests that these three bile salts improved mainly the transcellular penetration of the compds. studied.

IT **475-31-0**, Glycocholic acid
RL: BIOL (Biological study)
(**insulin** and peptide drug penetration of mucous membrane enhancement by)
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8**, **Insulin**, biological studies
RL: BIOL (Biological study)
(mucous membrane penetration by, bile salts enhancement of)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 41 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:262569 HCAPLUS
DOCUMENT NUMBER: 116:262569
TITLE: pharmaceuticals containing proteins, peptides, acids, and/or surfactants for lung absorption
INVENTOR(S): Yoshida, Tsuguchika; Seki, Toshimitsu; Okumura, Katsuhiko; Komada, Fusao
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04041421	A2	19920212	JP 1990-149545	19900607

PRIORITY APPLN. INFO.: JP 1990-149545 19900607

AB Aq. or powd. pharmaceuticals for lung absorption (e.g. inhalant aerosols) of proteins, peptides, and/or their derivs. contain surfactants and show pH 3-4 as aq. solns. An aq. soln. (10 .mu.L) contg. 3 U/kg **insulin** and 50 mM glycocholic acid salt was administered directly to trachea of rats to show .apprx.70% availability, vs. .apprx.10%, for a soln. (pH 7) contg. **insulin** itself. Human **insulin** 5, citric acid 40.7, Na citrate 4.3, and sorbitan trioleate 100 mg were mixed under dry N2 and charged in containers with 6 g 2:3 mixt. of CCl3F and CHCl2F to give an aerosol.

IT **9004-10-8, Insulin,** biological studies
RL: BIOL (Biological study)
(inhalant aerosols contg. acids and/or surfactants and, with good bioavailability)

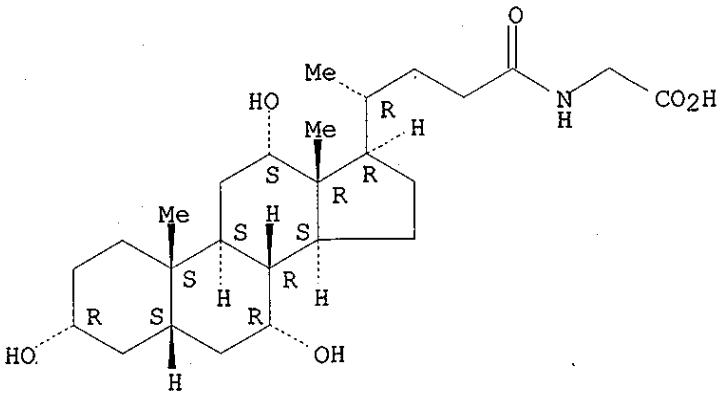
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **475-31-0D,** Glycocholic acid, salts
RL: BIOL (Biological study)
(protein inhalant aerosols contg., with good bioavailability)

RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 42 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1990:125099 HCAPLUS
DOCUMENT NUMBER:	112:125099
TITLE:	Effects of absorption enhancers on human nasal tissue ciliary movement in vitro
AUTHOR(S):	Hermens, Walter A. J. J.; Hooymans, Piet M.; Verhoef, J. Coos; Merkus, Frans W. H. M.
CORPORATE SOURCE:	Dep. Clin. Pharm. Toxicol., Maasland Hosp., Sittard, 6130 MB, Neth.
SOURCE:	Pharmaceutical Research (1990), 7(2), 144-6

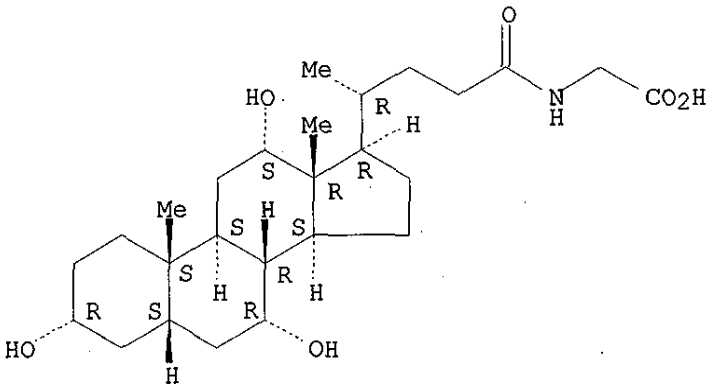
CODEN: PHREEB; ISSN: 0724-8741
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Na taurodihydrofusidate (I) is one of the most promising absorption enhancers for nasal delivery of peptide drugs. Drugs and additives in nasal formulations should not interfere with the self-cleaning capacity of the nose by the ciliary epithelium. Measured in vitro on human adenoid tissue with a photoelec. method. I induced ciliostasis at concns. of .gtoreq.0.3% (wt./vol.). I (0.3%) is less ciliostatic than laureth-9 (0.3%) or deoxycholate (0.3%). Glyco- and taurocholate (0.3%) show only very mild effects on nasal ciliary movement. Human **insulin** (1%) has no ciliostatic potency in vitro, whereas a combination of human **insulin** (1%) and I (1%) is ciliostatic but not as potent as I (1%) alone.

IT **475-31-0**, Glycocholic acid
RL: BIOL (Biological study)
(absorption enhancer, in nose of human, ciliary movement response to)

RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

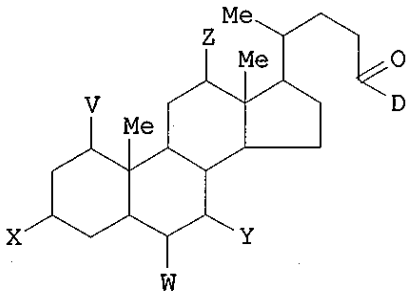
Absolute stereochemistry.



L21 ANSWER 43 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:13573 HCAPLUS
DOCUMENT NUMBER: 110:13573
TITLE: Intranasal compositions containing pharmaceutical peptides, natural bile acids, and solid bases
INVENTOR(S): Sekine, Kunio; Araki, Daisuke; Suzuki, Yoshiki
PATENT ASSIGNEE(S): Teijin Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 63002932 A2 19880107 JP 1986-144949 19860623
PRIORITY APPLN. INFO.: JP 1986-144949 19860623
OTHER SOURCE(S): MARPAT 110:13573
GI



I

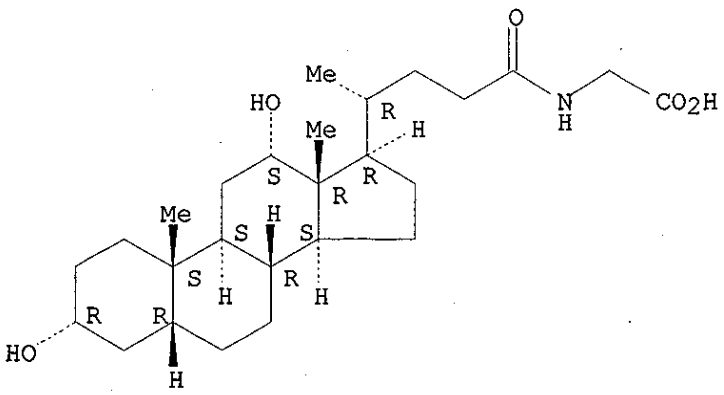
AB Intranasal powd. pharmaceuticals contain (1) physiol. active polypeptides, (2) a solid water-absorbing base, and (3) a natural bile acid or its salts as an absorption accelerator I (D = OH, NHCH2CO2H, NHCH3CH2SO3H; V = H or .beta.-HO; W = H, .alpha.-OH, .beta.-OH; X, Y, and Z = H, .alpha.-OH or .beta.-OH, O; however, D = OH or NHCH2CH2SO3H if X, Y, and Z = OH and V = W = H). Salmon calcitonin 0.1 and Na cholate 29.8mg were dissolved in 250 .mu.L H2O, mixed with 500 mg microcryst. cellulose, freeze-dried, and sifted to obtain 46-149 .mu.m particles. The intranasal administration of the powder to rabbits decreased plasma Ca levels by 12.3, 17.0, and 5.5% at 0.5, 2.0, and 6.0 h, resp., whereas the decreases in the control without Na cholate were 10.6, 5.3, and 3.1% at the same time intervals.

IT **360-65-6**, Glycodeoxycholic acid **640-79-9**
64480-66-6
RL: BIOL (Biological study)
(pharmaceutical intranasal formulation contg.)

RN 360-65-6 HCAPLUS

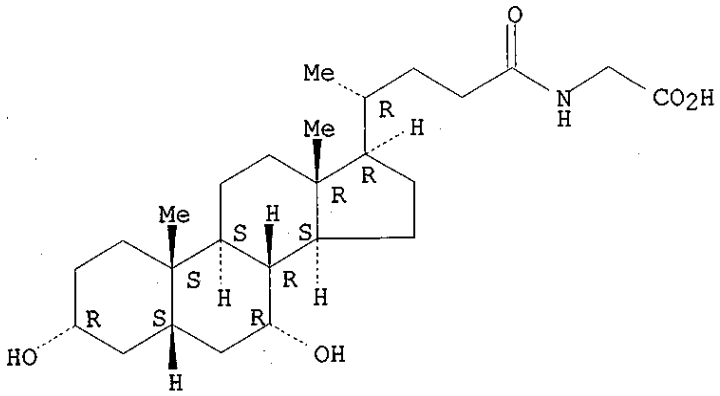
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



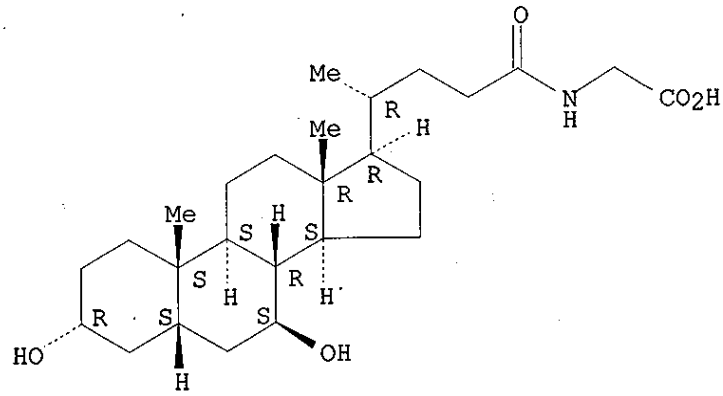
RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 64480-66-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8, Insulin,** biological studies
RL: BIOL (Biological study)
(pharmaceutical intranasal formulation contg. bile acids and)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 44 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:88369 HCAPLUS
DOCUMENT NUMBER: 108:88369
TITLE: Comparison of nasal, rectal, buccal, sublingual and intramuscular **insulin** efficacy and

the effects of a bile salt absorption promoter

AUTHOR(S): Aungst, Bruce J.; Rogers, Nancy J.; Shefter, Eli
CORPORATE SOURCE: Med. Prod. Dep., E. I. du Pont de Nemours and Co., Wilmington, DE, USA

SOURCE: Journal of Pharmacology and Experimental Therapeutics (1988), 244(1), 23-8
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English

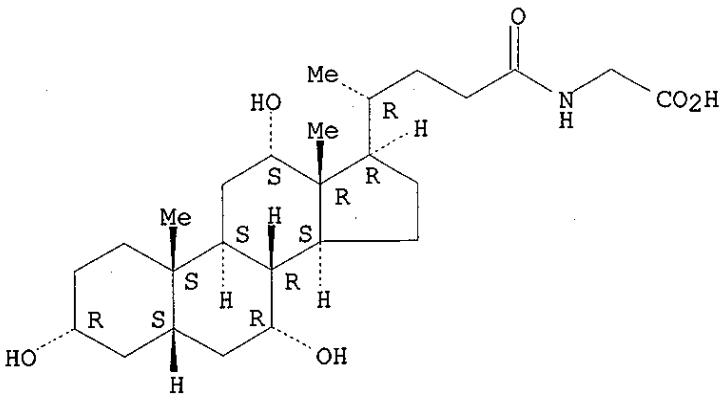
AB A method was developed to quantitate **insulin** absorption, and **insulin** absorptions from various noninjection sites of administration were compared. Log dose/effect curves were established for i.m. **insulin** in adult male rats. The effects measured were the max. change in plasma glucose concn. and the cumulative percentage of change in plasma glucose concns. from 0 to 4 h. Both log dose/effect curves gave similar results when calcg. the efficacy of other routes, relative to i.m. Nasal, buccal, sublingual, and rectal absorption sites were isolated by ligation procedures or with phys. barriers. Rectal **insulin** was more efficacious than nasal, buccal, and sublingual **insulin**, when administered without an absorption-promoting adjuvant. However, the efficacy relative to i.m. **insulin** was low for each route, probably due to a combination of slow membrane permeation and metab. at the absorption site. Administration in a soln. contg. 5% sodium glycocholate, an absorption-promoting adjuvant, increased **insulin** efficacy by each route. The rank order was nasal > rectal > buccal > sublingual, with nasal and rectal **insulin** being roughly half as efficacious as i.m. **insulin**. Orally administered **insulin**, at doses 5-fold higher than administered by other routes, and with Na glycocholate, produced no hypoglycemic response.

IT **9004-10-8, Insulin**, biological studies
RL: BIOL (Biological study)
(absorption of, bile salt and dose and route of administration effect on)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **475-31-0**
RL: BIOL (Biological study)
(**insulin** adsorption stimulation by, administration route in relation to)
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 45 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:1158 HCAPLUS
DOCUMENT NUMBER: 104:1158
TITLE: Nasal absorption of **insulin**: enhancement by hydrophobic bile salts
AUTHOR(S): Gordon, G. S.; Moses, A. C.; Silver, R. D.; Flier, J. S.; Carey, M. C.
CORPORATE SOURCE: Charles A. Dana Res. Inst., Boston, MA, 02215, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1985), 82(21), 7419-23
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English

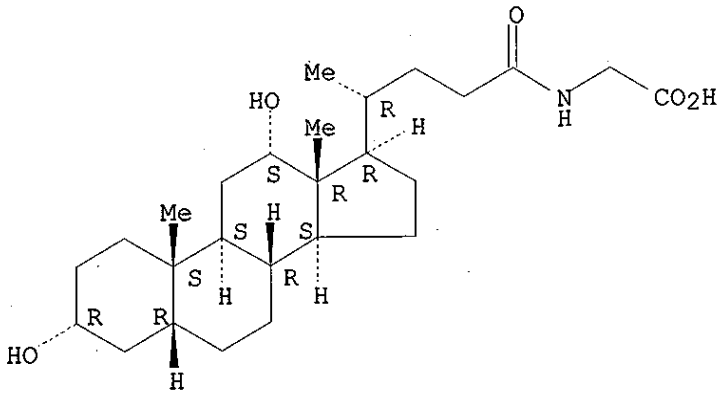
AB Therapeutically useful amts. of **insulin** [**9004-10-8**] are absorbed by the nasal mucosa of human beings when administered as a nasal spray with the common bile salts. By employing a series of bile salts with subtle differences in the no., position, and orientation of their nuclear hydroxyl functions and alterations in side chain conjugation, adjuvant potency for nasal **insulin** absorption has been shown to correlate pos. with increasing hydrophobicity of the bile salts' steroid nucleus. As inferred from studies employing various concns. of unconjugated deoxycholate [83-44-3] and a const. dose of **insulin**, **insulin** absorption begins at the aq. crit. micellar concns. of the bile salt and becomes maximal when micelle formation is well established. Bile salts may act as absorption adjuvants by (1) producing high juxtamembrane concns. of **insulin** monomers via solubilization in mixed bile salt micelles and (2) forming reverse micelles within nasal membranes, through which **insulin** monomers can diffuse through polar channels from the nares into the blood stream.

IT **9004-10-8**, biological studies
RL: BIOL (Biological study)
(absorption of, by nose, bile salt enhancement of)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

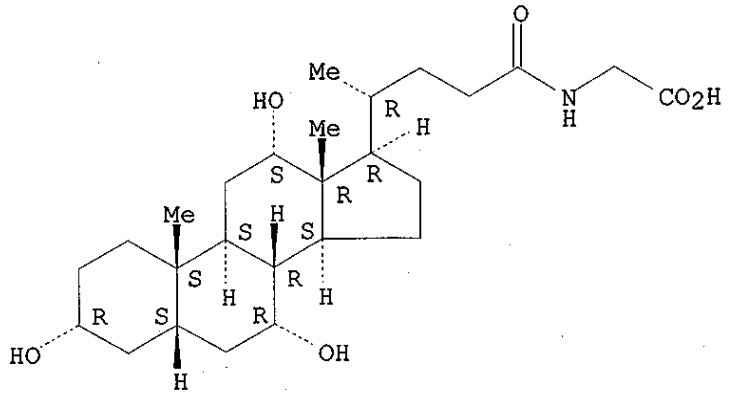
IT **360-65-6 475-31-0**
RL: BIOL (Biological study)
(**insulin** absorption enhancement by, in nose)
RN 360-65-6 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 46 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:84426 HCAPLUS
DOCUMENT NUMBER: 102:84426
TITLE: Pharmaceutical compositions containing **insulin**
INVENTOR(S): Kidron, Miriam; Ziv, Ehud; Bar-On, Hanoch; Eldor, Amiram
PATENT ASSIGNEE(S): Hadassah Medical Organization, Israel
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW

in CAOLD also 46/44

Compare only

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 127535	A2	19841205	EP 1984-401049	19840521
EP 127535	A3	19870114		
EP 127535	B1	19900103		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 68769	A1	19860228	IL 1983-68769	19830523
DK 8402294	A	19841124	DK 1984-2294	19840509
DK 167240	B1	19930927		
US 4579730	A	19860401	US 1984-608462	19840509
CA 1223200	A1	19870623	CA 1984-454266	19840514
AT 49125	E	19900115	AT 1984-401049	19840521
JP 60069028	A2	19850419	JP 1984-104386	19840523
JP 06078238	B4	19941005		

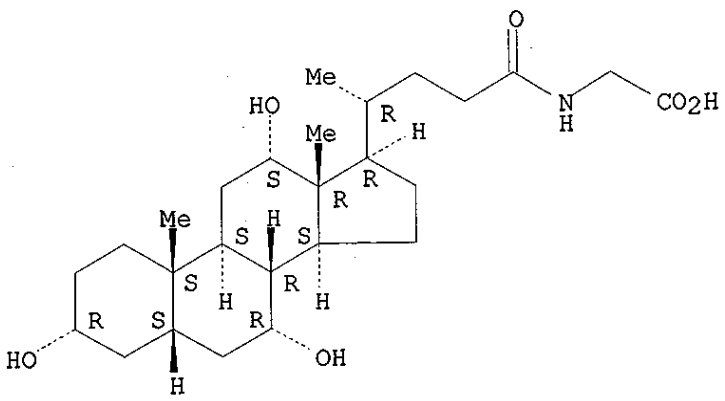
PRIORITY APPLN. INFO.: IL 1983-68769 19830523
EP 1984-401049 19840521

AB An oral **insulin** [**9004-10-8**] pharmaceutical contains a bile acid or its alkali metal salt and a protease [9001-92-7] inhibitor. The compn. is enteric-coated to assure passage through the stomach and release in the intestine where it is quickly absorbed and transported through the portal system to the liver. Thus, enteric-coated capsules contained 100 IU **insulin**, 15 mg Na cholate [361-09-1] and 1000 KIU aprotinin [9087-70-1]. In expts. on dogs and rats, the effect of intestinal administration of **insulin** on blood glucose levels was similar to the effect of **insulin** injected into the animals. The effect was similar was **insulin** was given orally to the dog or directly into the intestine of the rat.

IT **475-31-0 640-79-9**
RL: BIOL (Biological study)
(oral **insulin** pharmaceuticals contg. protease inhibitors and)

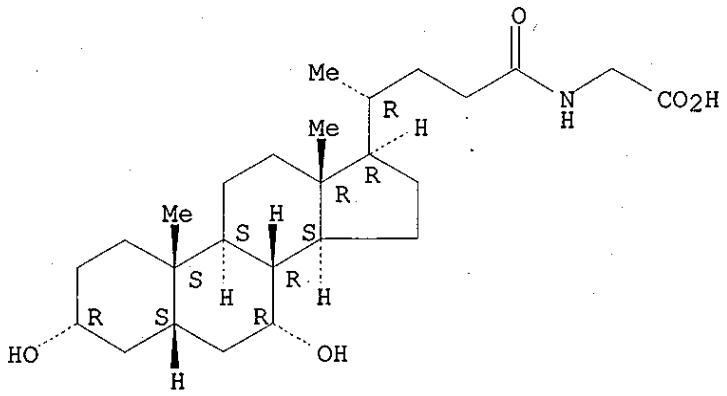
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8**, biological studies
RL: BIOL (Biological study)
(oral pharmaceuticals contg. bile acids and protease inhibitors and)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

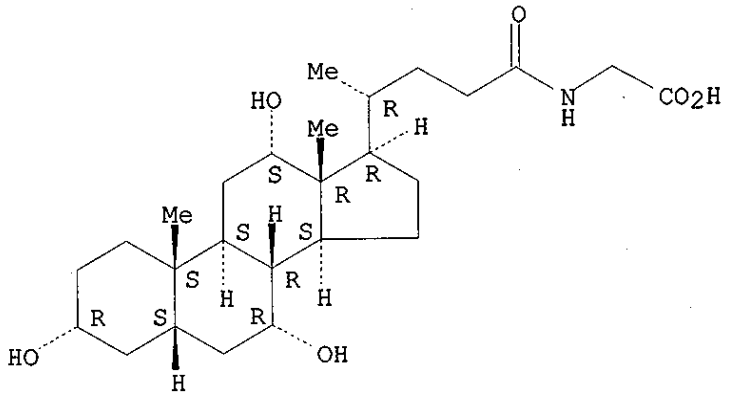
L21 ANSWER 47 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:69399 HCAPLUS
DOCUMENT NUMBER: 98:69399
TITLE: Biochemical and pharmacological analyses on mechanism of conjugated bile acids formation in hepatocytes. I. Characteristics of uptake of taurine, glycine and cholic acid by freshly isolated hepatocytes and hepatocytes in primary culture
AUTHOR(S): Ohkuma, Seitaro
CORPORATE SOURCE: Dep. Pharmacol., Kyoto Prefect. Univ. Med., Kyoto, Japan
SOURCE: Kyoto-furitsu Ika Daigaku Zasshi (1982), 91(12), 1243-69
CODEN: KFIZAO; ISSN: 0023-6012
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB Characteristics of uptake of 3H-labeled taurine, glycine, and cholic acid by freshly isolated rat hepatocytes prepd. by a collagenase perfusion method and rat hepatocytes in primary culture for 24 h were detd. The kinetics and the effects of inhibitors on [3H]taurine uptake in both fresh and cultured cells showed that it consists of both an unsaturable and a saturable component, depending on temp. The saturable one is Na+- and energy-dependent and carrier-mediated. The kinetic parameters for saturable [3H]taurine uptake were different in fresh and cultured hepatocytes.

[3H]glycine apparently binds to the cell surface but is not transported in either fresh or cultured hepatocytes. [3H]cholic acid was accumulated in fresh hepatocytes by both unsaturable and saturable systems depending on the temp. The saturable system was energy-dependent, carrier-mediated, and Na+-independent. However, although [3H]cholic acid was transported by both saturable and unsaturable systems in cultured hepatocytes, the saturable system was Na+-dependent. The kinetic parameters for the saturable transport system are given.

IT **475-31-0 640-79-9**
RL: BIOL (Biological study)
(cholic acid transport response to, in fresh and cultured hepatocytes)

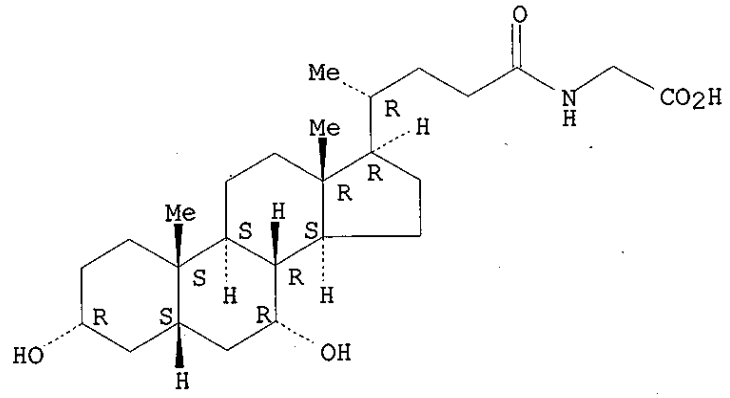
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 640-79-9 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8**, biological studies

RL: BIOL (Biological study)
(.alpha.-aminoisobutyrate and taurine transport and formation of taurine-conjugated bile acids response to, in fresh and cultured hepatocytes)
RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 48 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:188230 HCAPLUS
DOCUMENT NUMBER: 94:188230
TITLE: Noncovalent coating of antibodies on solid substrates
INVENTOR(S): Rutner, Herman; Dodd, Thomas F.
PATENT ASSIGNEE(S): Becton, Dickinson and Co., USA
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

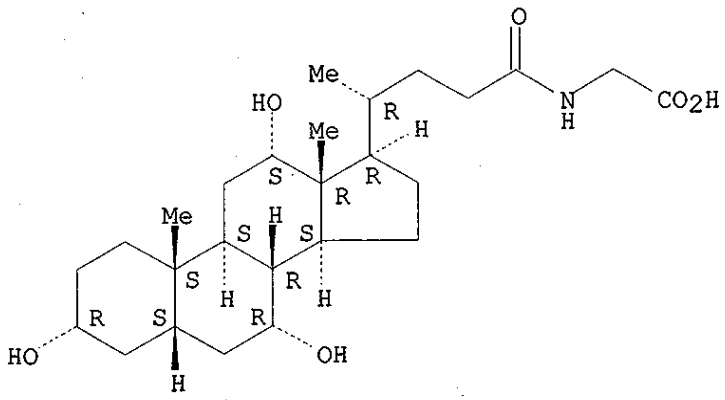
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4256724	A	19810317	US 1978-879801	19780221

PRIORITY APPLN. INFO.: US 1978-879801 19780221

AB Antibodies to lipophilic haptens and antigens are monocovalently coated on polystyrene or polypropylene test tubes for use in solid-phase immunoassays by including in the antibody coating soln. an inorg. salt (e.g. (NH4)2SO4) to increase the ionic strength of the soln. Antiserum against conjugated bile acids was placed in test tubes, then the coating soln. contg. 22% (NH4)2SO4 and 2.7% NaCl was added. The mixt. was incubated overnight at 4.degree. then aspirated. The tubes were treated with postcoat soln. (0.1% PEG in 0.01M K phosphate, pH 7.4). Binding of labeled antigen was increased from 3-9% (without coating soln. addn.) to 40% (with coating soln. addn.). Examples are given of other coating solns. and antiserum-coated solid-phase prepn. for T4 and **insulin** radioimmunoassays.

IT **475-31-0 9004-10-8**, analysis
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, by solid-phase radioimmunoassay, antibody-coated test tubes prepn. for)
RN 475-31-0 HCAPLUS
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS
CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 49 OF 49 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:177342 HCAPLUS
DOCUMENT NUMBER: 86:177342
TITLE: Pharmaceutical preparation of **insulin** for rectal application
INVENTOR(S): Kawada, Hiroitsu; Maeno, Hiroo; Kawamura, Shigeo; Ohata, Isao; Ichikawa, Kunihide
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2641819	A1	19770407	DE 1976-2641819	19760917
JP 52041210	A2	19770330	JP 1975-116028	19750926
JP 55008485	B4	19800304		
JP 55008486	B4	19800304	JP 1975-117810	19750930
JP 52044222	A2	19770407		
GB 1563311	A	19800326	GB 1976-38069	19760914
FR 2325386	A1	19770422	FR 1976-27875	19760916
FR 2325386	B1	19790112		
CA 1050426	A1	19790313	CA 1976-261342	19760916
BE 846599	A1	19770324	BE 1976-170952	19760924
DK 7604318	A	19770327	DK 1976-4318	19760924
SE 7610595	A	19770327	SE 1976-10595	19760924
NO 7603296	A	19770329	NO 1976-3296	19760924
NO 146044	B	19820413		
NO 146044	C	19820804		
AT 7607133	A	19771115	AT 1976-7133	19760927
FR 2371926	B1	19810619	FR 1977-35193	19771123
FR 2371926	A1	19780623		

PRIORITY APPLN. INFO.: JP 1975-116028 19750926

JP 1975-117810 19750930

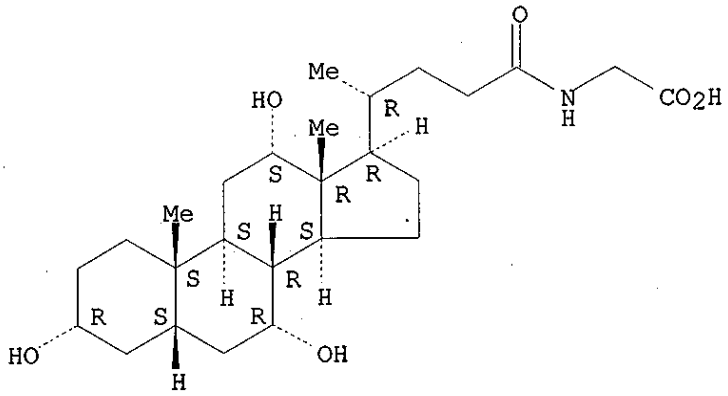
AB Pharmaceutical **insulin** [**9004-10-8**] prepns. for rectal administration comprise **insulin**, a base, and, as an absorption accelerator, either a polyoxyethylene-type nonionic surfactant with hydrophilic-lipophilic balance (HLB) value 6-19; an anionic, cationic or ampholytic surfactant; a bile acid; or a bile acid alkali metal salt. For example, a dispersion of 2 g Na taurocholate [145-42-6] and 8000 units **insulin** in 98 g corn oil was placed in 1 mL amts. in soft capsules for rectal administration. Some of the new compns. administered to rabbits at 0.5-2 units of **insulin**/kg produced the same or greater decreases in blood sugar as 0.5 units/kg i.m. doses, and others produced similar results with doses of 1-5 units/kg.

IT **475-31-0**
RL: BIOL (Biological study)
(in **insulin** compns. for rectal use, as absorption accelerator)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9004-10-8**, biological studies
RL: BIOL (Biological study)
(in pharmaceuticals for rectal use)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

FILE 'REGISTRY' ENTERED AT 15:39:39 ON 01 JUL 2003
L9 1 SEA FILE=REGISTRY ABB=ON PLU=ON INSULIN/CN
L22 12 SEA FILE=REGISTRY ABB=ON PLU=ON (9004-10-8/BI OR 475-31-0/BI OR 360-65-6/BI OR 640-79-9/BI OR 64480-66-6/BI OR 474-74-8/BI OR 5661-86-9/BI OR 93790-70-6/BI OR 183745-90-6/BI OR 183745-92-8/BI OR 183746-23-8/BI OR 68714-82-9/BI)
L23 11 SEA FILE=REGISTRY ABB=ON PLU=ON L22 NOT L9

? Cat insulin

FILE 'CAOLD' ENTERED AT 15:42:54 ON 01 JUL 2003
L24 48 S L23

Searcher : Shears 308-4994

L24 ANSWER 1 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA65:9406d CAOLD
TI bile salts and Ca absorption
AU Webling, D. D'A.; Holdsworth, E. S.
IT 145-42-6 516-35-8 516-50-7 516-90-5 601-92-3
640-79-9 6009-98-9 7693-13-2 10342-34-4

L24 ANSWER 2 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA65:9319f CAOLD
TI solvent systems for thin-layer chromatography of bile acids
AU Gregg, James A.
IT 128-13-2 **360-65-6** 434-13-9 474-25-9
474-74-8 516-35-8 516-90-5 **640-79-9**

L24 ANSWER 3 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA65:4370a CAOLD
TI intestinal bile salt transport-structure-activity relation and other properties
AU Lack, Leon; Weiner, I. M.
IT 81-25-4 **360-65-6** **475-31-0** 516-35-8
516-50-7 **640-79-9** 2958-04-5 3415-45-0 5571-91-5
13042-28-9 13042-29-0 13042-33-6 13042-35-8 13046-39-4
13222-48-5 13407-56-2 104376-96-7

L24 ANSWER 4 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA64:17914f CAOLD
TI bile acids and steroids - (CLXVII) metabolism of lithocholic acid in chickens and rabbits
AU Johansson, Gunnar
IT 434-13-9 **474-74-8**

L24 ANSWER 5 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA64:16393h CAOLD
TI competitive inhibition of intestinal bile salt absorption
AU Holt, Peter R.; Borelli, C.
IT **360-65-6** 474-25-9 516-50-7

L24 ANSWER 6 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA64:14645f CAOLD
TI bile acids and sterols - (LXXIII) bile of Conger myriaster
AU Yukawa, Masashi
IT **475-31-0** 516-35-8 2486-18-2 2955-27-3 6058-15-7
6127-76-0

L24 ANSWER 7 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA64:8622e CAOLD
TI detn. of bile acids by direct densitometry of thin-layer chromatograms
AU Semenuk, G.; Beher, W. T.
IT 83-49-8 **360-65-6** 434-13-9 474-25-9
475-31-0 516-50-7 547-75-1 13042-33-6

L24 ANSWER 8 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA64:5554f CAOLD
TI spectrophotometric detn. of bile acids sepd. by thin-layer chromatography
AU Forth, Wolfgang; Doenecke, P.; Glasner, H.

IT 83-44-3 **360-65-6** 434-13-9 474-25-9 516-35-8
516-50-7

L24 ANSWER 9 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA64:2824a CAOLD
TI configuration and crystal structure of glutacondialdehyde
AU Ruhemann, Heinrich
TI x-ray diffraction powder data for steroids - (VI)
AU Parsons, Jonathan; Wong, S. T.; Beher, W. T.
IT 64-82-4 **474-74-8** 474-86-2 481-20-9 564-78-3
566-93-8 570-53-6 821-42-1 1229-33-0 1424-09-5 1425-09-8
1474-20-0 1639-43-6 1780-97-8 1816-78-0 2061-86-1
2080-86-6 2297-30-5 2868-02-2 3253-69-8 3593-85-9
5040-97-1 5424-40-8 5566-13-2 5676-40-4 5888-04-0
5888-06-2 5888-07-3 5888-08-4 5888-09-5 5888-10-8
5888-16-4 6038-22-8 6038-23-9 6038-26-2 6038-28-4
6038-30-8 6038-31-9 6038-32-0 6038-33-1 6038-34-2
6038-38-6 6056-19-5 96970-80-8

L24 ANSWER 10 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA63:18557e CAOLD
TI cleavage of bile acid conjugates by cell-free ext. from Clostridium perfringens
AU Nair, Padmanabhan P.; Gordon, M.; Gordon, S.; Reback, J. F.; Mendeloff, A. I.
TI effect of deoxyribonuclease on isolated polytene chromosomes
AU Lezzi, Markus
IT 83-44-3 434-13-9 474-25-9 **474-74-8**
475-31-0 516-35-8 516-50-7 516-90-5
640-79-9

L24 ANSWER 11 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA63:7250f CAOLD
TI inhibition of electron transport and coupled phosphorylation in liver mitochondria by cholanic bile acids and their conjugates
AU Lee, Michael John; Whitehouse, M. W.
IT **360-65-6** 516-35-8 516-50-7 516-90-5 517-37-3
521-06-2 547-98-8 2958-04-5 2958-05-6 6818-02-6 14605-22-2

L24 ANSWER 12 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA63:4594a CAOLD
TI function of specific bile acids in cholesterol esterase activity
AU Vahouny, George V.; Weersing, S.; Treadwell, C. R.
IT 303-43-5 **360-65-6** 434-13-9 25312-65-6

L24 ANSWER 13 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA62:13602g CAOLD
TI reversible and irreversible mechanisms for intestinal amino acid absorption
AU Jequier, J. Cl.; Robinson, J. W. L.; Felber, J. P.
IT **360-65-6**

L24 ANSWER 14 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA62:4307h CAOLD
TI analysis of fatty acids and derivs. by gas chromatography
AU Supina, Walter R.
TI detn. of volatile org. anesthetics in blood

AU Lowe, Harry J.; Beckham, L. M.
TI thin-layer chromatography of bile lipids
AU Nakayama, Fumio; Oishi, M.; Sakaguchi, N.; Miyake, H.
IT **360-65-6** 601-34-3 **2273-95-2**

L24 ANSWER 15 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA62:3046a CAOLD
TI detn. of bile acids from human bile by thinlayer chromatography
AU Frosch, B.; Wagener, H.
IT **360-65-6** 516-35-8 516-50-7 **640-79-9**

L24 ANSWER 16 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA62:807e CAOLD
TI thin-layer-chromatographic sepn. of bile acids
AU Frosch, B.; Wagener, H.
IT **360-65-6** **474-74-8** 516-90-5
640-79-9

L24 ANSWER 17 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA61:16539d CAOLD
TI bile acids and steroids - (CXLVIII) application of gel filtration of bile acids to studies of lipid-complexes in bile
AU Norman, Anne
IT **360-65-6** **474-74-8** 516-90-5

L24 ANSWER 18 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA61:12639d CAOLD
TI detn. of the glycine- and taurine-conjugated chenodeoxycholic acid
AU Frosch, B.; Wagener, H.; Hennig, E.
IT **360-65-6** **640-79-9**

L24 ANSWER 19 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA61:11118b CAOLD
TI metabolites of lithocholic acid-24-14C in human bile and feces
AU Norman, Anne; Palmer, R. H.
IT **474-74-8** 516-90-5 1534-35-6 1553-56-6

L24 ANSWER 20 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA61:8616h CAOLD
TI detn. of glycine- or taurine-conjugated deoxycholic acid
AU Frosch, B.; Hennig, E.; Wagener, H.
IT **360-65-6**

L24 ANSWER 21 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA61:7513e CAOLD
TI detn. of the free thyroxine content of serum
AU Lee, Norman D.; Henry, R. J.; Golub, O. J.
IT **360-65-6** 3823-68-5

L24 ANSWER 22 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA61:6025d CAOLD
TI analysis of steroids - (IV) thin-layer chromatography and densitometry of bile components
AU Hara, Shoji; Takeuchi, M.; Tachibana, M.; Chihara, G.
IT **360-65-6** 516-90-5 **640-79-9** 14605-22-2

L24 ANSWER 23 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA61:4787g CAOLD

TI bile acids in infants and children
AU Poley, J. Rainer; Dower, J. C.; Owen, C. A., Jr.; Stickler, G. B.
IT 516-90-5 2955-27-3 **64480-66-6**

L24 ANSWER 24 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA61:2166g CAOLD
TI detn. of bile acids by thin-layer chromatography
AU Frosch, B.; Wagener, H.
IT **360-65-6** **640-79-9**

L24 ANSWER 25 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA61:1135b CAOLD
TI hemolytic effects of steroids
AU Palmer, Robert H.
IT **474-74-8** 859-97-2

L24 ANSWER 26 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA58:10555h CAOLD
TI lysis of Echinococcus granulosus by surface-active agents in bile and the role of this phenomenon in detg. host specificity to helminths
AU Smyth, J. D.
IT **360-65-6**

L24 ANSWER 27 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA58:7204g CAOLD
TI effect of bile salts on cholesterol oxidn.
AU Lee, Michael John; Whitehouse, M. W.
IT **474-74-8** 516-90-5 517-37-3 521-06-2
640-79-9 2958-04-5 3415-45-0 **5661-86-9**
13042-33-6 103672-67-9 106067-53-2

L24 ANSWER 28 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA57:15766h CAOLD
TI pyrogenic and inflammatory properties of certain bile acids
AU Palmer, Robert H.; Glickman, P. B.; Kappas, A.
IT **474-74-8** 516-90-5 517-33-9 640-97-1 641-81-6
1249-75-8 4057-84-5 4651-67-6 6868-73-1

L24 ANSWER 29 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA56:13181h CAOLD
TI thin-layer adsorption chromatography of free and conjugated bile acids on silicic acid
AU Hofmann, Alan F.
IT **360-65-6** **640-79-9**

L24 ANSWER 30 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA56:7682i CAOLD
TI infrared correlations in the bile acid series
AU Levin, Samuel J.; Johnston, C. G.
IT **360-65-6** **640-79-9** 1448-36-8 1553-56-6
3245-38-3 7727-82-4 25312-65-6 25941-29-1 28332-53-8
28535-81-1 52840-09-2 72690-56-3 101312-40-7 101312-41-8
106499-87-0 106757-07-7 106757-09-9 106757-10-2 106862-78-6
106862-79-7 107078-97-7 107078-98-8 107243-10-7 107243-11-8
107243-37-8 107297-12-1 107380-52-9 107380-57-4 107436-86-2
107492-85-3 107656-50-8 107740-30-7 107740-31-8 107740-32-9

L24 ANSWER 31 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA56:5096i CAOLD
TI deacetylcephalosporin C
AU Jeffery, Jonathan D.; Abraham, E. P.; Newton, G. G. F.
IT **360-65-6**

L24 ANSWER 32 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA56:3757h CAOLD
TI detn. of di- and trihydroxycholanic acids in bile
AU Singer, Edward J.; Fitschen, W. H.
IT **360-65-6** 72690-56-3

L24 ANSWER 33 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA56:858b CAOLD
TI bile-acid level in the blood - (I) examn. of blood bile acids by paper chromatography, (II) bile-acid level of the blood in liver disease, esp. in hepatic coma, (III) bile salt tolerance test
AU Yamagishi, Asaro
IT **640-79-9** 4746-96-7

L24 ANSWER 34 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA56:845g CAOLD
TI histidine metabolism in urticaria pigmentosa
AU Demis, D. Joseph; Brown, D. D.
IT **360-65-6** **640-79-9**

L24 ANSWER 35 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA55:23048b CAOLD
TI infrared spectra of bile acids and peptide-conjugated bile acids
AU Fischmeister, Ingrid
IT **360-65-6** **474-74-8** 481-22-1 516-90-5
547-98-8 1180-95-6 2972-96-5 3057-04-3 **5661-86-9**
6042-32-6 6246-77-1 7170-94-7 16409-34-0 19462-13-6
21555-87-3 23740-15-0 23740-16-1 23740-17-2 23740-18-3
24404-83-9 26606-03-1 31823-53-7 47676-48-2 60696-62-0
69519-35-3 115322-46-8 122569-21-5

L24 ANSWER 36 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA55:18937b CAOLD
TI metabolic studies of bile acids - (XXXVIII) supplement to the mechanism of bile acid formation
AU Kawahara, Tatsuaki
IT **475-31-0** 547-97-7 3415-45-0 80598-07-8

L24 ANSWER 37 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA55:17804c CAOLD
TI effect of intraluminal pressure on enterochromaffin cells in the rat duodenum
AU Cole, Jack W.; Schneider, J.; McKalen, A.
IT **360-65-6** 516-50-7 13042-33-6

L24 ANSWER 38 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA55:11677e CAOLD
TI fate of dehydrocholate-C14 administered to rabbit with bile fistula
AU Ogura, Michio; Wakutani, T.; Yamasaki, K.
IT **475-31-0** 3415-45-0 118924-70-2

L24 ANSWER 39 OF 48 CAOLD COPYRIGHT 2003 ACS

AN CA55:1861g CAOLD
TI sepn. of bile acids by paper chromatography - (I-II)
AU Kuroda, Masakiyo
IT **360-65-6**

L24 ANSWER 40 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA54:18653h CAOLD
TI detn. of metals in blood serum by at. absorption spectroscopy - (I) Ca, (II) Mg
AU Willis, John B.
IT **360-65-6**

L24 ANSWER 41 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA52:19341g CAOLD
TI detn. of the total area of interfacial surfaces of an emulsion
AU Yanishevskii, A. V.; Pavlushenko, I. S.
IT **474-74-8**

L24 ANSWER 42 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA52:19341f CAOLD
TI monolayers of bile acids
AU Ekwall, Per; Ekholm, R.
IT **5661-86-9** 25312-65-6 26606-03-1

L24 ANSWER 43 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA52:18624e CAOLD
TI recording in chromatographic analysis of bile acids
AU Johansson, Gillis; Karrman, K. J.; Norman, A.
IT **360-65-6** **474-74-8** 516-50-7 516-90-5

L24 ANSWER 44 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA52:12007i CAOLD
TI gelation of bile salt solns.
AU Sobotka, Harry; Czeczowiczka, N.
IT **360-65-6**

L24 ANSWER 45 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA52:11519g CAOLD
TI surface-balance studies of bile acid monolayers - (I) cholanic and glycocholanic acid monolayers, (II) monolayers of litocholic and glycolitocholic acids
AU Ekwall, Per; Ekholm, R.; Norman, A.
IT **474-74-8** **5661-86-9** 25312-65-6

L24 ANSWER 46 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA52:8370a CAOLD
TI bile acids and steroids - (XLVIII) formation of deoxycholic acid from cholic acid
AU Lindstedt, Sven; Sjovall, J.
IT **360-65-6**

L24 ANSWER 47 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA51:17965e CAOLD
TI synthesis of conjugated ursodeoxycholic acid
AU Kanazawa, Teiichi; Sato, T.
IT 3057-04-3 10538-55-3 10538-59-7 **64480-66-6** 79066-13-0 106526-71-0 117071-40-6

L24 ANSWER 48 OF 48 CAOLD COPYRIGHT 2003 ACS
AN CA51:10722h CAOLD
TI bile acid content of human serum - (I) serum bile acids in patients with hepatic disease, (II) binding of cholanic acids by human plasma proteins
AU Rudman, Daniel; Kendall, F. E.
IT **360-65-6** 516-50-7 2097-89-4 2287-93-6 110222-46-3

FILE 'USPATFULL' ENTERED AT 15:43:25 ON 01 JUL 2003
L25 123 S L23
L26 44 S L25 AND (L9 OR INSULIN OR PROINSULIN)

L26 ANSWER 1 OF 44 USPATFULL

ACCESSION NUMBER:	2003:152382 USPATFULL
TITLE:	Pharmaceutical dosage forms for highly hydrophilic materials
INVENTOR(S):	Patel, Mahesh V., Salt Lake City, UT, UNITED STATES Chen, Feng-Jing, Salt Lake City, UT, UNITED STATES Krill, Steven L., Danbury, CT, UNITED STATES Venkateshvaran, Srinivasan, Salt Lake City, UT, UNITED STATES
PATENT ASSIGNEE(S):	LIPOCINE, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003104048	A1	20030605
APPLICATION INFO.:	US 2002-158206	A1	20020529 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2001-898553, filed on 2 Jul 2001, GRANTED, Pat. No. US 6451339 Continuation of Ser. No. US 1999-258654, filed on 26 Feb 1999, GRANTED, Pat. No. US 6294192 Continuation-in-part of Ser. No. US 2001-877541, filed on 8 Jun 2001, PENDING Continuation-in-part of Ser. No. US 1999-345615, filed on 30 Jun 1999, GRANTED, Pat. No. US 6267985

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	THORPE NORTH WESTERN, 8180 SOUTH 700 EAST, SUITE 200, P.O. BOX 1219, SANDY, UT, 84070
NUMBER OF CLAIMS:	37
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	1 Drawing Page(s)
LINE COUNT:	2976

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical dosage forms having a highly hydrophilic fill material and a shell encapsulating the fill material are disclosed and described. Generally, the shell has at least one plasticizing agent therein in order to provide the shell with an effective plasticity. In one aspect, the shell may have included therein an amount of plasticizing agent that is sufficient to provide the shell with an effective plasticity upon migration of a portion of the plasticizing agent into the fill material. In another aspect, the plasticizing agent may have a solubility in the fill material of less than about 10% w/w. In yet another aspect, a combination of a plasticizing agent, and a plasticizing agent having a solubility in the fill material of less than about 10% w/w, may be

presented in a total amount sufficient to provide the shell with an effective plasticity upon migration of plasticizing agent into the fill material.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 2 OF 44 USPATFULL

ACCESSION NUMBER:	2003:145950 USPATFULL
TITLE:	Method for the improvement of transport across adaptable semi-permeable barriers
INVENTOR(S):	Cevc, Gregor, Gauting, GERMANY, FEDERAL REPUBLIC OF Richardsen, Holger, Munchen, GERMANY, FEDERAL REPUBLIC OF Weiland-Waibel, Andrea, Hohenbrunn, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003099694	A1	20030529
APPLICATION INFO.:	US 2002-37480	A1	20020104 (10)

RELATED APPLN. INFO.:	Continuation of Ser. No. WO 2000-EP6367, filed on 5 Jul 2000, UNKNOWN
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	EDWARDS & ANGELL, LLP., P.O. BOX 9169, BOSTON, MA, 02209
NUMBER OF CLAIMS:	84
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	14 Drawing Page(s)
LINE COUNT:	2745

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a method, a kit and a device for controlling the flux of penetrants across an adaptable semi-permeable porous barrier, the method comprising the steps of: preparing a formulation by suspending or dispersing said penetrants in a polar liquid in the form of fluid droplets surrounded by a membrane-like coating of one or several layers, said coating comprising at least two kinds of forms of amphiphilic substances with a tendency to aggregate, said penerants being able to transport agents through the pores of said barrier or to enable agent permeation through the pores of said barrier after penetrants have entered the pores, selecting a dose amount of said penetrants to be applied on a predetermined area of said barrier to control the flux of said penetrants across said barrier, and applying the selected dose amount of said formulation containing said penetrants onto said area of said porous barrier.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 3 OF 44 USPATFULL

ACCESSION NUMBER:	2003:120802 USPATFULL
TITLE:	Bioadhesive compositions and methods for enhanced intestinal drug absorption
INVENTOR(S):	Teng, Ching-Leou, San Diego, CA, UNITED STATES Weinbch, Susan, San Diego, CA, UNITED STATES Tillman, Lloyd G., Carlsbad, CA, UNITED STATES Geary, Richard S., Carlsbad, CA, UNITED STATES

Hardee, Gregory E., Rancho Santa Fe, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003083286	A1	20030501
APPLICATION INFO.:	US 2001-935316	A1	20010822 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Michael P. Straher, Esquire., WOODCOCK WASHBURN LLP, One Liberty Place - 46th Floor, Philadelphia, PA, 19103
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 2307
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for enhanced intestinal drug absorption. The formulation comprises a first population of carrier particles comprising a drug and a bioadhesive compound and a second population of carrier particles comprising a penetration enhancer. The bioadhesive extends the residence time of the drug and its absorptive potential across the portion of the intestinal mucosa made permeable by the penetration enhancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 4 OF 44 USPATFULL
ACCESSION NUMBER: 2003:108867 USPATFULL
TITLE: Immunomodulating compositions from bile
INVENTOR(S): Rang, Romeo, Bucharest, ROMANIA
PATENT ASSIGNEE(S): Lorus Therapeutics Inc., Toronto, CANADA (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6551623	B1	20030422
APPLICATION INFO.:	US 2000-479835		20000107 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 612921, now patented, Pat. No. US 6280774
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Witz, Jean C.
LEGAL REPRESENTATIVE: Nath, Gary M., Juneau, Todd L., Goldberg, Joshua B.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 24 Drawing Figure(s); 21 Drawing Page(s)
LINE COUNT: 3318
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a composition for use as an immunomodulator comprising small molecular weight components of less than 3000 daltons, and having the following properties: a) is extractable from bile of animals; b) is capable of stimulating monocytes and macrophages in vitro; c) is capable of modulating tumor necrosis factor production; d) contains no measurable IL-1a, IL-1b, TNF, IL-6, IL-8, IL-4, GM-CSF or IFN-gamma; e) has an anti-proliferative effect in a malignant mouse hybridoma cell

line; f) shows no cytotoxicity to human peripheral blood mononuclear cells; and g) is not an endotoxin. The invention also relates to a method of preparing the composition and its use as an immunomodulator.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 5 OF 44 USPATFULL

ACCESSION NUMBER:	2003:92739 USPATFULL
TITLE:	SOLID CARRIERS FOR IMPROVED DELIVERY OF HYDROPHOBIC ACTIVE INGREDIENTS IN PHARMACEUTICAL COMPOSITIONS
INVENTOR(S):	Patel, Mahesh V., Salt Lake City, UT, UNITED STATES Chen, Feng-Jing, Salt Lake City, UT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003064097	A1	20030403
	US 6569463	B2	20030527
APPLICATION INFO.:	US 2001-800593	A1	20010306 (9)

RELATED APPLN. INFO.:	Division of Ser. No. US 1999-447690, filed on 23 Nov 1999, GRANTED, Pat. No. US 6248363
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	REED & EBERLE LLP, 800 MENLO AVENUE, SUITE 210, MENLO PARK, CA, 94025
NUMBER OF CLAIMS:	91
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	4 Drawing Page(s)
LINE COUNT:	3863

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides solid pharmaceutical compositions for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or separately administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compositions of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutrionals, cosmeceuticals and diagnostic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 6 OF 44 USPATFULL

ACCESSION NUMBER:	2003:57931 USPATFULL
TITLE:	Compositions and methods for non-parenteral delivery of oligonucleotides
INVENTOR(S):	Teng, Ching-Leou, San Diego, CA, UNITED STATES Cook, Phillip Dan, Fallbrook, CA, UNITED STATES

Tillman, Lloyd, Carlsbad, CA, UNITED STATES
Hardee, Gregory E., Rancho Sante Fe, CA, UNITED STATES
Ecker, David J., Encinitas, CA, UNITED STATES
Manoharan, Muthiah, Carlsbad, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003040497	A1	20030227
APPLICATION INFO.:	US 2001-29598	A1	20011221 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-315298, filed on 20 May 1999, PENDING Continuation of Ser. No. US 1998-108673, filed on 1 Jul 1998, PENDING Continuation-in-part of Ser. No. US 1997-886829, filed on 1 Jul 1997, ABANDONED
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Michael P. Straher, Woodcock Washburn LLP, One Liberty Place-46th Floor, Philadelphia, PA, 19103
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
LINE COUNT: 3600
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compositions and methods which enhance the local and systemic uptake and delivery of oligonucleotides and nucleic acids via non-parenteral routes of administration. Pharmaceutical compositions comprising oligonucleotides disclosed herein include, for systemic delivery, emulsion and microemulsion formulations for a variety of applications and oral dosage formulations. It has also surprisingly been discovered that oligonucleotides may be locally delivered to colonic sites by rectal enemas and suppositories in simple solutions, e.g., neat or in saline. Such pharmaceutical compositions of oligonucleotides may further include one or more penetration enhancers for the transport of oligonucleotides and other nucleic acids across mucosal membranes. The compositions and methods of the invention are utilized to effect the oral, buccal, rectal or vaginal administration of an antisense oligonucleotide to an animal in order to modulate the expression of a gene in the animal for investigative, therapeutic, palliative or prophylactic purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 7 OF 44 USPATFULL
ACCESSION NUMBER: 2002:272511 USPATFULL
TITLE: Lipid-protein-sugar particles for delivery of nucleic acids
INVENTOR(S): Kohane, Daniel S., Newton, MA, UNITED STATES
Anderson, Daniel G., Framingham, MA, UNITED STATES
Langer, Robert S., Newton, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002150626	A1	20021017
APPLICATION INFO.:	US 2001-981460	A1	20011016 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-240698P	20001016 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Choate, Hall & Stewart, Exchange Place, 53 State Street, Boston, MA, 02109
NUMBER OF CLAIMS: 78
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 11 Drawing Page(s)
LINE COUNT: 2004
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Lipid-protein-sugar particles (LPSPs) are provided as a vehicle for the delivery of nucleic acids. Any polynucleotide (e.g., DNA, RNA) may be encapsulated in a lipid-protein-sugar matrix to form microparticles. Preferably the diameter of the LPSP ranges from 50 nm to 10 micrometers. The particles may be prepared using any known lipid (e.g., DPPC), protein (e.g., albumin), or sugar (e.g., lactose). Methods of preparing the particles and administering the particles for gene therapy are also provided. Preferably the methods of preparing the LPSPs do not significantly damage the polynucleotide to be delivered.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 8 OF 44 USPATFULL
ACCESSION NUMBER: 2002:209088 USPATFULL
TITLE: Aerosol formulations for buccal and pulmonary application
INVENTOR(S): Modi, Pankaj, Ancaster, CANADA
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Toronto, CANADA (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6436367	B1	20020820
APPLICATION INFO.:	US 1999-251464		19990217 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-113239P	19981221 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Dees, Jose' G.
ASSISTANT EXAMINER: Choi, Frank
LEGAL REPRESENTATIVE: Anderson, Debra Z., Eckert Seamans Cherin & Mellott, LLC
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 889
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed micellar aerosol pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal lauryl sulphate, at least three micelle forming compounds, a phenol and a propellant. The micelle forming compounds are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, glycolic acid, lactic acid,

chamomile extract, cucumber extract, oleic acid, linoleic acid, linolenic acid, monoolein, monooleates, monolaurates, borage oil, evening of primrose oil, menthol, trihydroxy oxo cholanyl glycine and pharmaceutically acceptable salts thereof, glycerin, polyglycerin, lysine, polylysine, triolein, polyoxyethylene ethers and analogues thereof, polidocanol alkyl ethers and analogues thereof. The amount of each micelle forming compound is present in a concentration of from 1 to 20 wt./wt. % of the total formulation, and the total concentration of micelle forming compounds are less than 50 wt./wt. % of the formulation. The propellant, e.g. a fluorocarbon propellant, provides enhanced absorption of the pharmaceutical agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 9 OF 44 USPATFULL
ACCESSION NUMBER: 2002:201633 USPATFULL
TITLE: Method for administering **insulin**
INVENTOR(S): Modi, Pankaj, Ancaster, CANADA
PATENT ASSIGNEE(S): Generex Pharmaceuticals Incorporated, Toronto, CANADA (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6432383	B1	20020813
APPLICATION INFO.:	US 2000-538830		20000330 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Low, Christopher S. F.
ASSISTANT EXAMINER: Mohamed, Abdel A.
LEGAL REPRESENTATIVE: Anderson, Debra Z., Eckert Seamans Cherin & Mellott, LLC
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 966
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A mixed micellar pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal lauryl sulphate, alkali metal salicylate, a pharmaceutically acceptable edetate and at least one absorption enhancing compounds. The absorption enhancing compounds are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol, glycolic acid, lactic acid, chamomile extract, cucumber extract, oleic acid, linolenic acid, borage oil, evening of primrose oil, trihydroxy oxo cholanylglycine, glycerin, polyglycerin, lysine, polylysine, triolein and mixtures thereof. The amount of each absorption enhancing compound is present in a concentration of from 1 to 10 wt./wt. % of the total formulation, and the total concentration of absorption enhancing compounds are less than 50 wt./wt. % of the formulation. A method for administering **insulin** to the buccal mucosa using a metered dose inhaler is also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 10 OF 44 USPATFULL
ACCESSION NUMBER: 2002:149190 USPATFULL

TITLE: Therapeutic compositions for intranasal administration which include ketorolac

INVENTOR(S): Santus, Giancarlo, Milano, ITALY
Bottoni, Giuseppe, Bergamo, ITALY
Bilato, Ettore, Padova, ITALY

PATENT ASSIGNEE(S): RECORDATI S.A., CHEMICAL AND PHARMACEUTICAL COMPANY (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002077346	A1	20020620
APPLICATION INFO.:	US 2001-903665	A1	20010713 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-383707, filed on 1 Feb 1995, PATENTED Continuation of Ser. No. US 1992-875700, filed on 29 Apr 1992, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1991-MI2024	19910722

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 678
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An analgesic/anti-inflammatory pharmaceutical dosage form which comprises an effective amount of an active ingredient selected from the group consisting of racemic 5-benzoyl-2,3-dihydro-1H-pyrrolizine-1-carboxylic acid, optically active forms thereof and pharmaceutically acceptable salts thereof, in combination with a pharmaceutically acceptable excipient or diluent, said dosage form being an intranasally administrable dosage form.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 11 OF 44 USPATFULL
ACCESSION NUMBER: 2002:55008 USPATFULL
TITLE: Clear oil-containing pharmaceutical compositions containing a therapeutic agent

INVENTOR(S): Chen, Feng-Jing, Salt Lake City, UT, UNITED STATES
Patel, Mahesh V., Salt Lake City, UT, UNITED STATES
Fikstad, David T., Salt Lake City, UT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002032171	A1	20020314
APPLICATION INFO.:	US 2001-877541	A1	20010608 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1999-345615, filed on 30 Jun 1999, GRANTED, Pat. No. US 6267985 Continuation-in-part of Ser. No. US 2000-751968, filed on 29 Dec 2000, PENDING Continuation-in-part of Ser. No. US 1999-375636, filed on 17 Aug 1999, GRANTED, Pat. No. US

6309663
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Mark A. Wilson, REED & ASSOCIATES, 3282 Alpine Road, Portola Valley, CA, 94028
NUMBER OF CLAIMS: 205
EXEMPLARY CLAIM: 1
LINE COUNT: 4418
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to pharmaceutical compositions and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compositions of the present invention include a carrier, where the carrier is formed from a combination of a triglyceride and at least two surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous medium, the carrier forms a clear, aqueous dispersion of the triglyceride and surfactants.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 12 OF 44 USPATFULL
ACCESSION NUMBER: 2002:54399 USPATFULL
TITLE: Preparation of aqueous clear solution dosage forms with bile acids
INVENTOR(S): Yoo, Seo Hong, Wyckoff, NJ, UNITED STATES

Same as 24/44

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002031558	A1	20020314
APPLICATION INFO.:	US 2001-778154	A1	20010205 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1999-357549, filed on 20 Jul 1999, GRANTED, Pat. No. US 6251428

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-94069P	19980724 (60)
	US 2000-180268P	20000204 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: BAKER BOTTS L.L.P., 44TH FLOOR, 30 ROCKEFELLER PLAZA, NEW YORK, NY, 10112-4498
NUMBER OF CLAIMS: 87
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 12 Drawing Page(s)
LINE COUNT: 2250
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compositions for pharmaceutical and other uses comprising clear aqueous solutions of bile acids which do not form any detectable precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable

in an aqueous system. The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount. Non-limiting examples of pharmaceutical compounds include **insulin**, heparin, bismuth compounds, amantadine and rimantadine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 13 OF 44 USPATFULL
ACCESSION NUMBER: 2002:17273 USPATFULL
TITLE: Oral delivery of macromolecules
INVENTOR(S): Byun, Youngro, Gwangju, KOREA, REPUBLIC OF
Lee, Yong-Kyu, Gwangju, KOREA, REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002010153	A1	20020124
APPLICATION INFO.:	US 2001-845827	A1	20010430 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1999-300173, filed on 27 Apr 1999, GRANTED, Pat. No. US 6245753
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ALAN J HOWARTH, PO BOX 1909, SANDY, UT, 84091
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 8 Drawing Page(s)
LINE COUNT: 831
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Polysaccharides, which are widely used as an anticoagulation drugs, especially heparin, are clinically administered only by intravenous or subcutaneous injection because of their strong hydrophilicity and high negative charge. Amphiphilic heparin derivatives were synthesized by conjugation to bile acids, sterols, and alkanoic acids, respectively. These heparin derivatives were slightly hydrophobic, exhibited good solubility in water, and have high anticoagulation activity. These slightly hydrophobic heparin derivatives are efficiently absorbed in the gastrointestinal tract and can be used in oral dosage forms. Methods of using these amphiphilic heparin derivatives and similarly modified macromolecules for oral administration are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 14 OF 44 USPATFULL
ACCESSION NUMBER: 2002:12056 USPATFULL
TITLE: Bifidobacterium in the treatment of inflammatory disease
INVENTOR(S): Collins, John Kevin, Duncloyne, IRELAND
O'Sullivan, Gerald Christopher, Cork, IRELAND
O'Mahony, Liam, Cork, IRELAND
Shanahan, Fergus, Kinsale, IRELAND

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002006432	A1	20020117
APPLICATION INFO.:	US 2001-903681	A1	20010713 (9)

RELATED APPLN. INFO.:	Continuation of Ser. No. WO 2000-IE8, filed on 17 Jan 2000, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	IE 1999-990033	19990115
	IE 1999-990782	19990920

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	JACOBSON, PRICE, HOLMAN & STERN, PROFESSIONAL LIMITED LIABILITY COMPANY, 400 SEVENTH STREET N.W., WASHINGTON, DC, 20004
NUMBER OF CLAIMS:	54
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	13 Drawing Page(s)
LINE COUNT:	1316

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A strain of Bifidobacterium isolated from resected and washed human gastrointestinal tract is significantly immunomodulatory following oral consumption in humans. The strain is useful in the prophylaxis and/or treatment of undesirable inflammatroy activity, especially gastrointestinal inflammatory activity such as inflammatory bowel disease or irritable bowel syndrome. The inflammatory activity may also be due to cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 15 OF 44 USPATFULL

ACCESSION NUMBER:	2001:234987 USPATFULL
TITLE:	Therapeutic compositions for intranasal administration which include KETOROLAC.RTM.
INVENTOR(S):	Santus, Giancarlo, Milan, Italy Bottoni, Giuseppe, Bergamo, Italy Bilato, Ettore, Padua, Italy
PATENT ASSIGNEE(S):	Recordati, S.A. Chemical and Pharmaceutical Company, Chiasso, Switzerland (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6333044	B1	20011225
APPLICATION INFO.:	US 1995-383707		19950201 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1992-875700, filed on 29 Apr 1992, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1991-MI2024	19910722

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Dudash, Diana
ASSISTANT EXAMINER:	Ostrup, Clinton
LEGAL REPRESENTATIVE:	Darby & Darby
NUMBER OF CLAIMS:	51
EXEMPLARY CLAIM:	1
LINE COUNT:	786

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An analgesic/anti-inflammatory pharmaceutical dosage form which

comprises an effective amount of an active ingredient selected from the group consisting of racemic 5-benzoyl-2,3-dihydro-1H-pyrrolizine-1-carboxylic acid, optically active forms thereof and pharmaceutically acceptable salts thereof, in combination with a pharmaceutically acceptable excipient or diluent, said dosage form being an intranasally administrable dosage form.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 16 OF 44 USPATFULL

ACCESSION NUMBER:	2001:229642 USPATFULL
TITLE:	Medical emulsion for lubrication and delivery of drugs
INVENTOR(S):	Lyons, Robert T., Laguna Hills, CA, United States Dillard, David H., Redmond, WA, United States Fieggen, Bruce, Wayne, NJ, United States Rauker, Robert M., Ashland, MA, United States Bluni, Scott T., Sudbury, MA, United States
PATENT ASSIGNEE(S):	SCIMED Life Systems, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001051595	A1	20011213
	US 6391832	B2	20020521
APPLICATION INFO.:	US 2001-887039	A1	20010621 (9)

RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-534056, filed on 24 Mar 2000, GRANTED, Pat. No. US 6281175 Continuation-in-part of Ser. No. US 1997-935698, filed on 23 Sep 1997, GRANTED, Pat. No. US 6054421
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	CHRISTENSEN, O'CONNOR, JOHNSON, KINDNESS, PLLC, 1420 FIFTH AVENUE, SUITE 2800, SEATTLE, WA, 98101-2347
NUMBER OF CLAIMS:	41
EXEMPLARY CLAIM:	1
LINE COUNT:	955

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A medical lubricant suitable for injection into the blood stream of a patient. The lubricant is suitable for use with rotating equipment such as atherectomy drive shafts moving within sheaths and over guide wires and other minimally invasive medical devices introduced into a patient through a catheter like instrument. The lubricant is an oil-in-water emulsion including a surfactant, a co-surfactant, and a pH buffer. The lubricant can further include a cryogenic agent and a pH adjusting agent. One lubricant includes olive oil as an emulsified oil, egg yolk phospholipid as a surfactant, sodium deoxycholate as a co-surfactant, glycerin as a cryogenic agent, L-histidine as a pH buffer, and is pH adjusted using sodium hydroxide. The lubricant can also include a therapeutic agent. The lubricant can withstand freeze/thaw cycles as well as saline dilution, heating, and shear stress without significant creaming, separation, or unacceptable increases in oil droplet size. Compared to saline, the lubricant provides significantly increased lubrication efficiency for rapidly moving parts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 17 OF 44 USPATFULL

ACCESSION NUMBER:	2001:196576 USPATFULL
TITLE:	Aerosol formulations for buccal and pulmonary application
INVENTOR(S):	Modi, Pankaj, Ancaster, Canada
PATENT ASSIGNEE(S):	Generex Pharmaceuticals Incorporated, Toronto, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6312665	B1	20011106
APPLICATION INFO.:	US 1999-386284		19990831 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1999-251464, filed on 17 Feb 1999		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-113239P	19981221 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Bawa, Raj
LEGAL REPRESENTATIVE:	Anderson, Debra Z.Eckert Seamans Cherin & Mellott LLC
NUMBER OF CLAIMS:	28
EXEMPLARY CLAIM:	1
LINE COUNT:	1126

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed micellar aerosol pharmaceutical formulation is provided. The formulation comprises a pharmaceutical agent, an alkali metal alkyl sulphate, at least three micelle-forming compounds, a phenol and a propellant. The propellant provides enchanced absorption of the pharmaceutical agent in the buccal region. A process of making and a method of administering the composition are also included.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 18 OF 44 USPATFULL

ACCESSION NUMBER:	2001:190748 USPATFULL
TITLE:	Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents
INVENTOR(S):	Patel, Mahesh V., Salt Lake City, UT, United States Chen, Feng-Jing, Salt Lake City, UT, United States
PATENT ASSIGNEE(S):	Lipocine Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6309663	B1	20011030
APPLICATION INFO.:	US 1999-375636		19990817 (9)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Page, Thurman K.
ASSISTANT EXAMINER:	Channavajjala, Lakshmi

LEGAL REPRESENTATIVE: Reed, Dianne E.Reed & Associates
NUMBER OF CLAIMS: 170
EXEMPLARY CLAIM: 1
LINE COUNT: 4371
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to pharmaceutical compositions, pharmaceutical systems, and methods for enhanced absorption of hydrophilic therapeutic agents. Compositions and systems of the present invention include an absorption enhancing carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. A hydrophilic therapeutic agent can be incorporated into the composition, or can be co-administered with the composition as part of a pharmaceutical system. The invention also provides methods of treatment with hydrophilic therapeutic agents using these compositions and systems.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 19 OF 44 USPATFULL
ACCESSION NUMBER: 2001:165448 USPATFULL
TITLE: Pharmaceutical dosage form for oral administration of hydrophilic drugs, particularly low molecular weight heparin
INVENTOR(S): Chen, Feng-Jing, Salt Lake City, UT, United States
Patel, Mahesh V., Salt Lake City, UT, United States
Fikstad, David T., Salt Lake City, UT, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001024658	A1	20010927
	US 6458383	B2	20021001
APPLICATION INFO.:	US 2000-751968	A1	20001229 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1999-375636, filed on 17 Aug 1999, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	WO 2000-US18807	20000710

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: REED & ASSOCIATES, 800 MENLO AVENUE, SUITE 210, MENLO PARK, CA, 94025
NUMBER OF CLAIMS: 80
EXEMPLARY CLAIM: 1
LINE COUNT: 2150
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A delayed release pharmaceutical dosage form for oral administration of a hydrophilic drug, e.g., a polysaccharide drug such as low molecular weight heparin, are provided. The dosage form comprises a composition of: (a) a therapeutically effective amount of low molecular weight heparin; (b) a bile salt or bile acid; (c) at least one surfactant selected from hydrophilic surfactants, lipophilic surfactants, and mixtures thereof; and a means for delaying release of the composition from the dosage form

following oral administration. Osmotic drug delivery systems for oral administration of a hydrophilic drug are also provided, wherein an osmotically activated device houses the drug, a bile salt or bile acid, and at least one surfactant selected from the group consisting of hydrophilic surfactants, lipophilic surfactants, and mixtures thereof. Methods for administering hydrophilic drugs, particularly polysaccharide drugs such as low molecular weight heparin, are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 20 OF 44 USPATFULL

ACCESSION NUMBER:	2001:157823 USPATFULL
TITLE:	Mixed liposome pharmaceutical formulation with amphiphiles and phospholipids
INVENTOR(S):	Modi, Pankaj, Ancaster, Canada
PATENT ASSIGNEE(S):	Generex Pharmaceuticals, Inc., Ontario, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6290987	B1	20010918
APPLICATION INFO.:	US 1999-391664		19990907 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1998-161447, filed on 27 Sep 1998, now patented, Pat. No. US 6193997, issued on 27 Feb 2001		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Bawa, Raj		
LEGAL REPRESENTATIVE:	Anderson, Debra Z.Eckert Seamans Cherin & Mellott, LLC		
NUMBER OF CLAIMS:	34		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1134		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed liposome pharmaceutical formulation with multilamellar vesicles is provided. The formulation comprises a pharmaceutical agent, water, an alkali metal alkyl sulfate, at least one membrane mimetic amphiphile, and at least one phospholipid. When aerosol delivery is intended, the formulation also comprises a propellant and a phenol. A metered dose dispenser containing the formulation, as well as a method of administering the formulation, are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 21 OF 44 USPATFULL

ACCESSION NUMBER:	2001:142312 USPATFULL
TITLE:	Medical emulsion for lubrication and delivery of drugs
INVENTOR(S):	Lyons, Robert T., Laguna Hills, CA, United States Dillard, David H., Redmond, WA, United States Fieggen, Bruce, Wayne, NJ, United States
PATENT ASSIGNEE(S):	Scimed Life Systems, Inc., Maple Grove, MN, United States (U.S. corporation) Fresenius Kabi AB, Upsala, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6281175	B1	20010828
APPLICATION INFO.:	US 2000-534056		20000324 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1997-935698, filed on 23 Sep 1997, now patented, Pat. No. US 6054421
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: McAvoy, Ellen M.
LEGAL REPRESENTATIVE: Christensen O'Connor Johnson Kindness PLLC
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 853
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A medical lubricant suitable for injection into the blood stream of a patient. The lubricant is suitable for use with rotating equipment such as atherectomy drive shafts moving within sheaths and over guide wires and other minimally invasive medical devices introduced into a patient through a catheter like instrument. The lubricant is an oil-in-water emulsion including a surfactant, a co-surfactant, and a pH buffer. The lubricant can further include a cryogenic agent and a pH adjusting agent. One lubricant includes olive oil as an emulsified oil, egg yolk phospholipid as a surfactant, sodium deoxycholate as a co-surfactant, glycerin as a cryogenic agent, L-histidine as a pH buffer, and is pH adjusted using sodium hydroxide. The lubricant can also include a therapeutic agent. The lubricant can withstand freeze/thaw cycles as well as saline dilution, heating, and shear stress without significant creaming, separation, or unacceptable increases in oil droplet size. Compared to saline, the lubricant provides significantly increased lubrication efficiency for rapidly moving parts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 22 OF 44 USPATFULL
ACCESSION NUMBER: 2001:121093 USPATFULL
TITLE: Clear oil-containing pharmaceutical compositions
INVENTOR(S): Chen, Feng-Jing, Salt Lake City, UT, United States
Patel, Mahesh V., Salt Lake City, UT, United States
PATENT ASSIGNEE(S): Lipocine Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6267985	B1	20010731
APPLICATION INFO.:	US 1999-345615		19990630 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Spear, James M.
LEGAL REPRESENTATIVE: Reed, Dianne E.Reed & Associates
NUMBER OF CLAIMS: 184
EXEMPLARY CLAIM: 1
LINE COUNT: 3767
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to pharmaceutical compositions and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compositions of the present invention include a triglyceride and a carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous dispersion of the triglyceride and surfactants. An optional therapeutic agent can be incorporated into the composition, or can be co-administered with the composition. The invention also provides methods of enhancing triglyceride solubility and methods of treatment with therapeutic agents using these compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 23 OF 44 USPATFULL

ACCESSION NUMBER:	2001:107463 USPATFULL
TITLE:	Hydrophobic preparations containing medium chain monoglycerides
INVENTOR(S):	New, Roger Randal Charles, London, United Kingdom Kirby, Christopher John, Berkshire, United Kingdom
PATENT ASSIGNEE(S):	Provalis UK Limited, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6258377	B1	20010710
APPLICATION INFO.:	US 1998-218289		19981222 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. WO 1997-GB1775, filed on 2 Jul 1997		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-13858	19960702

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Kishore, Gollamudi S.
LEGAL REPRESENTATIVE:	Pennie & Edmonds LL
NUMBER OF CLAIMS:	9
EXEMPLARY CLAIM:	1
LINE COUNT:	800

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Hydrophobic preparations which are useful as, among other things, pharmaceutical delivery systems comprise: (i) an oil phase comprising one or more medium chain monoglycerides, such as Akoline MCM.TM.; (ii) at least one amphiphile, preferably including a phospholipid such as phosphatidyl choline; and (iii) a hydrophilic species, which may be a protein such as **insulin** or calcitonin or another macromolecule, solubilized or otherwise dispersed in the one or more glycerides. The hydrophilic species is one that is not normally soluble in the glycerides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 24 OF 44 USPATFULL

ACCESSION NUMBER:	2001:97453 USPATFULL

TITLE: Preparation of aqueous clear solution dosage forms with bile acids

INVENTOR(S): Yoo, Seo Hong, 537 Spencer Dr., Wyckoff, NJ, United States 07481

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6251428	B1	20010626
APPLICATION INFO.:	US 1999-357549		19990720 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-94069P	19980724 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Cintins, Marianne M.
ASSISTANT EXAMINER: Kim, Vickie
LEGAL REPRESENTATIVE: Baker Botts L.L.P.
NUMBER OF CLAIMS: 35
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 1329
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions for pharmaceutical and other uses for preparing clear aqueous solutions containing bile acids which do not form precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and a high molecular weight aqueous soluble starch conversion product. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 25 OF 44 USPATFULL
ACCESSION NUMBER: 2001:93131 USPATFULL
TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions
INVENTOR(S): Patel, Mahesh V., Salt Lake City, UT, United States
Chen, Feng-Jing, Salt Lake City, UT, United States
PATENT ASSIGNEE(S): Lipocine, Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6248363	B1	20010619
APPLICATION INFO.:	US 1999-447690		19991123 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Spear, James M.
LEGAL REPRESENTATIVE: Reed, Dianne E.Reed & Associates

NUMBER OF CLAIMS: 57
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 4 Drawing Figure(s); 4 Drawing Page(s)
LINE COUNT: 3302
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides solid pharmaceutical compositions for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or separately administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compositions of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutrionals, cosmeceuticals and diagnostic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 26 OF 44 USPATFULL
ACCESSION NUMBER: 2001:71118 USPATFULL
TITLE: Mixed micellar delivery system and method of preparation
INVENTOR(S): Modi, Pankaj, Ancaster, Canada
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Toronto, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6231882	B1	20010515
APPLICATION INFO.:	US 1998-216733		19981221 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1998-21114, filed on 10 Feb 1998
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Ware, Todd D.
LEGAL REPRESENTATIVE: Anderson, Debra Z.Eckert Seamans Cherin & Mellott, LLC
NUMBER OF CLAIMS: 30
EXEMPLARY CLAIM: 1
LINE COUNT: 1264
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed micellar pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal C8 to C22 alkyl sulphate, alkali metal salicylate, a pharmaceutically acceptable edetate and at least one absorption enhancing compounds. The absorption enhancing compounds are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol , glycolic acid, lactic acid, chamomile extract, cucumber extract, oleic acid, linolenic acid, borage oil, evening of primrose oil, trihydroxy oxo cholanylglycine, glycerin, polyglycerin, lysine,

polylysine, triolein and mixtures thereof. The amount of each absorption enhancing compound is present in a concentration of from 1 to 10 wt./wt. % of the total formulation, and the total concentration of absorption enhancing compounds are less than 50 wt./wt. % of the formulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 27 OF 44 USPATFULL

ACCESSION NUMBER:	2001:29151 USPATFULL
TITLE:	Proteinic drug delivery system using membrane mimetics
INVENTOR(S):	Modi, Pankaj, Ancaster, Canada
PATENT ASSIGNEE(S):	Generex Pharmaceuticals Inc., Toronto, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6193997	B1	20010227
APPLICATION INFO.:	US 1998-161447		19980927 (9)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Page, Thurman K.
ASSISTANT EXAMINER:	Dinola-Baron, Liliana
LEGAL REPRESENTATIVE:	Anderson, Debra Z.Eckert Seamans Cherin & Mellott, LLC
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1
LINE COUNT:	837

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed liposome pharmaceutical formulation with multilamellar vesicles, comprises a proteinic pharmaceutical agent, water, an alkali metal lauryl sulphate in a concentration of from 1 to 10 wt./wt. %, at least one membrane-mimetic amphiphile and at least one phospholipid. The membrane-mimetic amphiphile is hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, lauramidopropyl betain, lauramide monoisopropanolamide, sodium cocoamphopropionate, bishydroxypropyl dihydroxypropyl stearammonium chloride, polyoxyethylene dihydroxypropyl stearammonium chloride, dioctadecyldimethylammonium chloride, sulphosuccinates, stearamide DEA, gamma-linoleic acid, borage oil, evening of primrose oil, monoolein, sodium tauro dihydro fusidate, fusidic acid, alkali metal isostearyl lactylates, alkaline earth metal isostearyl lactylates, panthenyl triacetate, cocamidopropyl phosphatidyl PG-diammonium chloride, stearamidopropyl phosphatidyl PG-diammonium chloride, borage amidopropyl phosphatidyl PG-diammonium chloride, borage amidopropyl phosphatidylcholine, polysiloxy pyrrolidone linoleyl phospholipid, trihydroxy-oxo-cholanylglycine and alkali metal salts thereof, and octylphenoxypolythoxyethanol, polydecanol X-lauryl ether, polydecanol X-oleyl ether, wherein X is from 9 to 20, or combinations thereof. The phospholipid is phospolipid GLA, phosphatidyl serine, phosphatidylethanolamine, inositolphosphatides, dioleoylphosphatidylethanolamine, sphingomyelin, ceramides, cephalin, triolein, lecithin, saturated lecithin and lysolecithin, or a combination thereof. The amount of each membrane mimetic amphiphile and phospholipid is present 1 to 10 wt./wt. % of the total formulation, and the total concentration

of membrane mimetic amphiphiles and phospholipids is less than 50 wt./wt. % of the formulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 28 OF 44 USPATFULL

ACCESSION NUMBER:	2000:164487 USPATFULL
TITLE:	Polypeptide composition for oral administration
INVENTOR(S):	Grass, George M., Mountain View, CA, United States Sweetana, Stephanie A., Indianapolis, IN, United States
PATENT ASSIGNEE(S):	G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6156731		20001205	
APPLICATION INFO.:	US 1995-567501		19951205	(8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1989-350067, filed on 10 May 1989, now abandoned			
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			
PRIMARY EXAMINER:	Davenport, Avis M.			
LEGAL REPRESENTATIVE:	Fitzpatrick, Cella, Harper & Scinto			
NUMBER OF CLAIMS:	16			
EXEMPLARY CLAIM:	1			
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)			
LINE COUNT:	1014			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is disclosed a composition containing a biologically active polypeptide selected from LHRH, an LHRH analog, somatostatin and a somatostatin analog, in a therapeutically effective amount, a membrane permeability enhancing agent, and a protease enzyme inhibitor enveloped within an enteric coating. The composition possesses enhanced bioavailability upon oral administration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 29 OF 44 USPATFULL

ACCESSION NUMBER:	1999:166615 USPATFULL
TITLE:	Powder formulations containing melezitose as a diluent
INVENTOR(S):	Backstrom, Kjell, Lund, Sweden Johansson, Ann, Lund, Sweden Linden, Helena, Lund, Sweden
PATENT ASSIGNEE(S):	Astra Aktiebolag, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6004574		19991221	
	WO 9619207		19960627	
APPLICATION INFO.:	US 1996-617753		19960318	(8)
	WO 1995-SE1541		19951219	
			19960318	PCT 371 date
			19960318	PCT 102(e) date

NUMBER DATE

PRIORITY INFORMATION:	SE 1994-4468	19941222
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Page, Thurman K.	
ASSISTANT EXAMINER:	Benston, Jr., William E.	
LEGAL REPRESENTATIVE:	Fish & Richardson P.C.	
NUMBER OF CLAIMS:	72	
EXEMPLARY CLAIM:	1	
LINE COUNT:	589	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A powder formulation for the administration of medically useful polypeptides, comprising a medically useful polypeptide with melezitose as diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 30 OF 44 USPATFULL

ACCESSION NUMBER:	1999:137219 USPATFULL
TITLE:	Pharmaceutical compositions for the nasal delivery of compounds useful for the treatment of osteoporosis
INVENTOR(S):	Piazza, Christin Teresa, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303 Radomsky, Michael Lloyd, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303 Krstenansky, John Leonard, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303 Nestor, Jr., John Joseph, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303 Vickery, Brian Henry, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5977070		19991102
APPLICATION INFO.:	US 1995-521097		19950829 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-184328, filed on 18 Jan 1994 which is a continuation-in-part of Ser. No. US 1992-915247, filed on 14 Jul 1992, now patented, Pat. No. US 5589452		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Feisee, Lila		
ASSISTANT EXAMINER:	Lazar-Wesley, Eliane		
LEGAL REPRESENTATIVE:	Heller Ehrman White & McAuliffe		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3471		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pharmaceutical composition for the nasal delivery of compounds useful for treating osteoporosis, comprising an effective amount of a physiologically active truncated analog of PTH or PTHrp, or salt thereof, in which amino acid residues (22-31) form an amphipathic .alpha.-helix, said residues (22-31) selected from

(SEQ ID NOS: 85, 86, 26, 27, 28, 29, and 30); an absorption enhancer selected from the group consisting of dimethyl-.beta.-cyclodextrin and the bile acid surfactants; and water is provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 31 OF 44 USPATFULL

ACCESSION NUMBER:	1999:21889 USPATFULL
TITLE:	Reduction of false positives in oral-fluid based immunoassays
INVENTOR(S):	Thieme, Thomas, Independence, OR, United States Klimkow, Nanette, Beaverton, OR, United States
PATENT ASSIGNEE(S):	Epitope, Inc., Beaverton, OR, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5871905		19990216	
APPLICATION INFO.:	US 1996-707446		19960904	(8)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Smith, Lynette F.
ASSISTANT EXAMINER:	Nelson, Brett
LEGAL REPRESENTATIVE:	Townsend and Townsend and Crew LLP
NUMBER OF CLAIMS:	18
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	8 Drawing Figure(s); 5 Drawing Page(s)
LINE COUNT:	1325

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to the use and composition of materials which, when added to oral fluid samples, make such samples suitable for use with microparticle-based immunoassays. In one embodiment, this invention provides a method of reducing false positives in assays for the detection of an analyte in an oral fluid sample. The method involves providing an oral fluid sample combined with a bile acid or salt where the bile acid or salt is present in a concentration sufficient to reduce the rate of occurrence of false positives in said oral fluid based immunoassays.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 32 OF 44 USPATFULL

ACCESSION NUMBER:	1998:135002 USPATFULL
TITLE:	Systemic administration of a therapeutic preparation
INVENTOR(S):	Backstrom, Kjell Goran Erik, Lund, Sweden Dahlback, Carl Magnus Olof, Lund, Sweden Edman, Peter, Bjarred, Sweden Johansson, Ann Charlotte Birgit, Lund, Sweden
PATENT ASSIGNEE(S):	Astra Aktiebolag, Sodertalje, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5830853		19981103	
APPLICATION INFO.:	US 1996-582702		19960104	(8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-265371, filed on 23 Jun 1994, now patented, Pat. No. US 5506203
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia J.
ASSISTANT EXAMINER: Gupta, Anish
LEGAL REPRESENTATIVE: Fish & Richardson P.C.
NUMBER OF CLAIMS: 39
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 10 Drawing Figure(s); 7 Drawing Page(s)
LINE COUNT: 930
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of treating a patient in need of **insulin** treatment, including the steps of introducing into the lower respiratory tract of the patient an effective amount of a therapeutic preparation in the form of a dry powder containing (a) **insulin** and (b) an enhancer compound which enhances the absorption of **insulin** in the lungs of the patient.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 33 OF 44 USPATFULL
ACCESSION NUMBER: 1998:48363 USPATFULL
TITLE: Therapeutic preparation for inhalation
INVENTOR(S): Backstrom, Kjell Goran Erik, Lund, Sweden
Dahlback, Carl Magnus Olof, Lund, Sweden
Edman, Peter, Bjarred, Sweden
Johansson, Ann Charlotte Birgit, Lund, Sweden
PATENT ASSIGNEE(S): Astra Aktiebolag, Sodertalje, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5747445		19980505
APPLICATION INFO.:	US 1996-583205		19960104 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-265372, filed on 23 Jun 1994, now patented, Pat. No. US 5518998
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Tsang, Cecilia J.
ASSISTANT EXAMINER: Harle, Jennifer
LEGAL REPRESENTATIVE: Fish & Richardson P.C.
NUMBER OF CLAIMS: 35
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 9 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 1002
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A therapeutic preparation for inhalation which comprises **insulin** and a substance which enhances the absorption of **insulin** in the lower respiratory tract, is provided in the form of a powder preparation suitable for inhalation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 34 OF 44 USPATFULL
ACCESSION NUMBER: 97:93872 USPATFULL

TITLE: Aerosol drug formulations for use with non CFC propellants
INVENTOR(S): Adjei, Akwete L., Wadsworth, IL, United States
Gupta, Pramod K., Gurnee, IL, United States
Lu, Mou-Ying Fu, Lake Bluff, IL, United States
PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5676931		19971014
APPLICATION INFO.:	US 1996-655275		19960515 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1993-161115, filed on 2 Dec 1993, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Bawa, Raj
LEGAL REPRESENTATIVE: Anand, Mona
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 620
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical compositions for aerosol delivery comprising a medicament, a non-chlorofluorocarbon propellant and a protective colloid, as well as a method for preparing such compositions in which the aggregation of the particles is prevented without the use of surfactants or cosolvents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 35 OF 44 USPATFULL
ACCESSION NUMBER: 97:68165 USPATFULL
TITLE: Liquid formulations for proteinic pharmaceuticals
INVENTOR(S): Modi, Pankaj, 1928 Main St. W., Apt 608, Hamilton, Ontario, Canada L8S IJ4
Chandarana, Subash, 2259 Kirkburn Drive, Burlington, Ontario, Canada L7P 4E8

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5653987		19970805
APPLICATION INFO.:	US 1995-442358		19950516 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Hulina, Amy
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
LINE COUNT: 477
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A liquid pharmaceutical agent formulation suitable for oral or nasal delivery comprises a proteinic pharmaceutical agent, water and at least two absorption enhancing compounds. The absorption enhancing compounds are selected from sodium salicylate, sodium lauryl sulphate, disodium ethylenediaminetetraacetic acid (disodium EDTA), oleic acid, linoleic acid, monoolein, lecithin, lysolecithin, deoxycholate, sodium deoxycholate, chenodeoxycholate, taurodeoxycholate, glycochenodeoxycholate, polyoxyethylene X-lauryl ether wherein X is from 9 to 20, sodium

tauro-24, 25-dihydrofusidate, polyoxyethylene ether, polyoxyethylene sorbitan esters, p-t-octylphenoxypolyoxyethylene, N-lauryl-.beta.-D-maltopyranoside, 1-dodecylazacycloheptane-2-azone and phospholipids, wherein the amount of each of the absorption enhancing compounds is present in a concentration of from 1 to 10 wt./wt. % of the total formulation. Preferably each of the absorption enhancing compounds is present in a concentration of from 1.5 to 3.5 wt./wt. % The formulation is particulary adapted to oral delivery of **insulin**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 36 OF 44 USPATFULL
ACCESSION NUMBER: 94:9572 USPATFULL
TITLE: Systemic delivery of polypeptides through the eye
INVENTOR(S): Chiou, George C. Y., College Station, TX, United States
PATENT ASSIGNEE(S): Orbon Corporation, Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5283236		19940201
APPLICATION INFO.:	US 1992-966706		19921026 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1989-412979, filed on 26 Sep 1989, now patented, Pat. No. US 5182258 which is a continuation-in-part of Ser. No. US 1989-326200, filed on 20 Mar 1989, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Wityshyn, Michael G.
ASSISTANT EXAMINER: Koh, Choon
LEGAL REPRESENTATIVE: Morrison & Foerster
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 25 Drawing Figure(s); 16 Drawing Page(s)
LINE COUNT: 1252
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compositions and methods for systemic delivery of polypeptides through the eyes are disclosed. The compositions include a systemically active polypeptide at a concentration such that the composition is substantially isotonic with tear fluid. The compositions may include a permeation-enhancing agent to aid systemic absorption of higher molecular weight polypeptides, as well as peptidase inhibitors. Therapeutically effective amounts of the polypeptide compositions can be administered to the eyes where the drug passes into the nasolacrimal duct and becomes absorbed into circulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 37 OF 44 USPATFULL
ACCESSION NUMBER: 94:3765 USPATFULL
TITLE: Systemic delivery of polypeptides through the eye
INVENTOR(S): Chiou, George C. Y., College Station, TX, United States
PATENT ASSIGNEE(S): Orbon Corporation, Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5278142		19940111
APPLICATION INFO.:	US 1992-966877		19921026 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1989-412979, filed on 26 Sep 1989, now patented, Pat. No. US 5182258 which is a continuation-in-part of Ser. No. US 1989-376200, filed on 20 Mar 1989, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Wityshyn, Michael G.
ASSISTANT EXAMINER: Kok, Choon
LEGAL REPRESENTATIVE: Morrison & Foerster
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 25 Drawing Figure(s); 16 Drawing Page(s)
LINE COUNT: 1233
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for systemic delivery of polypeptides through the eyes are disclosed. The compositions include a systemically active polypeptide at a concentration such that the composition is substantially isotonic with tear fluid. The compositions may include a permeation-enhancing agent to aid systemic absorption of higher molecular weight polypeptides, as well as peptidase inhibitors. Therapeutically effective amounts of the polypeptide compositions can be administered to the eyes where the drug passes into the nasolacrimal duct and becomes absorbed into circulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 38 OF 44 USPATFULL
ACCESSION NUMBER: 93:7090 USPATFULL
TITLE: Systemic delivery of polypeptides through the eye
INVENTOR(S): Chiou, George C. Y., College Station, TX, United States
PATENT ASSIGNEE(S): Orbon Corporation, Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5182258		19930126
APPLICATION INFO.:	US 1989-412979		19890926 (7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1989-326200, filed on 20 Mar 1989, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Cashion, Jr., Merrell C.
ASSISTANT EXAMINER: Koh, Choon
LEGAL REPRESENTATIVE: Morrison & Foerster
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 25 Drawing Figure(s); 16 Drawing Page(s)
LINE COUNT: 1226
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for systemic delivery of polypeptides through the eyes are disclosed. The compositions include a

systemically active polypeptide at a concentration such that the composition is substantially isotonic with tear fluid. The compositions may include a permeation-enhancing agent to aid systemic absorption of higher molecular weight polypeptides, as well as peptidase inhibitors. Therapeutically effective amounts of the polypeptide compositions can be administered to the eyes where the drug passes into the nasolacrimal duct and becomes absorbed into circulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 39 OF 44 USPATFULL

ACCESSION NUMBER:	92:48664 USPATFULL
TITLE:	Apparatus and methods for use in administering medicaments by direct medicament contact to mucosal tissues
INVENTOR(S):	Stanley, Theodore H., Salt Lake City, UT, United States
PATENT ASSIGNEE(S):	University of Utah, Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5122127		19920616
APPLICATION INFO.:	US 1989-403743		19890905 (7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1987-60045, filed on 8 Jun 1987, now patented, Pat. No. US 4863737, issued on 5 Sep 1989 which is a continuation-in-part of Ser. No. US 1985-729301, filed on 1 May 1985, now patented, Pat. No. US 4671953, issued on 9 Jun 1987

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Rosenbaum, C. Fred
ASSISTANT EXAMINER:	Polutta, Mark O.
LEGAL REPRESENTATIVE:	Workman, Nydegger and Jensen
NUMBER OF CLAIMS:	36
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	20 Drawing Figure(s); 7 Drawing Page(s)
LINE COUNT:	1395

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Apparatus and methods for the dose-to-effect transmucosal administration of medicaments are disclosed. The present invention relates to such apparatus and methods which are useful in administering medicaments in a dose-to-effect manner such that sufficient drug is administered to produce precisely a desired effect. The invention also relates to an apparatus capable of placement directly on the patient's buccal mucosa having the capability of adjusting the drug surface area in direct contact with the mucosal tissue thereby enabling the proper amount of therapeutic agent or drug to be administered while accounting for individual needs and susceptibilities of the drug.

Through the use of selected permeation enhancers, the present invention enables lipophilic and nonlipophilic medicaments, which are not suitable for oral administration, to be rapidly administered noninvasively. Employing the present invention the drug may be introduced into the patient's bloodstream almost as

fast as through injection, and much faster than using the oral administration route, while avoiding the negative aspects of both of these methods.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 40 OF 44 USPATFULL
ACCESSION NUMBER: 86:18545 USPATFULL
TITLE: Pharmaceutical compositions containing **insulin**
INVENTOR(S): Kidron, Miriam, Jerusalem, Israel
Ziv, Ehud, Motza Ilit, Israel
Bar-On, Hanoch, Jerusalem, Israel
Eldor, Amiram, Jerusalem, Israel
PATENT ASSIGNEE(S): Hadassah Medical Organization, Israel (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4579730		19860401
APPLICATION INFO.:	US 1984-608462		19840509 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	IL 1983-68769	19830523

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rose, Shep K.
LEGAL REPRESENTATIVE: Darby & Darby
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
LINE COUNT: 411
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides a pharmaceutical composition for the oral administration of **insulin** comprising **insulin**, a bile acid or alkali metal salt thereof, the bile acid being selected from the group consisting of cholic acid, chenodeoxycholic acid, taurocholic acid, taurochenodeoxycholic acid, glycocholic acid, glycochenocholic acid, 3.beta.-hydroxy-12-ketocholic acid, 12.alpha.-3.beta.-dihydrocholic acid, and ursodesoxycholic acid, and a protease inhibitor, the composition being provided with an enterocoating to assure passage through the stomach and release in the intestine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 41 OF 44 USPATFULL
ACCESSION NUMBER: 85:63938 USPATFULL
TITLE: Ligand-analog-irreversible enzyme inhibitor conjugates
INVENTOR(S): Voss, Houston F., Libertyville, IL, United States
Plattner, Jacob, Libertyville, IL, United States
Herrin, Thomas R., Waukegan, IL, United States
PATENT ASSIGNEE(S): Abbott Laboratories, North Chicago, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE

PATENT INFORMATION: US 4550163 19851029
APPLICATION INFO.: US 1981-228414 19810126 (6)
RELATED APPLN. INFO.: Division of Ser. No. US 1979-9007, filed on 5 Feb 1979, now patented, Pat. No. US 4273866
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Sutto, Anton H.
LEGAL REPRESENTATIVE: Katz, Martin L., O'Brien, Margaret M.
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
LINE COUNT: 1167
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention encompasses a method for determining ligands in test samples comprising intermixing with the test sample a ligand analog-irreversible enzyme inhibitor conjugate and a binding protein bindable to the ligand and the ligand analog-irreversible enzyme inhibitor conjugate and wherein the amount of ligand analog-irreversible enzyme inhibitor conjugate bound by the binding protein is related to the amount of ligand in the test sample, said binding protein inactivating the irreversible enzyme inhibitor when bound to the ligand analog portion of the conjugate; intermixing an enzyme which is irreversibly inhibited by the ligand analog-irreversible enzyme inhibitor conjugate unbound by the binding protein; and intermixing substrate to the enzyme and monitoring the enzyme substrate reaction.

The invention also includes ligand analog-irreversible enzyme inhibitor conjugates useful as reagents in practicing the method. Methods and reagents of the present are particularly useful in determining drugs, hormones, and the like in biological fluids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 42 OF 44 USPATFULL
ACCESSION NUMBER: 81:33233 USPATFULL
TITLE: Ligand analog-irreversible enzyme inhibitor conjugates and methods for use
INVENTOR(S): Voss, Houston F., Libertyville, IL, United States
Plattner, Jacob, Libertyville, IL, United States
Herrin, Thomas R., Waukegan, IL, United States
PATENT ASSIGNEE(S): Abbott Laboratories, North Chicago, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4273866		19810616	
APPLICATION INFO.:	US 1979-9007		19790205	(6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Wiseman, Thomas G.
LEGAL REPRESENTATIVE: McDonnell, John J.
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 1154
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention encompasses a method for determining ligands in test samples comprising intermixing with the test sample a

ligand analog-irreversible enzyme inhibitor conjugate and a binding protein bindable to the ligand and the ligand analog-irreversible enzyme inhibitor conjugate and wherein the amount of ligand analog-irreversible enzyme inhibitor conjugate bound by the binding protein is related to the amount of ligand in the test sample, said binding protein inactivating the irreversible enzyme inhibitor when bound to the ligand analog portion of the conjugate; intermixing an enzyme which is irreversibly inhibited by the ligand analog-irreversible enzyme inhibitor conjugate unbound by the binding protein; and intermixing substrate to the enzyme and monitoring the enzyme substrate reaction.

The invention also includes ligand analog-irreversible enzyme inhibitor conjugates useful as reagents in practicing the method. Methods and reagents of the present are particularly useful in determining drugs, hormones, and the like in biological fluids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 43 OF 44 USPATFULL
ACCESSION NUMBER: 81:14970 USPATFULL
TITLE: Preparation of solid substrate containing receptor and labeled form of ligand for assays
INVENTOR(S): Rutner, Herman, Hackensack, NJ, United States
Dodd, Thomas F., Bronx, NY, United States
PATENT ASSIGNEE(S): Becton, Dickinson and Company, Paramus, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4256725		19810317
APPLICATION INFO.:	US 1978-879902		19780221 (5)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Padgett, Benjamin R.
ASSISTANT EXAMINER: Nucker, Christine M.
LEGAL REPRESENTATIVE: Marn, Louis E., Olstein, Elliot M.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 308
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A solid substrate is simultaneously contacted with a labeled form of a ligand to be assayed, a receptor for the ligand to be assayed and a solution of an ionic salt to produce a solid substrate which contains the labeled form of the ligand and the receptor. In a subsequent assay for the ligand, the solid substrate is contacted with a sample containing the ligand, whereby the labeled form of the ligand is available for equilibration with the receptor in competition with the ligand to be assayed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 44 OF 44 USPATFULL
ACCESSION NUMBER: 81:14969 USPATFULL
TITLE: Method for non-covalent coating of antibodies on solid substrates
INVENTOR(S): Rutner, Herman, Hackensack, NJ, United States

PATENT ASSIGNEE(S):	Dodd, Thomas F., Bronx, NY, United States Becton, Dickinson and Company, Paramus, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4256724		19810317	
APPLICATION INFO.:	US 1978-879801		19780221	(5)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Padgett, Benjamin R.
ASSISTANT EXAMINER:	Nucker, Christine M.
LEGAL REPRESENTATIVE:	Marn, Louis E., Olstein, Elliot M.
NUMBER OF CLAIMS:	31
EXEMPLARY CLAIM:	1
LINE COUNT:	303

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Antibodies to lipophilic haptens and antigens, such as the antibodies of bile acids are non-covalently coated on a solid substrate for use in solid phase immunoassays by including in the antibody coating solution an inorganic salt, such as ammonium sulfate, to increase the ionic strength of the solution.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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